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Dated 4 November 2004

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Request for grant of a patent

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The Patent Office

Cardiff Road
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1. Your reference **PPD 70313/GB/P**

2. Patent application number *(The Patent Office will fill in this part)* 0328908.9 — 12 DEC 2003

3. Full name, address and postcode of the or of each applicant *(underline all surnames)*

SYNGENTA PARTICIPATIONS AG
Intellectual Property Department
Schwarzwaldallee 215
4058 Basel
SWITZERLAND

Patents ADP number *(if you know it)*

If the applicant is a corporate body, give the country/state of its incorporation 8029555001

4. Title of the invention **CHEMICAL COMPOUNDS**

5. Name of your agent *(if you have one)*

"Address for service" in the United Kingdom to which all correspondence should be sent *(including the postcode)*

John Richard WATERMAN
Intellectual Property Department
Syngenta Limited
Jealott's Hill International Research Centre
PO Box 3538
Bracknell, Berkshire, RG42 6YA
UNITED KINGDOM

Patents ADP number *(if you know it)* 8029563001

6. If you are declaring priority from one or more earlier patent applications, give the country and the date of filing of the or of each of these earlier applications and *(if you know it)* the or each application number

Country	Priority application number *(if you know it)*	Date of filing *(day / month / year)*

7. If this application is divided or otherwise derived from an earlier UK application, give the number and the filing date of the earlier application

Number of earlier application	Date of filing *(day / month / year)*

8. Is a statement of inventorship and of right to grant of a patent required in support of this request? *(Answer 'Yes' if:*

a) any applicant named in part 3 is not an inventor, or
b) there is an inventor who is not named as an applicant, or
c) any named applicant is a corporate body.
See note (d))

YES (b)

Patents Form 1/77

9. Enter the number of sheets for any of the following items you are filing with this form. Do not count copies of the same document

Continuation sheets of this form	
Description	74
Claim(s)	04
Abstract	01
Drawing(s)	00

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10. If you are also filing any of the following, state how many against each item.

Priority documents	
Translations of priority documents	
Statement of inventorship and right to grant of a patent *(Patents Form 7/77)*	
Request for preliminary examination and search *(Patents Form 9/77)*	
Request for substantive examination *(Patents Form 10/77)*	
Any other documents *(please specify)*	

11. I/We request the grant of a patent on the basis of this application.

SYNGENTA PARTICIPATIONS AG

Signature M A Rudd Date 12/12/03

Authorised Signatory

12. Name and daytime telephone number of person to contact in the United Kingdom

Margaret Ann RUDD 01344 413673

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Notes

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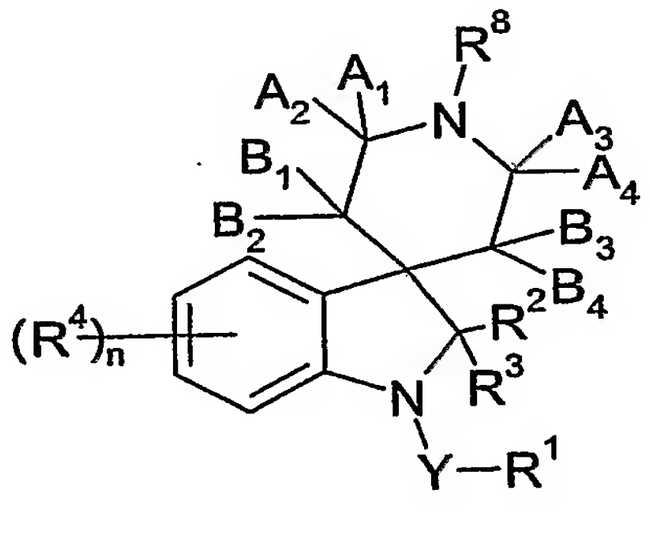
CHEMICAL COMPOUNDS

The present invention relates to spiroindoline derivatives, to processes for preparing them, to insecticidal, acaricidal, molluscicidal and nematicidal compositions comprising them and to methods of using them to combat and control insect, acarine, mollusc and nematode pests.

Spiroindoline derivatives with pharmaceutical properties are disclosed in for example WO9825605, WO9429309, WO9828297 and WO9964002. Synthetic routes to selected compounds with pharmaceutical properties are described in Proc. Natl. Acad. Sci. USA (1995), 92, 7001, Tetrahedron (1997), 53, 10983 and Tetrahedron Letters (1997), 38, 1497. It has now surprisingly been found that certain spiroindolines have insecticidal properties.

The present invention therefore provides a method of combating and controlling insects, acarines, nematodes or molluscs which comprises applying to a pest, to a locus of a pest, or to a plant susceptible to attack by a pest an insecticidally, acaricidally, nematicidally or molluscicidally effective amount of a compound of formula (I):



(I)

wherein Y is a single bond, C=O, C=S or $S(O)_m$ where m is 0, 1 or 2;

R^1 is hydrogen, optionally substituted alkyl, optionally substituted alkoxycarbonyl, optionally substituted alkylcarbonyl, aminocarbonyl, optionally substituted alkylaminocarbonyl, optionally substituted dialkylaminocarbonyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkoxy, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted heterocyclyloxy, cyano, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted

cycloalkyl, optionally substituted cycloalkenyl, formyl, optionally substituted heterocyclyl, optionally substituted alkylthio, NO or $NR^{13}R^{14}$ where R^{13} and R^{14} are independently hydrogen, COR^{15}, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocyclyl or R^{13} and R^{14} together with the N atom to which they are attached form a group $-N=C(R^{16})-NR^{17}R^{18}$; R^{15} is H, optionally substituted alkyl, optionally substituted alkoxy, optionally substituted aryl, optionally substituted aryloxy optionally substituted heteroaryl, optionally substituted heteroaryloxy or $NR^{19}R^{20}$; R^{16}, R^{17} and R^{18} are each independently H or lower alkyl; R^{19} and R^{20} are independently optionally substituted alkyl, optionally substituted aryl or optionally substituted heteroaryl;

R^2 and R^3 are independently hydrogen, halogen, cyano, optionally substituted alkyl, optionally substituted alkoxy or optionally substituted aryl;

each R^4 is independently halogen, nitro, cyano, optionally substituted C_{1-8} alkyl, optionally substituted C_{2-6} alkenyl, optionally substituted C_{2-6} alkynyl, optionally substituted alkoxycarbonyl, optionally substituted alkylcarbonyl, optionally substituted alkylaminocarbonyl, optionally substituted dialkylaminocarbonyl, optionally substituted C_{3-7} cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocyclyl, optionally substituted alkoxy, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted alkylthio or $R^{21}R^{22}N$ where R^{21} and R^{22} are, independently, hydrogen, C_{1-8} alkyl, C_{3-7} cycloalkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, C_{3-7} cycloalkyl(C_{1-4})alkyl, C_{2-6} haloalkyl, C_{1-6} alkoxy(C_{1-6})alkyl, C_{1-6} alkoxycarbonyl or R^{21} and R^{22} together with the N atom to which they are attached form a five, six or seven-membered heterocyclic ring which may contain one or two further heteroatoms selected from O, N or S and which may be optionally substituted by one or two C_{1-6} alkyl groups, or 2 adjacent groups R^4 together with the carbon atoms to which they are attached form a 4, 5, 6,or 7 membered carbocyclic or heterocyclic ring which may be optionally substituted by halogen; n is 0, 1, 2, 3 or 4;

R^8 is optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, optionally substituted aryl, optionally substituted alkoxy, optionally substituted aryloxy, optionally substituted alkoxycarbonyl, optionally substituted alkylcarbonyl or optionally substituted alkenylcarbonyl;

A_1, A_2, A_3, A_4, B_1, B_2, B_3 and B_4 are independently hydrogen, halogen, hydroxy, cyano, optionally substituted C_{1-8} alkyl, optionally substituted C_{2-6} alkenyl, optionally substituted C_{2-6} alkynyl, optionally substituted alkoxycarbonyl, optionally substituted alkylcarbonyl, optionally substituted alkylaminocarbonyl, optionally substituted dialkylaminocarbonyl, optionally substituted C_{3-7} cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocyclyl, optionally substituted alkoxy, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted alkylthio, optionally substituted arylthio or $R^{23}R^{24}N$ where R^{23} and R^{24} are, independently, hydrogen, C_{1-8} alkyl, C_{3-7} cycloalkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, C_{3-7} cycloalkyl(C_{1-4})alkyl, C_{2-6} haloalkyl, C_{1-6} alkoxy(C_{1-6})alkyl, C_{1-6} alkoxycarbonyl or R^{23} and R^{24} together with the N atom to which they are attached form a five, six or seven-membered heterocyclic ring which may contain one or two further heteroatoms selected from O, N or S and which may be optionally substituted by one or two C_{1-6} alkyl groups,

or A_1 and A_2 together are =O,

or A_3 and A_4 together are =O,

or B_1 and B_2 together are =O,

or B_3 and B_4 together are =O,

or A_1 together with B_1 is a bond,

or A_3 together with B_3 is a bond,

or A_1 together with A_2 form with the carbon to which they are bound a three- to seven-membered ring, and may be saturated or unsaturated, and that may contain one or two hetero atoms selected from the group consisting of N, O and S, and which may be optionally substituted by one or two C_{1-6} alkyl groups;

or A_1 together with B_1 form with the carbon to which they are bound a three- to seven-membered ring, and may be saturated or unsaturated, and that may contain one or two hetero atoms selected from the group consisting of N, O and S, and which may be optionally substituted by one or two C_{1-6} alkyl groups;

or B_1 together with B_2 form with the carbon to which they are bound a three- to seven-membered ring, and may be saturated or unsaturated, and that may contain one or two hetero atoms selected from the group consisting of N, O and S, and which may be optionally substituted by one or two C_{1-6} alkyl groups;

or A_1 together with A_3 form a group $-CH_2-$, $-CH=CH-$ or $-CH_2CH_2$;

or B_1 together with B_3 form a group $-CH_2-$, $-CH=CH-$ or $-CH_2CH_2$;
or salts or N-oxides thereof provided that when B_1, B_2, B_3 and B_4 are all H, either both A_1 and A_2 are different from H or both A_3 and A_4 are different from H.

The compounds of formula (I) may exist in different geometric or optical isomers or tautomeric forms. This invention covers all such isomers and tautomers and mixtures thereof in all proportions as well as isotopic forms such as deuterated compounds.

Each alkyl moiety either alone or as part of a larger group (such as alkoxy, alkoxycarbonyl, alkylcarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl) is a straight or branched chain and is, for example, methyl, ethyl, n-propyl, n-butyl, n-pentyl, n-hexyl, iso-propyl, n-butyl, sec-butyl, iso-butyl, tert-butyl or neo-pentyl. The alkyl groups are suitably C_1 to C_{12} alkyl groups, but are preferably C_1-C_{10}, more preferably C_1-C_8, even more preferably preferably C_1-C_6 and most preferably C_1-C_4 alkyl groups.

When present, the optional substituents on an alkyl moiety (alone or as part of a larger group such as alkoxy, alkoxycarbonyl, alkylcarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl) include one or more of halogen, nitro, cyano, NCS-, C_{3-7} cycloalkyl (itself optionally substituted with C_{1-6} alkyl or halogen), C_{5-7} cycloalkenyl (itself optionally substituted with C_{1-6} alkyl or halogen), hydroxy, C_{1-10} alkoxy, C_{1-10} alkoxy(C_{1-10})alkoxy, tri(C_{1-4})alkylsilyl(C_{1-6})alkoxy, C_{1-6} alkoxycarbonyl(C_{1-10})alkoxy, C_{1-10} haloalkoxy, aryl(C_{1-4})-alkoxy (where the aryl group is optionally substituted), C_{3-7} cycloalkyloxy (where the cycloalkyl group is optionally substituted with C_{1-6} alkyl or halogen), C_{2-10} alkenyloxy, C_{2-10} alkynyloxy, SH, C_{1-10} alkylthio, C_{1-10} haloalkylthio, aryl(C_{1-4})alkylthio (where the aryl group is optionally substituted), C_{3-7} cycloalkylthio (where the cycloalkyl group is optionally substituted with C_{1-6} alkyl or halogen), tri(C_{1-4})alkylsilyl(C_{1-6})alkylthio, arylthio (where the aryl group is optionally substituted), C_{1-6} alkylsulfonyl, C_{1-6} haloalkylsulfonyl, C_{1-6} alkylsulfinyl, C_{1-6} haloalkylsulfinyl, arylsulfonyl (where the aryl group may be optionally substituted), tri(C_{1-4})alkylsilyl, aryldi(C_{1-4})alkylsilyl, (C_{1-4})alkyldiarylsilyl, triarylsilyl, C_{1-10} alkylcarbonyl, HO_2C, C_{1-10} alkoxycarbonyl, aminocarbonyl, C_{1-6} alkylaminocarbonyl, di(C_{1-6} alkyl)aminocarbonyl, N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)aminocarbonyl, C_{1-6} alkylcarbonyloxy, arylcarbonyloxy (where the aryl group is optionally substituted), di(C_{1-6})alkylaminocarbonyloxy, oximes such as =NOalkyl, =NOhaloalkyl and =NOaryl (itself optionally substituted), aryl (itself optionally substituted), heteroaryl (itself optionally substituted), heterocyclyl (itself optionally substituted with C_{1-6} alkyl or halogen), aryloxy

(where the aryl group is optionally substituted), heteroaryloxy, (where the heteroaryl group is optionally substituted), heterocyclyloxy (where the heterocyclyl group is optionally substituted with C_{1-6} alkyl or halogen), amino, C_{1-6} alkylamino, di(C_{1-6})alkylamino, C_{1-6} alkylcarbonylamino, N-(C_{1-6})alkylcarbonyl-N-(C_{1-6})alkylamino, C_{2-6} alkenylcarbonyl, C_{2-6} alkynylcarbonyl, C_{3-6} alkenyloxycarbonyl, C_{3-6} alkynyloxycarbonyl, aryloxycarbonyl (where the aryl group is optionally substituted) and arylcarbonyl (where the aryl group is optionally substituted).

Alkenyl and alkynyl moieties can be in the form of straight or branched chains, and the alkenyl moieties, where appropriate, can be of either the (E)- or (Z)-configuration. Examples are vinyl, allyl and propargyl.

When present, the optional substituents on alkenyl or alkynyl include those optional substituents given above for an alkyl moiety.

In the context of this specification acyl is optionally substituted C_{1-6} alkylcarbonyl (for example acetyl), optionally substituted C_{2-6} alkenylcarbonyl, optionally substituted C_{2-6} alkynylcarbonyl, optionally substituted arylcarbonyl (for example benzoyl) or optionally substituted heteroarylcarbonyl.

Halogen is fluorine, chlorine, bromine or iodine.

Haloalkyl groups are alkyl groups which are substituted with one or more of the same or different halogen atoms and are, for example, CF_3, CF_2Cl, CF_3CH_2 or CHF_2CH_2.

In the context of the present specification the terms "aryl" and "aromatic ring system" refer to ring systems which may be mono-, bi- or tricyclic. Examples of such rings include phenyl, naphthalenyl, anthracenyl, indenyl or phenanthrenyl. A preferred aryl group is phenyl. In addition, the terms “heteroaryl” , "heteroaromatic ring” or “heteroaromatic ring system" refer to an aromatic ring system containing at least one heteroatom and consisting either of a single ring or of two or more fused rings. Preferably, single rings will contain up to three and bicyclic systems up to four heteroatoms which will preferably be chosen from nitrogen, oxygen and sulphur. Examples of such groups include furyl, thienyl, pyrrolyl, pyrazolyl, imidazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,3,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,3,4-thiadiazolyl, 1,2,5-thiadiazolyl, pyridyl, pyrimidinyl, pyridazinyl, pyrazinyl, 1,2,3-triazinyl, 1,2,4-triazinyl, 1,3,5-triazinyl, benzofuryl, benzisofuryl, benzothienyl, benzisothienyl, indolyl, isoindolyl, indazolyl,

benzothiazolyl, benzisothiazolyl, benzoxazolyl, benzisoxazolyl, benzimidazolyl, 2,1,3-benzoxadiazole quinolinyl, isoquinolinyl, cinnolinyl, phthalazinyl, quinazolinyl, quinoxalinyl, naphthyridinyl, benzotriazinyl, purinyl, pteridinyl and indolizinyl. Preferred examples of heteroaromatic radicals include pyridyl, pyrimidyl, triazinyl, thienyl, furyl, oxazolyl, isoxazolyl, 2,1,3-benzoxadiazole and thiazolyl.

The terms heterocycle and heterocyclyl refer to a non-aromatic ring containing up to 10 atoms including one or more (preferably one or two) heteroatoms selected from O, S and N. Examples of such rings include 1,3-dioxolane, tetrahydrofuran and morpholine.

When present, the optional substituents on heterocyclyl include C_{1-6} alkyl and C_{1-6} haloalkyl as well as those optional substituents given above for an alkyl moiety.

Cycloalkyl includes cyclopropyl, cyclopentyl and cyclohexyl.

Cycloalkenyl includes cyclopentenyl and cyclohexenyl.

When present, the optional substituents on cycloalkyl or cycloalkenyl include C_{1-3} alkyl as well as those optional substituents given above for an alkyl moiety.

Carbocyclic rings include aryl, cycloalkyl and cycloalkenyl groups.

When present, the optional substituents on aryl or heteroaryl are selected independently, from halogen, nitro, cyano, NCS-, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy-(C_{1-6})alkyl, C_{2-6} alkenyl, C_{2-6} haloalkenyl, C_{2-6} alkynyl, C_{3-7} cycloalkyl (itself optionally substituted with C_{1-6} alkyl or halogen), C_{5-7} cycloalkenyl (itself optionally substituted with C_{1-6} alkyl or halogen), hydroxy, C_{1-10} alkoxy, C_{1-10} alkoxy(C_{1-10})alkoxy, tri(C_{1-4})alkyl-silyl(C_{1-6})alkoxy, C_{1-6} alkoxycarbonyl(C_{1-10})alkoxy, C_{1-10} haloalkoxy, aryl(C_{1-4})alkoxy (where the aryl group is optionally substituted with halogen or C_{1-6} alkyl), C_{3-7} cycloalkyloxy (where the cycloalkyl group is optionally substituted with C_{1-6} alkyl or halogen), C_{2-10} alkenyloxy, C_{2-10} alkynyloxy, SH, C_{1-10} alkylthio, C_{1-10} haloalkylthio, aryl(C_{1-4})alkylthio C_{3-7} cycloalkylthio (where the cycloalkyl group is optionally substituted with C_{1-6} alkyl or halogen), tri(C_{1-4})-alkylsilyl(C_{1-6})alkylthio, arylthio, C_{1-6} alkylsulfonyl, C_{1-6} haloalkylsulfonyl, C_{1-6} alkylsulfinyl, C_{1-6} haloalkylsulfinyl, arylsulfonyl, tri(C_{1-4})alkylsilyl, aryldi(C_{1-4})-alkylsilyl, (C_{1-4})alkyldiarylsilyl, triarylsilyl, C_{1-10} alkylcarbonyl, HO_2C, C_{1-10} alkoxycarbonyl, aminocarbonyl, C_{1-6} alkylaminocarbonyl, di(C_{1-6} alkyl)-aminocarbonyl, N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)aminocarbonyl, C_{1-6} alkylcarbonyloxy, arylcarbonyloxy, di(C_{1-6})alkylamino-carbonyloxy, aryl (itself optionally substituted with C_{1-6} alkyl or halogen), heteroaryl (itself optionally substituted with C_{1-6} alkyl or halogen), heterocyclyl (itself

optionally substituted with C_{1-6} alkyl or halogen), aryloxy (where the aryl group is optionally substituted with C_{1-6} alkyl or halogen), heteroaryloxy (where the heteroaryl group is optionally substituted with C_{1-6} alkyl or halogen), heterocyclyloxy (where the heterocyclyl group is optionally substituted with C_{1-6} alkyl or halogen), amino, C_{1-6} alkylamino, di(C_{1-6})alkylamino, C_{1-6} alkylcarbonylamino, N-(C_{1-6})alkylcarbonyl-N-(C_{1-6})alkylamino, arylcarbonyl, (where the aryl group is itself optionally substituted with halogen or C_{1-6} alkyl) or two adjacent positions on an aryl or heteroaryl system may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen or C_{1-6} alkyl. Further substituents for aryl or heteroaryl include aryl carbonyl amino (where the aryl group is substituted by C_{1-6} alkyl or halogen), (C_{1-6})alkyloxycarbonylamino (C_{1-6})alkyloxycarbonyl-N-(C_{1-6})alkylamino, aryloxycarbonylamino (where the aryl group is substituted by C_{1-6} alkyl or halogen), aryloxycarbonyl-N-(C_{1-6})alkylamino, (where the aryl group is substituted by C_{1-6} alkyl or halogen), arylsulphonylamino (where the aryl group is substituted by C_{1-6} alkyl or halogen), arylsulphonyl-N-(C_{1-6})alkylamino (where the aryl group is substituted by C_{1-6} alkyl or halogen), aryl-N-(C_{1-6})alkylamino (where the aryl group is substituted by C_{1-6} alkyl or halogen), arylamino (where the aryl group is substituted by C_{1-6} alkyl or halogen), heteroaryl amino (where the heteroaryl group is substituted by C_{1-6} alkyl or halogen), heterocyclylamino (where the heterocyclyl group is substituted by C_{1-6} alkyl or halogen), aminocarbonylamino, C_{1-6} alkylaminocarbonyl amino, di(C_{1-6})alkylaminocarbonyl amino, arylaminocarbonyl amino where the aryl group is substituted by C_{1-6} alkyl or halogen), aryl-N-(C_{1-6})alkylaminocarbonylamino where the aryl group is substituted by C_{1-6} alkyl or halogen), C_{1-6} alkylaminocarbonyl-N-(C_{1-6})alkyl amino, di(C_{1-6})alkylaminocarbonyl-N-(C_{1-6})alkyl amino, arylaminocarbonyl-N-(C_{1-6})alkyl amino where the aryl group is substituted by C_{1-6} alkyl or halogen) and aryl-N-(C_{1-6})alkylaminocarbonyl-N-(C_{1-6})alkyl amino where the aryl group is substituted by C_{1-6} alkyl or halogen).

For substituted phenyl moieties, heterocyclyl and heteroaryl groups it is preferred that one or more substituents are independently selected from halogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy(C_{1-6})alkyl, C_{1-6} alkoxy, C_{1-6} haloalkoxy, C_{1-6} alkylthio, C_{1-6} haloalkylthio, C_{1-6} alkylsulfinyl, C_{1-6} haloalkylsulfinyl, C_{1-6} alkylsulfonyl, C_{1-6} haloalkylsulfonyl, C_{2-6} alkenyl, C_{2-6} haloalkenyl, C_{2-6} alkynyl, C_{3-7} cycloalkyl, nitro, cyano, CO_2H, C_{1-6} alkylcarbonyl, C_{1-6} alkoxycarbonyl, $R^{25}R^{26}N$ or $R^{27}R^{28}NC(O)$; wherein R^{25}, R^{26}, R^{27} and R^{28} are, independently, hydrogen or C_{1-6} alkyl. Further preferred substituents are aryl and heteroaryl groups.

Haloalkenyl groups are alkenyl groups which are substituted with one or more of the same or different halogen atoms.

It is to be understood that dialkylamino substituents include those where the dialkyl groups together with the N atom to which they are attached form a five, six or seven-membered heterocyclic ring which may contain one or two further heteroatoms selected from O, N or S and which is optionally substituted by one or two independently selected (C_{1-6})alkyl groups. When heterocyclic rings are formed by joining two groups on an N atom, the resulting rings are suitably pyrrolidine, piperidine, thiomorpholine and morpholine each of which may be substituted by one or two independently selected (C_{1-6}) alkyl groups.

Preferably the optional substituents on an alkyl moiety include one or more of halogen, nitro, cyano, HO_2C, C_{1-10} alkoxy (itself optionally substituted by C_{1-10} alkoxy), aryl(C_{1-4})alkoxy, C_{1-10} alkylthio, C_{1-10} alkylcarbonyl, C_{1-10} alkoxycarbonyl, C_{1-6} alkylaminocarbonyl, di(C_{1-6} alkyl)aminocarbonyl, (C_{1-6})alkylcarbonyloxy, optionally substituted phenyl, heteroaryl, aryloxy, arylcarbonyloxy, heteroaryloxy, heterocyclyl, heterocyclyloxy, C_{3-7} cycloalkyl (itself optionally substituted with (C_{1-6})alkyl or halogen), C_{3-7} cycloalkyloxy, C_{5-7} cycloalkenyl, C_{1-6} alkylsulfonyl, C_{1-6} alkylsulfinyl, tri(C_{1-4})alkylsilyl, tri(C_{1-4})alkylsilyl(C_{1-6})alkoxy, aryldi(C_{1-4})alkylsilyl, (C_{1-4})alkyldiarylsilyl and triarylsilyl.

Preferably the optional substituents on alkenyl or alkynyl include one or more of halogen, aryl and C_{3-7} cycloalkyl.

A preferred optional substituent for heterocyclyl is C_{1-6} alkyl.

Preferably the optional substituents for cycloalkyl include halogen, cyano and C_{1-3} alkyl.

Preferably the optional substituents for cycloalkenyl include C_{1-3} alkyl, halogen and cyano.

Preferably Y is a single bond, C=O or S(O)m where m is 0, 1 or 2.

More preferably Y is a single bond, C=O or SO_2.

Yet more preferably Y is a single bond or C=O.

Most preferably Y is C=O.

Preferably R^1 is hydrogen, C_{1-6} alkyl, C_{1-6} cyanoalkyl, C_{1-6} haloalkyl, C_{3-7} cycloalkyl(C_{1-4})alkyl, C_{1-6} alkoxy(C_{1-6})alkyl, heteroaryl(C_{1-6})alkyl (wherein the heteroaryl group may be optionally substituted by halo, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy, C_{1-6} haloalkoxy, C_{1-6} alkylsulfonyl, C_{1-6} alkylsulfinyl, C_{1-6} alkylthio, C_{1-6}

alkoxycarbonyl, C_{1-6} alkylcarbonylamino, arylcarbonyl, or two adjacent positions on the heteroaryl system may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), aryl(C_{1-6})alkyl (wherein the aryl group may be optionally substituted by halo, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy, C_{1-6} haloalkoxy, C_{1-6} alkylsulfonyl, C_{1-6} alkylsulfinyl, C_{1-6} alkylthio, C_{1-6} alkoxycarbonyl, C_{1-6} alkylcarbonylamino, arylcarbonyl, or two adjacent positions on the aryl system may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), C_{1-6} alkylcarbonylamino(C_{1-6})alkyl, aryl (which may be optionally substituted by halo, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy, C_{1-6} haloalkoxy, C_{1-6} alkylsulfonyl, C_{1-6} alkylsulfinyl, C_{1-6} alkylthio, C_{1-6} alkoxycarbonyl, C_{1-6} alkylcarbonylamino, arylcarbonyl, or two adjacent positions on the aryl system may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), heteroaryl (which may be optionally substituted by halo, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy, C_{1-6} haloalkoxy, C_{1-6} alkylsulfonyl, C_{1-6} alkylsulfinyl, C_{1-6} alkylthio, C_{1-6} alkoxycarbonyl, C_{1-6} alkylcarbonylamino, arylcarbonyl, or two adjacent positions on the heteroaryl system may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), C_{1-6} alkoxy, C_{1-6} haloalkoxy, phenoxy (wherein the phenyl group is optionally substituted by halogen, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, CN, NO_2, aryl, heteroaryl, amino or dialkylamino), heteroaryloxy (optionally substituted by halo, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy), heterocyclyloxy (optionally substituted by halo, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy), cyano, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{3-6} cycloalkyl, C_{5-7} cycloalkenyl, heterocyclyl (optionally substituted by halo, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy), C_{1-6} alkylthio, C_{1-6} haloalkylthio or $NR^{13}R^{14}$ where R^{13} and R^{14} are independently hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy(C_{1-6})alkyl, phenyl (which may be optionally substituted by halogen, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, CN, NO_2, aryl, heteroaryl, amino, dialkylamino or C_{1-4} alkoxycarbonyl), phenyl (C_{1-6})alkyl (wherein the phenyl group may be optionally substituted by halogen, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, CN, NO_2, aryl, heteroaryl, amino, dialkylamino, C_{1-6} alkylsulfonyl, C_{1-6} alkoxycarbonyl, or two adjacent positions on the phenyl ring may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), heteroaryl (C_{1-6})alkyl (wherein

the heteroaryl group may be optionally substituted by halo, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy, C_{1-6} haloalkoxy, C_{1-6} alkylsulfonyl, C_{1-6} alkylsulfinyl, C_{1-6} alkylthio, C_{1-6} alkoxycarbonyl, C_{1-6} alkylcarbonylamino, arylcarbonyl, or two adjacent positions on the heteroaryl system may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen) or heteroaryl (which may be optionally substituted by halo, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy, C_{1-4} alkoxycarbonyl C_{1-6} alkylcarbonylamino, phenyloxycarbonylamino (wherein the phenyl group is optionally substituted by halogen, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, CN, NO_2, aryl, heteroaryl, amino or dialkylamino), amino, C_{1-6} alkylamino or phenylamino (wherein the phenyl group is optionally substituted halogen, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, CN, NO_2, aryl, heteroaryl, amino or dialkylamino)).

More preferably R^1 is C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy(C_{1-6})alkyl, heteroaryl(C_{1-3})alkyl (wherein the heteroaryl group may be optionally substituted by halo, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy, C_{1-6} haloalkoxy, C_{1-6} alkylsulfonyl, C_{1-6} alkoxycarbonyl, or two adjacent positions on the heteroaryl system may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), phenyl(C_{1-3})alkyl (wherein the phenyl group may be optionally substituted by halogen, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, CN, NO_2, aryl, heteroaryl, amino, dialkylamino, C_{1-6} alkylsulfonyl, C_{1-6} alkoxycarbonyl, or two adjacent positions on the phenyl ring may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), phenyl (which may be optionally substituted by halogen, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, CN, NO_2, aryl, heteroaryl, amino, dialkylamino, C_{1-6} alkylsulfonyl, C_{1-6} alkoxycarbonyl, or two adjacent positions on the phenyl ring may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), heteroaryl (which may be optionally substituted by halo, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy, C_{1-6} haloalkoxy, C_{1-6} alkylsulfonyl, C_{1-6} alkoxycarbonyl, or two adjacent positions on the heteroaryl system may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), C_{1-6} alkoxy, C_{1-6} haloalkoxy, C_{2-6} alkenyl, heterocyclyl (optionally substituted by halo, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy), C_{1-6} alkylthio, C_{1-6} haloalkylthio or $NR^{13}R^{14}$ where R^{13} and R^{14} are independently hydrogen, C_{1-6} alkyl or C_{1-6} haloalkyl, C_{1-6} alkoxy(C_{1-6})alkyl, C_{2-6} alkylcarbonyl, phenylcarbonyl, (where

the phenyl is optionally substituted by halogen, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, CN, NO_2, aryl, heteroaryl, amino or dialkylamino), phenyl(C_{1-3})alkyl (wherein the phenyl group may be optionally substituted by halogen, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, CN, NO_2, aryl, heteroaryl, amino, dialkylamino, C_{1-6} alkylsulfonyl, C_{1-6} alkoxycarbonyl, or two adjacent positions on the phenyl ring may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen) or heteroaryl(C_{1-3})alkyl (wherein the heteroaryl group may be optionally substituted by halo, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy, C_{1-6} haloalkoxy, C_{1-6} alkylsulfonyl, C_{1-6} alkylsulfinyl, C_{1-6} alkylthio, C_{1-6} alkoxycarbonyl, C_{1-6} alkylcarbonylamino, arylcarbonyl, or two adjacent positions on the heteroaryl system may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen).

Even more preferably R^1 is C_{1-6} alkyl, C_{1-6} haloalkyl, heteroaryl(C_{1-3})alkyl (wherein the heteroaryl group may be optionally substituted by halo, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl and where the heteroaryl group is a thiazole, pyridine, pyrimidine, pyrazine or pyridazine ring), heteroaryl (optionally substituted by halo, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl and where the heteroaryl group is a pyridine, pyrimidine, 2,1,3-benzoxadiazole, pyrazine or pyridazine ring), C_{1-6} alkoxy, C_{1-6} alkoxy(C_{1-6})alkyl, C_{1-6} alkylamino, C_{1-6} alkyoxy(C_{1-6})alkylamino or heteroaryl(C_{1-3})alkylamino (wherein the heteroaryl group may be optionally substituted by halo, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl and where the heteroaryl group is a thiazole, pyridine, pyrimidine, pyrazine or pyridazine ring).

Most preferably R^1 is pyridyl (optionally substituted by halo, C_{1-3} alkyl or C_{1-3} haloalkyl) especially halo-substituted pyridyl.

It is preferred that R^2 and R^3 are independently hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy or cyano.

More preferably R^2 and R^3 are independently hydrogen, halogen, C_{1-2} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy, cyano.

Even more preferably R^2 and R^3 are independently hydrogen or C_{1-4} alkyl.

Yet more preferably R^2 and R^3 are independently hydrogen or methyl.

Most preferably R^2 and R^3 are both hydrogen.

Preferably each R^4 is independently halogen, cyano, C_{1-8} alkyl, C_{1-8} haloalkyl, C_{1-6} cyanoalkyl, C_{1-6} alkoxy(C_{1-6})alkyl, C_{3-7} cycloalkyl(C_{1-6})alkyl, C_{5-6} cycloalkenyl(C_{1-6})alkyl,

C_{3-6} alkenyloxy(C_{1-6})alkyl, C_{3-6} alkynyloxy(C_{1-6})alkyl, aryloxy(C_{1-6})alkyl, C_{1-6} carboxyalkyl, C_{1-6} alkylcarbonyl(C_{1-6})alkyl, C_{2-6} alkenylcarbonyl(C_{1-6})alkyl, C_{2-6} alkynylcarbonyl(C_{1-6})-alkyl, C_{1-6} alkoxycarbonyl(C_{1-6})alkyl, C_{3-6} alkenyloxycarbonyl(C_{1-6})alkyl, C_{3-6} alkynyloxycarbonyl(C_{1-6})alkyl, aryloxycarbonyl(C_{1-6})alkyl, C_{1-6} alkylthio(C_{1-6})alkyl, C_{1-6} alkylsulfinyl(C_{1-6})alkyl, C_{1-6} alkylsulfonyl(C_{1-6})alkyl, aminocarbonyl(C_{1-6})alkyl, C_{1-6} alkylaminocarbonyl(C_{1-6})alkyl, di(C_{1-6})alkylaminocarbonyl(C_{1-6})alkyl, phenyl(C_{1-4})alkyl (wherein the phenyl group is optionally substituted by halogen, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, CN, NO_2, aryl, heteroaryl, amino or dialkylamino), heteroaryl(C_{1-4})alkyl (wherein the heteroaryl group is optionally substituted by halo, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy), heterocyclyl(C_{1-4})alkyl (wherein the heterocyclyl group is optionally substituted by halo, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy), C_{2-6} alkenyl, aminocarbonyl(C_{2-6})alkenyl, C_{1-6} alkylaminocarbonyl(C_{2-6})alkenyl, di(C_{1-6})alkylaminocarbonyl(C_{2-6})alkenyl, phenyl(C_{2-4})-alkenyl, (wherein the phenyl group is optionally substituted by halogen, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, CN, NO_2, aryl, heteroaryl, amino or dialkylamino), C_{2-6} alkynyl, trimethylsilyl(C_{2-6})alkynyl, aminocarbonyl(C_{2-6})alkynyl, C_{1-6} alkylaminocarbonyl(C_{2-6})alkynyl, di(C_{1-6})alkylaminocarbonyl(C_{2-6})alkynyl, C_{1-6} alkoxycarbonyl, C_{3-7} cycloalkyl, C_{3-7} halocycloalkyl, C_{3-7} cyanocycloalkyl, C_{1-3} alkyl(C_{3-7})-cycloalkyl, C_{1-3} alkyl(C_{3-7})halocycloalkyl,phenyl (optionally substituted by halogen, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, CN, NO_2, aryl, heteroaryl, amino or dialkylamino), heteroaryl (optionally substituted by halo, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy), heterocyclyl (wherein the heterocyclyl group is optionally substituted by halo, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy), or 2 adjacent groups R^4 together with the carbon atoms to which they are attached form a 4, 5, 6 or 7 membered carbocylic or heterocyclic ring which may be optionally substituted by halogen, C_{1-8} alkoxy, C_{1-6} haloalkoxy, phenoxy (optionally substituted by halo, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy), heteroaryloxy (optionally substituted by halo, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy), C_{1-8} alkylthio or $R^{19}R^{20}N$ where R^{19} and R^{20} are, independently, hydrogen, C_{1-8} alkyl, C_{3-7} cycloalkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, C_{2-6} haloalkyl, C_{1-6} alkoxycarbonyl or R^{19} and R^{20} together with the N atom to which they are attached form a five, six or seven-membered heterocyclic ring which may contain one or two further

heteroatoms selected from O, N or S and which may be optionally substituted by one or two C_{1-6} alkyl groups; n is 0, 1, 2 or 3.

More preferably each R^4 is independently halogen, cyano, C_{1-8} alkyl, C_{1-8} haloalkyl, C_{1-8} cyanoalkyl, C_{1-6} alkoxy(C_{1-6})alkyl, C_{2-6} alkynyl, trimethylsilyl(C_{2-6})alkynyl, C_{1-6} alkoxycarbonyl, C_{3-7} cycloalkyl, C_{1-3} alkyl (C_{3-7}) cycloalkyl, phenyl (optionally substituted by halogen, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, CN, NO_2, aryl, heteroaryl, amino or dialkylamino), heterocyclyl (optionally substituted by halo, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy), C_{1-8} alkoxy, C_{1-6} haloalkoxy, phenoxy (optionally substituted by halogen, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, CN, NO_2, aryl, heteroaryl, amino or dialkylamino), heteroaryloxy (optionally substituted by halo, nitro, cyano, C_{1-3} alkyl, C_{1-3} haloalkyl, C_{1-3} alkoxy or C_{1-3} haloalkoxy), di(C_{1-8})alkylamino, or 2 adjacent groups R^4 together with the carbon atoms to which they are attached form a 4, 5, 6 or 7 membered carbocylic or heterocyclic ring which may be optionally substituted by halogen; n is 0, 1, 2 or 3.

Even more preferably each R^4 is independently halogen, cyano, C_{1-8} alkyl, C_{1-8} haloalkyl, C_{1-8} cyanoalkyl, C_{1-6} alkoxy(C_{1-6})alkyl, C_{2-6} alkynyl, heterocyclyl (optionally substituted by C_{1-6} alkyl), C_{1-8} alkoxy, C_{1-6} haloalkoxy, phenoxy (optionally substituted by halo, cyano, C_{1-3} alkyl or C_{1-3} haloalkyl), heteroaryloxy (optionally substituted by halo, cyano, C_{1-3} alkyl or C_{1-3} haloalkyl), di(C_{1-8})alkylamino or 2 adjacent groups R^4 together with the carbon atoms to which they are attached form a 4, 5, 6 or 7 membered carbocylic or heterocyclic ring which may be optionally substituted by halogen; n is 0, 1, 2 or 3.

Yet more preferably each R^4 is independently fluoro, chloro, bromo, cyano, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} cyanoalkyl or C_{1-3} alkoxy(C_{1-3})alkyl; n is 0, 1 or 2.

Most preferably each R^4 is independently fluoro, chloro, bromo, C_{1-4} alkyl or C_{1-4} haloalkyl; n is 1 or 2.

Preferably R^8 is C_{1-10} alkyl, C_{1-10} haloalkyl, aryl(C_{1-6})alkyl (wherein the aryl group is optionally substituted by halogen, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, CN, NO_2, aryl, heteroaryl, amino or dialkylamino), heteroaryl(C_{1-6})alkyl (wherein the heteroaryl group is optionally substituted by halogen, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, CN, NO_2, aryl, heteroaryl, amino or dialkylamino), arylcarbonyl-(C_{1-6})alkyl (wherein the aryl group may be optionally substituted by halogen, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, CN, NO_2, aryl, heteroaryl, amino or dialkylamino and the alkyl

group may be optionally substituted by aryl), C_{2-8} alkenyl, C_{2-8} haloalkenyl, aryl(C_{2-6})-alkenyl (wherein the aryl group is optionally substituted halogen, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, CN, NO_2, aryl, heteroaryl, amino or dialkylamino, C_{1-6} alkoxycarbonyl, or two adjacent substituents can cyclise to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring), heteroaryl(C_{2-6})-alkenyl (wherein the heteroaryl group is optionally substituted halogen, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, CN, NO_2, aryl, heteroaryl, amino or dialkylamino, C_{1-6} alkoxycarbonyl, or two adjacent substituents can cyclise to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring), C_{2-6} alkynyl, phenyl(C_{2-6})alkynyl (wherein the phenyl group is optionally substituted by halogen, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, CN, NO_2, aryl, heteroaryl, amino or dialkylamino), C_{3-7} cycloalkyl, C_{1-6} alkoxycarbonyl, C_{1-6} alkylcarbonyl, C_{1-6} haloalkylcarbonyl or aryl(C_{2-6})alkenylcarbonyl (wherein the aryl group may be optionally substituted halogen, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, CN, NO_2, aryl, heteroaryl, amino or dialkylamino), or $-C(R^{51})(R^{52})-[CR^{53}=CR^{54}]z-R^{55}$ where z is 1 or 2, R^{51} and R^{52} are each independently H, halo or C_{1-2} alkyl, R^{53} and R^{54} are each independently H, halogen, C_{1-4} alkyl or C_{1-4} haloalkyl and R^{55} is optionally substituted aryl or optionally substituted heteroaryl.

More preferably R^8 is phenyl(C_{1-4})alkyl (wherein the phenyl group is optionally substituted by halogen, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, CN, NO_2, aryl, heteroaryl, amino or dialkylamino), heteroaryl(C_{1-6})alkyl (wherein the heteroaryl group is optionally substituted halogen, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, CN, NO_2, aryl, heteroaryl, amino or dialkylamino), phenyl(C_{2-6})alkenyl (wherein the phenyl group is optionally substituted by halogen, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, CN, NO_2, aryl, heteroaryl, amino or dialkylamino), heteroaryl(C_{2-6})alkenyl (wherein the heteroaryl group is optionally substituted by halogen, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, CN, NO_2, aryl, heteroaryl, amino or dialkylamino) or phenyl(C_{2-6})alkynyl (wherein the phenyl group is optionally substituted by halogen, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, CN, NO_2, aryl, heteroaryl, amino or dialkylamino, or $-C(R^{51})(R^{52})-[CR^{53}=CR^{54}]z-R^{55}$ where z is 1 or 2, R^{51} and R^{52} are each independently H, halo or C_{1-2} alkyl, R^{53} and R^{54} are each independently H, halogen, C_{1-4} alkyl or C_{1-4} haloalkyl and R^{55} is optionally substituted aryl or optionally substituted heteroaryl.

Most preferably R^8 is $-C(R^{51})(R^{52})-[CR^{53}=CR^{54}]z-R^{55}$ where z is 1 or 2, preferably 1, R^{51} and R^{52} are each independently H, halo or C_{1-2} alkyl, R^{53} and R^{54} are each independently H, halogen, C_{1-4} alkyl or C_{1-4} haloalkyl and R^{55} is phenyl substituted by halogen, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, CN, NO_2, aryl, heteroaryl, amino or dialkylamino or heteroaryl substituted by halogen, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, CN, NO_2, aryl, heteroaryl, amino or dialkylamino.

R^{51} and R^{52} are preferably hydrogen.

R^{53} and R^{54} are preferably hydrogen or halogen, especially hydrogen.

R^{55} is preferably phenyl substituted with one to three substituents selected from halogen, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, CN, NO_2, aryl, heteroaryl, amino or dialkylamino.

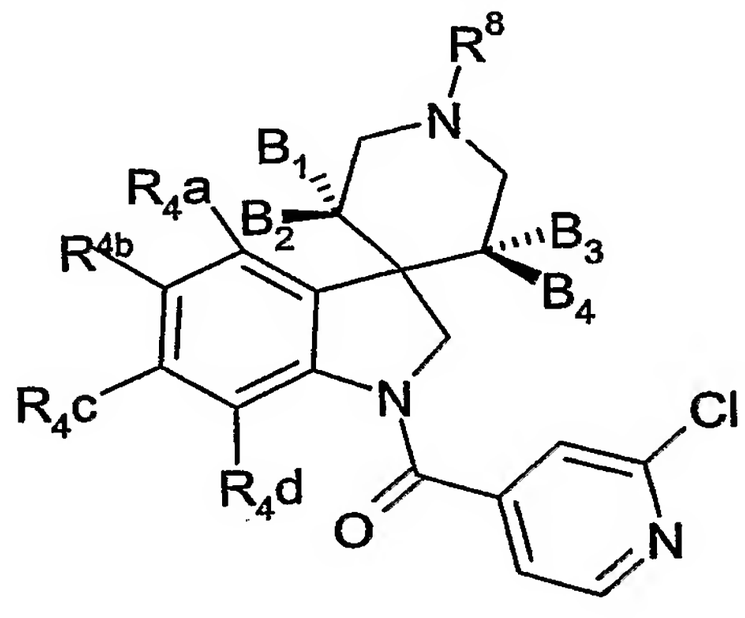
Preferably A_1, A_2, A_3, A_4, B_1, B_2, B_3 and B_4 are independently each hydrogen, halo, cyano, C_{1-3} alkyl, hydroxy or two groups attached to the same carbon atom together with the carbon atom form a carbonyl group.

More preferably A_1, A_2, A_3, A_4, B_1, B_2, B_3 and B_4 are independently independently hydrogen, fluoro, methyl, hydroxy or two groups or two groups attached to the same carbon atom together with the carbon atom form a carbonyl group.

Compounds of formula I are also novel ans as such form a further aspect of the invention.

The compounds in Tables I to XXXVII below illustrate the compounds of the invention.

Table I provides 782 compounds of formula Ia



(Ia)

wherein B_1 is CH_3, B_2, B_3 and B_4 are all hydrogen and the values of R^{4a}, R^{4b}, R^{4c}, R^{4d} and R^8 are given in Table 1

Table 1

Compound No	R^8	R^{4a}	R^{4b}	R^{4c}	R^{4d}
I-1	4-chlorobenzyl	H	H	H	H
I-2	Cinnamyl	H	H	H	H
I-3	4-chlorocinnamyl	H	H	H	H
I-4	4-fluorocinnamyl	H	H	H	H
I-5	4-bromocinnamyl	H	H	H	H
I-6	4-trifluoromethylcinnamyl	H	H	H	H
I-7	4-trifluoromethoxycinnamyl	H	H	H	H
I-8	4-pentafluoroethoxycinnamyl	H	H	H	H
I-9	4-methoxycinnamyl	H	H	H	H
I-10	4-ethoxycinnamyl	H	H	H	H
I-11	4-cyanocinnamyl	H	H	H	H
I-12	3-(6-chloro-pyridin-3-yl)-allyl	H	H	H	H
I-13	3-(4-chlorophenyl)-but-2-enyl	H	H	H	H
I-14	3-(4-chlorophenyl)-3-fluoro-allyl	H	H	H	H
I-15	3-chloro-4-fluoro-cinnamyl	H	H	H	H
I-16	3,5-dichloro-cinnamyl	H	H	H	H
I-17	5-phenyl-penta-2,4-dienyl	H	H	H	H
I-18	4-isopropyloxycarbonylamino-cinnamyl	H	H	H	H
I-19	3-naphthalen-2-yl-allyl	H	H	H	H
I-20	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	H	H	H
I-21	3-(5-chloro-pyridin-2-yl)-allyl	H	H	H	H
I-22	3-pyridin-4-yl-allyl	H	H	H	H
I-23	3-(2-Chloro-pyridin-4-yl)-allyl	H	H	H	H
I-24	4-chlorobenzyl	H	F	H	H
I-25	Cinnamyl	H	F	H	H
I-26	4-chlorocinnamyl	H	F	H	H
I-27	4-fluorocinnamyl	H	F	H	H
I-28	4-bromocinnamyl	H	F	H	H

I-29	4-trifluoromethylcinnamyl	H	F	H	H
I-30	4-trifluoromethoxycinnamyl	H	F	H	H
I-31	4-pentafluoroethoxycinnamyl	H	F	H	H
I-32	4-methoxycinnamyl	H	F	H	H
I-33	4-ethoxycinnamyl	H	F	H	H
I-34	4-cyanocinnamyl	H	F	H	H
I-35	3-(6-chloro-pyridin-3-yl)-allyl	H	F	H	H
I-36	3-(4-chlorophenyl)-but-2-enyl	H	F	H	H
I-37	3-(4-chlorophenyl)-3-fluoro-allyl	H	F	H	H
I-38	3-chloro-4-fluoro-cinnamyl	H	F	H	H
I-39	3,5-dichloro-cinnamyl	H	F	H	H
I-40	5-phenyl-penta-2,4-dienyl	H	F	H	H
I-41	4-isopropyloxycarbonylamino-cinnamyl	H	F	H	H
I-42	3-naphthalen-2-yl-allyl	H	F	H	H
I-43	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	F	H	H
I-44	3-(5-chloro-pyridin-2-yl)-allyl	H	F	H	H
I-45	3-pyridin-4-yl-allyl	H	F	H	H
I-46	3-(2-Chloro-pyridin-4-yl)-allyl	H	F	H	H
I-47	4-chlorobenzyl	H	Cl	H	H
I-48	Cinnamyl	H	Cl	H	H
I-49	4-chlorocinnamyl	H	Cl	H	H
I-50	4-fluorocinnamyl	H	Cl	H	H
I-51	4-bromocinnamyl	H	Cl	H	H
I-52	4-trifluoromethylcinnamyl	H	Cl	H	H
I-53	4-trifluoromethoxycinnamyl	H	Cl	H	H
I-54	4-pentafluoroethoxycinnamyl	H	Cl	H	H
I-55	4-methoxycinnamyl	H	Cl	H	H
I-56	4-ethoxycinnamyl	H	Cl	H	H
I-57	4-cyanocinnamyl	H	Cl	H	H
I-58	3-(6-chloro-pyridin-3-yl)-allyl	H	Cl	H	H
I-59	3-(4-chlorophenyl)-but-2-enyl	H	Cl	H	H

I-60	3-(4-chlorophenyl)-3-fluoro-allyl	H	Cl	H	H
I-61	3-chloro-4-fluoro-cinnamyl	H	Cl	H	H
I-62	3,5-dichloro-cinnamyl	H	Cl	H	H
I-63	5-phenyl-penta-2,4-dienyl	H	Cl	H	H
I-64	4-isopropyloxycarbonylamino-cinnamyl	H	Cl	H	H
I-65	3-naphthalen-2-yl-allyl	H	Cl	H	H
I-66	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	Cl	H	H
I-67	3-(5-chloro-pyridin-2-yl)-allyl	H	Cl	H	H
I-68	3-pyridin-4-yl-allyl	H	Cl	H	H
I-69	3-(2-Chloro-pyridin-4-yl)-allyl	H	Cl	H	H
I-70	4-chlorobenzyl	H	Br	H	H
I-71	Cinnamyl	H	Br	H	H
I-72	4-chlorocinnamyl	H	Br	H	H
I-73	4-fluorocinnamyl	H	Br	H	H
I-74	4-bromocinnamyl	H	Br	H	H
I-75	4-trifluoromethylcinnamyl	H	Br	H	H
I-76	4-trifluoromethoxycinnamyl	H	Br	H	H
I-77	4-pentafluoroethoxycinnamyl	H	Br	H	H
I-78	4-methoxycinnamyl	H	Br	H	H
I-79	4-ethoxycinnamyl	H	Br	H	H
I-80	4-cyanocinnamyl	H	Br	H	H
I-81	3-(6-chloro-pyridin-3-yl)-allyl	H	Br	H	H
I-82	3-(4-chlorophenyl)-but-2-enyl	H	Br	H	H
I-83	3-(4-chlorophenyl)-3-fluoro-allyl	H	Br	H	H
I-84	3-chloro-4-fluoro-cinnamyl	H	Br	H	H
I-85	3,5-dichloro-cinnamyl	H	Br	H	H
I-86	5-phenyl-penta-2,4-dienyl	H	Br	H	H
I-87	4-isopropyloxycarbonylamino-cinnamyl	H	Br	H	H
I-88	3-naphthalen-2-yl-allyl	H	Br	H	H
I-89	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	Br	H	H
I-90	3-(5-chloro-pyridin-2-yl)-allyl	H	Br	H	H

I-91	3-pyridin-4-yl-allyl	H	Br	H	H
I-92	3-(2-Chloro-pyridin-4-yl)-allyl	H	Br	H	H
I-93	4-chlorobenzyl	H	CN	H	H
I-94	Cinnamyl	H	CN	H	H
I-95	4-chlorocinnamyl	H	CN	H	H
I-96	4-fluorocinnamyl	H	CN	H	H
I-97	4-bromocinnamyl	H	CN	H	H
I-98	4-trifluoromethylcinnamyl	H	CN	H	H
I-99	4-trifluoromethoxycinnamyl	H	CN	H	H
I-100	4-pentafluoroethoxycinnamyl	H	CN	H	H
I-101	4-methoxycinnamyl	H	CN	H	H
I-102	4-ethoxycinnamyl	H	CN	H	H
I-103	4-cyanocinnamyl	H	CN	H	H
I-104	3-(6-chloro-pyridin-3-yl)-allyl	H	CN	H	H
I-105	3-(4-chlorophenyl)-but-2-enyl	H	CN	H	H
I-106	3-(4-chlorophenyl)-3-fluoro-allyl	H	CN	H	H
I-107	3-chloro-4-fluoro-cinnamyl	H	CN	H	H
I-108	3,5-dichloro-cinnamyl	H	CN	H	H
I-109	5-phenyl-penta-2,4-dienyl	H	CN	H	H
I-110	4-isopropyloxycarbonylamino-cinnamyl	H	CN	H	H
I-111	3-naphthalen-2-yl-allyl	H	CN	H	H
I-112	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	CN	H	H
I-113	3-(5-chloro-pyridin-2-yl)-allyl	H	CN	H	H
I-114	3-pyridin-4-yl-allyl	H	CN	H	H
I-115	3-(2-Chloro-pyridin-4-yl)-allyl	H	CN	H	H
I-116	4-chlorobenzyl	H	OMe	H	H
I-117	Cinnamyl	H	OMe	H	H
I-118	4-chlorocinnamyl	H	OMe	H	H
I-119	4-fluorocinnamyl	H	OMe	H	H
I-120	4-bromocinnamyl	H	OMe	H	H
I-121	4-trifluoromethylcinnamyl	H	OMe	H	H

I-122	4-trifluoromethoxycinnamyl	H	OMe	H	H
I-123	4-pentafluoroethoxycinnamyl	H	OMe	H	H
I-124	4-methoxycinnamyl	H	OMe	H	H
I-125	4-ethoxycinnamyl	H	OMe	H	H
I-126	4-cyanocinnamyl	H	OMe	H	H
I-127	3-(6-chloro-pyridin-3-yl)-allyl	H	OMe	H	H
I-128	3-(4-chlorophenyl)-but-2-enyl	H	OMe	H	H
I-129	3-(4-chlorophenyl)-3-fluoro-allyl	H	OMe	H	H
I-130	3-chloro-4-fluoro-cinnamyl	H	OMe	H	H
I-131	3,5-dichloro-cinnamyl	H	OMe	H	H
I-132	5-phenyl-penta-2,4-dienyl	H	OMe	H	H
I-133	4-isopropyloxycarbonylamino-cinnamyl	H	OMe	H	H
I-134	3-naphthalen-2-yl-allyl	H	OMe	H	H
I-135	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	OMe	H	H
I-136	3-(5-chloro-pyridin-2-yl)-allyl	H	OMe	H	H
I-137	3-pyridin-4-yl-allyl	H	OMe	H	H
I-138	3-(2-Chloro-pyridin-4-yl)-allyl	H	OMe	H	H
I-139	4-chlorobenzyl	H	OCF_3	H	H
I-140	Cinnamyl	H	OCF_3	H	H
I-141	4-chlorocinnamyl	H	OCF_3	H	H
I-142	4-fluorocinnamyl	H	OCF_3	H	H
I-143	4-bromocinnamyl	H	OCF_3	H	H
I-144	4-trifluoromethylcinnamyl	H	OCF_3	H	H
I-145	4-trifluoromethoxycinnamyl	H	OCF_3	H	H
I-146	4-pentafluoroethoxycinnamyl	H	OCF_3	H	H
I-147	4-methoxycinnamyl	H	OCF_3	H	H
I-148	4-ethoxycinnamyl	H	OCF_3	H	H
I-149	4-cyanocinnamyl	H	OCF_3	H	H
I-150	3-(6-chloro-pyridin-3-yl)-allyl	H	OCF_3	H	H
I-151	3-(4-chlorophenyl)-but-2-enyl	H	OCF_3	H	H
I-152	3-(4-chlorophenyl)-3-fluoro-allyl	H	OCF_3	H	H

I-153	3-chloro-4-fluoro-cinnamyl	H	OCF_3	H	H
I-154	3,5-dichloro-cinnamyl	H	OCF_3	H	H
I-155	5-phenyl-penta-2,4-dienyl	H	OCF_3	H	H
I-156	4-isopropyloxycarbonylamino-cinnamyl	H	OCF_3	H	H
I-157	3-naphthalen-2-yl-allyl	H	OCF_3	H	H
I-158	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	OCF_3	H	H
I-159	3-(5-chloro-pyridin-2-yl)-allyl	H	OCF_3	H	H
I-160	3-pyridin-4-yl-allyl	H	OCF_3	H	H
I-161	3-(2-Chloro-pyridin-4-yl)-allyl	H	OCF_3	H	H
I-162	4-chlorobenzyl	H	CH_3	H	H
I-163	Cinnamyl	H	CH_3	H	H
I-164	4-chlorocinnamyl	H	CH_3	H	H
I-165	4-fluorocinnamyl	H	CH_3	H	H
I-166	4-bromocinnamyl	H	CH_3	H	H
I-167	4-trifluoromethylcinnamyl	H	CH_3	H	H
I-168	4-trifluoromethoxycinnamyl	H	CH_3	H	H
I-169	4-pentafluoroethoxycinnamyl	H	CH_3	H	H
I-170	4-methoxycinnamyl	H	CH_3	H	H
I-171	4-ethoxycinnamyl	H	CH_3	H	H
I-172	4-cyanocinnamyl	H	CH_3	H	H
I-173	3-(6-chloro-pyridin-3-yl)-allyl	H	CH_3	H	H
I-174	3-(4-chlorophenyl)-but-2-enyl	H	CH_3	H	H
I-175	3-(4-chlorophenyl)-3-fluoro-allyl	H	CH_3	H	H
I-176	3-chloro-4-fluoro-cinnamyl	H	CH_3	H	H
I-177	3,5-dichloro-cinnamyl	H	CH_3	H	H
I-178	5-phenyl-penta-2,4-dienyl	H	CH_3	H	H
I-179	4-isopropyloxycarbonylamino-cinnamyl	H	CH_3	H	H
I-180	3-naphthalen-2-yl-allyl	H	CH_3	H	H
I-181	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	CH_3	H	H
I-182	3-(5-chloro-pyridin-2-yl)-allyl	H	CH_3	H	H
I-183	3-pyridin-4-yl-allyl	H	CH_3	H	H

I-184	3-(2-Chloro-pyridin-4-yl)-allyl	H	CH_3	H	H
I-185	4-chlorobenzyl	H	CF_3	H	H
I-186	Cinnamyl	H	CF_3	H	H
I-187	4-chlorocinnamyl	H	CF_3	H	H
I-188	4-fluorocinnamyl	H	CF_3	H	H
I-189	4-bromocinnamyl	H	CF_3	H	H
I-190	4-trifluoromethylcinnamyl	H	CF_3	H	H
I-191	4-trifluoromethoxycinnamyl	H	CF_3	H	H
I-192	4-pentafluoroethoxycinnamyl	H	CF_3	H	H
I-193	4-methoxycinnamyl	H	CF_3	H	H
I-194	4-ethoxycinnamyl	H	CF_3	H	H
I-195	4-cyanocinnamyl	H	CF_3	H	H
I-196	3-(6-chloro-pyridin-3-yl)-allyl	H	CF_3	H	H
I-197	3-(4-chlorophenyl)-but-2-enyl	H	CF_3	H	H
I-198	3-(4-chlorophenyl)-3-fluoro-allyl	H	CF_3	H	H
I-199	3-chloro-4-fluoro-cinnamyl	H	CF_3	H	H
I-200	3,5-dichloro-cinnamyl	H	CF_3	H	H
I-201	5-phenyl-penta-2,4-dienyl	H	CF_3	H	H
I-202	4-isopropyloxycarbonylamino-cinnamyl	H	CF_3	H	H
I-203	3-naphthalen-2-yl-allyl	H	CF_3	H	H
I-204	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	CF_3	H	H
I-205	3-(5-chloro-pyridin-2-yl)-allyl	H	CF_3	H	H
I-206	3-pyridin-4-yl-allyl	H	CF_3	H	H
I-207	3-(2-Chloro-pyridin-4-yl)-allyl	H	CF_3	H	H
I-208	4-chlorobenzyl	H	H	Cl	H
I-209	Cinnamyl	H	H	Cl	H
I-210	4-chlorocinnamyl	H	H	Cl	H
I-211	4-fluorocinnamyl	H	H	Cl	H
I-212	4-bromocinnamyl	H	H	Cl	H
I-213	4-trifluoromethylcinnamyl	H	H	Cl	H
I-214	4-trifluoromethoxycinnamyl	H	H	Cl	H

I-215	4-pentafluoroethoxycinnamyl	H	H	Cl	H
I-216	4-methoxycinnamyl	H	H	Cl	H
I-217	4-ethoxycinnamyl	H	H	Cl	H
I-218	4-cyanocinnamyl	H	H	Cl	H
I-219	3-(6-chloro-pyridin-3-yl)-allyl	H	H	Cl	H
I-220	3-(4-chlorophenyl)-but-2-enyl	H	H	Cl	H
I-221	3-(4-chlorophenyl)-3-fluoro-allyl	H	H	Cl	H
I-222	3-chloro-4-fluoro-cinnamyl	H	H	Cl	H
I-223	3,5-dichloro-cinnamyl	H	H	Cl	H
I-224	5-phenyl-penta-2,4-dienyl	H	H	Cl	H
I-225	4-isopropyloxycarbonylamino-cinnamyl	H	H	Cl	H
I-226	3-naphthalen-2-yl-allyl	H	H	Cl	H
I-227	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	H	Cl	H
I-228	3-(5-chloro-pyridin-2-yl)-allyl	H	H	Cl	H
I-229	3-pyridin-4-yl-allyl	H	H	Cl	H
I-230	3-(2-Chloro-pyridin-4-yl)-allyl	H	H	Cl	H
I-231	4-chlorobenzyl	H	H	F	H
I-232	Cinnamyl	H	H	F	H
I-233	4-chlorocinnamyl	H	H	F	H
I-234	4-fluorocinnamyl	H	H	F	H
I-235	4-bromocinnamyl	H	H	F	H
I-236	4-trifluoromethylcinnamyl	H	H	F	H
I-237	4-trifluoromethoxycinnamyl	H	H	F	H
I-238	4-pentafluoroethoxycinnamyl	H	H	F	H
I-239	4-methoxycinnamyl	H	H	F	H
I-240	4-ethoxycinnamyl	H	H	F	H
I-241	4-cyanocinnamyl	H	H	F	H
I-242	3-(6-chloro-pyridin-3-yl)-allyl	H	H	F	H
I-243	3-(4-chlorophenyl)-but-2-enyl	H	H	F	H
I-244	3-(4-chlorophenyl)-3-fluoro-allyl	H	H	F	H
I-245	3-chloro-4-fluoro-cinnamyl	H	H	F	H

I-246	3,5-dichloro-cinnamyl	H	H	F	H
I-247	5-phenyl-penta-2,4-dienyl	H	H	F	H
I-248	4-isopropyloxycarbonylamino-cinnamyl	H	H	F	H
I-249	3-naphthalen-2-yl-allyl	H	H	F	H
I250	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	H	F	H
I-251	3-(5-chloro-pyridin-2-yl)-allyl	H	H	F	H
I-252	3-pyridin-4-yl-allyl	H	H	F	H
I-253	3-(2-Chloro-pyridin-4-yl)-allyl	H	H	F	H
I-254	4-chlorobenzyl	H	H	Br	H
I-255	Cinnamyl	H	H	Br	H
I-256	4-chlorocinnamyl	H	H	Br	H
I-257	4-fluorocinnamyl	H	H	Br	H
I-258	4-bromocinnamyl	H	H	Br	H
I-259	4-trifluoromethylcinnamyl	H	H	Br	H
I-260	4-trifluoromethoxycinnamyl	H	H	Br	H
I-261	4-pentafluoroethoxycinnamyl	H	H	Br	H
I-262	4-methoxycinnamyl	H	H	Br	H
I-263	4-ethoxycinnamyl	H	H	Br	H
I-264	4-cyanocinnamyl	H	H	Br	H
I-265	3-(6-chloro-pyridin-3-yl)-allyl	H	H	Br	H
I-266	3-(4-chlorophenyl)-but-2-enyl	H	H	Br	H
I-267	3-(4-chlorophenyl)-3-fluoro-allyl	H	H	Br	H
I-268	3-chloro-4-fluoro-cinnamyl	H	H	Br	H
I-269	3,5-dichloro-cinnamyl	H	H	Br	H
I-270	5-phenyl-penta-2,4-dienyl	H	H	Br	H
I-271	4-isopropyloxycarbonylamino-cinnamyl	H	H	Br	H
I-272	3-naphthalen-2-yl-allyl	H	H	Br	H
I-273	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	H	Br	H
I-274	3-(5-chloro-pyridin-2-yl)-allyl	H	H	Br	H
I-275	3-pyridin-4-yl-allyl	H	H	Br	H
I-276	3-(2-Chloro-pyridin-4-yl)-allyl	H	H	Br	H

I-277	4-chlorobenzyl	H	H	OCF_3	H
I-278	Cinnamyl	H	H	OCF_3	H
I-279	4-chlorocinnamyl	H	H	OCF_3	H
I-280	4-fluorocinnamyl	H	H	OCF_3	H
I-281	4-bromocinnamyl	H	H	OCF_3	H
I-282	4-trifluoromethylcinnamyl	H	H	OCF_3	H
I-283	4-trifluoromethoxycinnamyl	H	H	OCF_3	H
I-284	4-pentafluoroethoxycinnamyl	H	H	OCF_3	H
I-285	4-methoxycinnamyl	H	H	OCF_3	H
I-286	4-ethoxycinnamyl	H	H	OCF_3	H
I-287	4-cyanocinnamyl	H	H	OCF_3	H
I-288	3-(6-chloro-pyridin-3-yl)-allyl	H	H	OCF_3	H
I-289	3-(4-chlorophenyl)-but-2-enyl	H	H	OCF_3	H
I-290	3-(4-chlorophenyl)-3-fluoro-allyl	H	H	OCF_3	H
I-291	3-chloro-4-fluoro-cinnamyl	H	H	OCF_3	H
I-292	3,5-dichloro-cinnamyl	H	H	OCF_3	H
I-293	5-phenyl-penta-2,4-dienyl	H	H	OCF_3	H
I-294	4-isopropyloxycarbonylamino-cinnamyl	H	H	OCF_3	H
I-295	3-naphthalen-2-yl-allyl	H	H	OCF_3	H
I-296	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	H	OCF_3	H
I-297	3-(5-chloro-pyridin-2-yl)-allyl	H	H	OCF_3	H
I-298	3-pyridin-4-yl-allyl	H	H	OCF_3	H
I-299	3-(2-Chloro-pyridin-4-yl)-allyl	H	H	OCF_3	H
I-300	4-chlorobenzyl	H	H	CH_3	H
I-301	Cinnamyl	H	H	CH_3	H
I-302	4-chlorocinnamyl	H	H	CH_3	H
I-303	4-fluorocinnamyl	H	H	CH_3	H
I-304	4-bromocinnamyl	H	H	CH_3	H
I-305	4-trifluoromethylcinnamyl	H	H	CH_3	H
I-306	4-trifluoromethoxycinnamyl	H	H	CH_3	H
I-307	4-pentafluoroethoxycinnamyl	H	H	CH_3	H

I-308	4-methoxycinnamyl	H	H	CH_3	H
I-309	4-ethoxycinnamyl	H	H	CH_3	H
I-310	4-cyanocinnamyl	H	H	CH_3	H
I-311	3-(6-chloro-pyridin-3-yl)-allyl	H	H	CH_3	H
I-312	3-(4-chlorophenyl)-but-2-enyl	H	H	CH_3	H
I-313	3-(4-chlorophenyl)-3-fluoro-allyl	H	H	CH_3	H
I-314	3-chloro-4-fluoro-cinnamyl	H	H	CH_3	H
I-315	3,5-dichloro-cinnamyl	H	H	CH_3	H
I-316	5-phenyl-penta-2,4-dienyl	H	H	CH_3	H
I-317	4-isopropyloxycarbonylamino-cinnamyl	H	H	CH_3	H
I-318	3-naphthalen-2-yl-allyl	H	H	CH_3	H
I-319	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	H	CH_3	H
I-320	3-(5-chloro-pyridin-2-yl)-allyl	H	H	CH_3	H
I-321	3-pyridin-4-yl-allyl	H	H	CH_3	H
I-322	3-(2-Chloro-pyridin-4-yl)-allyl	H	H	CH_3	H
I-323	4-chlorobenzyl	H	H	CF_3	H
I-324	Cinnamyl	H	H	CF_3	H
I-325	4-chlorocinnamyl	H	H	CF_3	H
I-326	4-fluorocinnamyl	H	H	CF_3	H
I-327	4-bromocinnamyl	H	H	CF_3	H
I-328	4-trifluoromethylcinnamyl	H	H	CF_3	H
I-329	4-trifluoromethoxycinnamyl	H	H	CF_3	H
I-330	4-pentafluoroethoxycinnamyl	H	H	CF_3	H
I-331	4-methoxycinnamyl	H	H	CF_3	H
I-332	4-ethoxycinnamyl	H	H	CF_3	H
I-333	4-cyanocinnamyl	H	H	CF_3	H
I-334	3-(6-chloro-pyridin-3-yl)-allyl	H	H	CF_3	H
I-335	3-(4-chlorophenyl)-but-2-enyl	H	H	CF_3	H
I-336	3-(4-chlorophenyl)-3-fluoro-allyl	H	H	CF_3	H
I-337	3-chloro-4-fluoro-cinnamyl	H	H	CF_3	H
I-338	3,5-dichloro-cinnamyl	H	H	CF_3	H

I-339	5-phenyl-penta-2,4-dienyl	H	H	CF_3	H
I-340	4-isopropyloxycarbonylamino-cinnamyl	H	H	CF_3	H
I-341	3-naphthalen-2-yl-allyl	H	H	CF_3	H
I-342	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	H	CF_3	H
I-343	3-(5-chloro-pyridin-2-yl)-allyl	H	H	CF_3	H
I-344	3-pyridin-4-yl-allyl	H	H	CF_3	H
I-345	3-(2-Chloro-pyridin-4-yl)-allyl	H	H	CF_3	H
I-346	4-chlorobenzyl	F	H	H	H
I-347	Cinnamyl	F	H	H	H
I-348	4-chlorocinnamyl	F	H	H	H
I-349	4-fluorocinnamyl	F	H	H	H
I350	4-bromocinnamyl	F	H	H	H
I-351	4-trifluoromethylcinnamyl	F	H	H	H
I-352	4-trifluoromethoxycinnamyl	F	H	H	H
I-353	4-pentafluoroethoxycinnamyl	F	H	H	H
I-354	4-methoxycinnamyl	F	H	H	H
I-355	4-ethoxycinnamyl	F	H	H	H
I-356	4-cyanocinnamyl	F	H	H	H
I-357	3-(6-chloro-pyridin-3-yl)-allyl	F	H	H	H
I-358	3-(4-chlorophenyl)-but-2-enyl	F	H	H	H
I-359	3-(4-chlorophenyl)-3-fluoro-allyl	F	H	H	H
I-360	3-chloro-4-fluoro-cinnamyl	F	H	H	H
I-361	3,5-dichloro-cinnamyl	F	H	H	H
I-362	5-phenyl-penta-2,4-dienyl	F	H	H	H
I-363	4-isopropyloxycarbonylamino-cinnamyl	F	H	H	H
I-364	3-naphthalen-2-yl-allyl	F	H	H	H
I-365	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	F	H	H	H
I-366	3-(5-chloro-pyridin-2-yl)-allyl	F	H	H	H
I-367	3-pyridin-4-yl-allyl	F	H	H	H
I-368	3-(2-Chloro-pyridin-4-yl)-allyl	F	H	H	H
I-369	4-chlorobenzyl	Cl	H	H	H

I-370	Cinnamyl	Cl	H	H	H
I-371	4-chlorocinnamyl	Cl	H	H	H
I-372	4-fluorocinnamyl	Cl	H	H	H
I-373	4-bromocinnamyl	Cl	H	H	H
I-374	4-trifluoromethylcinnamyl	Cl	H	H	H
I-375	4-trifluoromethoxycinnamyl	Cl	H	H	H
I-376	4-pentafluoroethoxycinnamyl	Cl	H	H	H
I-377	4-methoxycinnamyl	Cl	H	H	H
I-378	4-ethoxycinnamyl	Cl	H	H	H
I-379	4-cyanocinnamyl	Cl	H	H	H
I-380	3-(6-chloro-pyridin-3-yl)-allyl	Cl	H	H	H
I-381	3-(4-chlorophenyl)-but-2-enyl	Cl	H	H	H
I-382	3-(4-chlorophenyl)-3-fluoro-allyl	Cl	H	H	H
I-383	3-chloro-4-fluoro-cinnamyl	Cl	H	H	H
I-384	3,5-dichloro-cinnamyl	Cl	H	H	H
I-385	5-phenyl-penta-2,4-dienyl	Cl	H	H	H
I-386	4-isopropyloxycarbonylamino-cinnamyl	Cl	H	H	H
I-387	3-naphthalen-2-yl-allyl	Cl	H	H	H
I-388	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	Cl	H	H	H
I-389	3-(5-chloro-pyridin-2-yl)-allyl	Cl	H	H	H
I-390	3-pyridin-4-yl-allyl	Cl	H	H	H
I-391	3-(2-Chloro-pyridin-4-yl)-allyl	Cl	H	H	H
I-392	4-chlorobenzyl	Br	H	H	H
I-393	Cinnamyl	Br	H	H	H
I-394	4-chlorocinnamyl	Br	H	H	H
I-395	4-fluorocinnamyl	Br	H	H	H
I-396	4-bromocinnamyl	Br	H	H	H
I-397	4-trifluoromethylcinnamyl	Br	H	H	H
I-398	4-trifluoromethoxycinnamyl	Br	H	H	H
I-399	4-pentafluoroethoxycinnamyl	Br	H	H	H
I-400	4-methoxycinnamyl	Br	H	H	H

I-401	4-ethoxycinnamyl	Br	H	H	H
I-402	4-cyanocinnamyl	Br	H	H	H
I-403	3-(6-chloro-pyridin-3-yl)-allyl	Br	H	H	H
I-404	3-(4-chlorophenyl)-but-2-enyl	Br	H	H	H
I-405	3-(4-chlorophenyl)-3-fluoro-allyl	Br	H	H	H
I-406	3-chloro-4-fluoro-cinnamyl	Br	H	H	H
I-407	3,5-dichloro-cinnamyl	Br	H	H	H
I-408	5-phenyl-penta-2,4-dienyl	Br	H	H	H
I-409	4-isopropyloxycarbonylamino-cinnamyl	Br	H	H	H
I-410	3-naphthalen-2-yl-allyl	Br	H	H	H
I-411	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	Br	H	H	H
I-412	3-(5-chloro-pyridin-2-yl)-allyl	Br	H	H	H
I-413	3-pyridin-4-yl-allyl	Br	H	H	H
I-414	3-(2-Chloro-pyridin-4-yl)-allyl	Br	H	H	H
I-415	4-chlorobenzyl	CF_3	H	H	H
I-416	Cinnamyl	CF_3	H	H	H
I-417	4-chlorocinnamyl	CF_3	H	H	H
I-418	4-fluorocinnamyl	CF_3	H	H	H
I-419	4-bromocinnamyl	CF_3	H	H	H
I-420	4-trifluoromethylcinnamyl	CF_3	H	H	H
I-421	4-trifluoromethoxycinnamyl	CF_3	H	H	H
I-422	4-pentafluoroethoxycinnamyl	CF_3	H	H	H
I-423	4-methoxycinnamyl	CF_3	H	H	H
I-424	4-ethoxycinnamyl	CF_3	H	H	H
I-425	4-cyanocinnamyl	CF_3	H	H	H
I-426	3-(6-chloro-pyridin-3-yl)-allyl	CF_3	H	H	H
I-427	3-(4-chlorophenyl)-but-2-enyl	CF_3	H	H	H
I-428	3-(4-chlorophenyl)-3-fluoro-allyl	CF_3	H	H	H
I-429	3-chloro-4-fluoro-cinnamyl	CF_3	H	H	H
I-430	3,5-dichloro-cinnamyl	CF_3	H	H	H
I-431	5-phenyl-penta-2,4-dienyl	CF_3	H	H	H

I-432	4-isopropyloxycarbonylamino-cinnamyl	CF_3	H	H	H
I-433	3-naphthalen-2-yl-allyl	CF_3	H	H	H
I-434	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	CF_3	H	H	H
I-435	3-(5-chloro-pyridin-2-yl)-allyl	CF_3	H	H	H
I-436	3-pyridin-4-yl-allyl	CF_3	H	H	H
I-437	3-(2-Chloro-pyridin-4-yl)-allyl	CF_3	H	H	H
I-438	4-chlorobenzyl	H	H	H	F
I-439	Cinnamyl	H	H	H	F
I-440	4-chlorocinnamyl	H	H	H	F
I-441	4-fluorocinnamyl	H	H	H	F
I-442	4-bromocinnamyl	H	H	H	F
I-443	4-trifluoromethylcinnamyl	H	H	H	F
I-444	4-trifluoromethoxycinnamyl	H	H	H	F
I-445	4-pentafluoroethoxycinnamyl	H	H	H	F
I-446	4-methoxycinnamyl	H	H	H	F
I-447	4-ethoxycinnamyl	H	H	H	F
I-448	4-cyanocinnamyl	H	H	H	F
I-449	3-(6-chloro-pyridin-3-yl)-allyl	H	H	H	F
I450	3-(4-chlorophenyl)-but-2-enyl	H	H	H	F
I-451	3-(4-chlorophenyl)-3-fluoro-allyl	H	H	H	F
I-452	3-chloro-4-fluoro-cinnamyl	H	H	H	F
I-453	3,5-dichloro-cinnamyl	H	H	H	F
I-454	5-phenyl-penta-2,4-dienyl	H	H	H	F
I-455	4-isopropyloxycarbonylamino-cinnamyl	H	H	H	F
I-456	3-naphthalen-2-yl-allyl	H	H	H	F
I-457	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	H	H	F
I-458	3-(5-chloro-pyridin-2-yl)-allyl	H	H	H	F
I-459	3-pyridin-4-yl-allyl	H	H	H	F
I-460	3-(2-Chloro-pyridin-4-yl)-allyl	H	H	H	F
I-461	4-chlorobenzyl	H	H	H	Cl
I-462	Cinnamyl	H	H	H	Cl

I-463	4-chlorocinnamyl	H	H	H	Cl
I-464	4-fluorocinnamyl	H	H	H	Cl
I-465	4-bromocinnamyl	H	H	H	Cl
I-466	4-trifluoromethylcinnamyl	H	H	H	Cl
I-467	4-trifluoromethoxycinnamyl	H	H	H	Cl
I-468	4-pentafluoroethoxycinnamyl	H	H	H	Cl
I-469	4-methoxycinnamyl	H	H	H	Cl
I-470	4-ethoxycinnamyl	H	H	H	Cl
I-471	4-cyanocinnamyl	H	H	H	Cl
I-472	3-(6-chloro-pyridin-3-yl)-allyl	H	H	H	Cl
I-473	3-(4-chlorophenyl)-but-2-enyl	H	H	H	Cl
I-474	3-(4-chlorophenyl)-3-fluoro-allyl	H	H	H	Cl
I-475	3-chloro-4-fluoro-cinnamyl	H	H	H	Cl
I-476	3,5-dichloro-cinnamyl	H	H	H	Cl
I-477	5-phenyl-penta-2,4-dienyl	H	H	H	Cl
I-478	4-isopropyloxycarbonylamino-cinnamyl	H	H	H	Cl
I-479	3-naphthalen-2-yl-allyl	H	H	H	Cl
I-480	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	H	H	Cl
I-481	3-(5-chloro-pyridin-2-yl)-allyl	H	H	H	Cl
I-482	3-pyridin-4-yl-allyl	H	H	H	Cl
I-483	3-(2-Chloro-pyridin-4-yl)-allyl	H	H	H	Cl
I-484	4-chlorobenzyl	H	F	F	H
I-485	Cinnamyl	H	F	F	H
I-486	4-chlorocinnamyl	H	F	F	H
I-487	4-fluorocinnamyl	H	F	F	H
I-488	4-bromocinnamyl	H	F	F	H
I-489	4-trifluoromethylcinnamyl	H	F	F	H
I-490	4-trifluoromethoxycinnamyl	H	F	F	H
I-491	4-pentafluoroethoxycinnamyl	H	F	F	H
I-492	4-methoxycinnamyl	H	F	F	H
I-493	4-ethoxycinnamyl	H	F	F	H

I-494	4-cyanocinnamyl	H	F	F	H
I-495	3-(6-chloro-pyridin-3-yl)-allyl	H	F	F	H
I-496	3-(4-chlorophenyl)-but-2-enyl	H	F	F	H
I-497	3-(4-chlorophenyl)-3-fluoro-allyl	H	F	F	H
I-498	3-chloro-4-fluoro-cinnamyl	H	F	F	H
I-499	3,5-dichloro-cinnamyl	H	F	F	H
I-500	5-phenyl-penta-2,4-dienyl	H	F	F	H
I-501	4-isopropyloxycarbonylamino-cinnamyl	H	F	F	H
I-502	3-naphthalen-2-yl-allyl	H	F	F	H
I-503	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	F	F	H
I-504	3-(5-chloro-pyridin-2-yl)-allyl	H	F	F	H
I-505	3-pyridin-4-yl-allyl	H	F	F	H
I-506	3-(2-Chloro-pyridin-4-yl)-allyl	H	F	F	H
I-507	4-chlorobenzyl	H	F	Cl	H
I-508	Cinnamyl	H	F	Cl	H
I-509	4-chlorocinnamyl	H	F	Cl	H
I-510	4-fluorocinnamyl	H	F	Cl	H
I-511	4-bromocinnamyl	H	F	Cl	H
I-512	4-trifluoromethylcinnamyl	H	F	Cl	H
I-513	4-trifluoromethoxycinnamyl	H	F	Cl	H
I-514	4-pentafluoroethoxycinnamyl	H	F	Cl	H
I-515	4-methoxycinnamyl	H	F	Cl	H
I-516	4-ethoxycinnamyl	H	F	Cl	H
I-517	4-cyanocinnamyl	H	F	Cl	H
I-518	3-(6-chloro-pyridin-3-yl)-allyl	H	F	Cl	H
I-519	3-(4-chlorophenyl)-but-2-enyl	H	F	Cl	H
I-520	3-(4-chlorophenyl)-3-fluoro-allyl	H	F	Cl	H
I-521	3-chloro-4-fluoro-cinnamyl	H	F	Cl	H
I-522	3,5-dichloro-cinnamyl	H	F	Cl	H
I-523	5-phenyl-penta-2,4-dienyl	H	F	Cl	H
I-524	4-isopropyloxycarbonylamino-cinnamyl	H	F	Cl	H

I-525	3-naphthalen-2-yl-allyl	H	F	Cl	H
I-526	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	F	Cl	H
I-527	3-(5-chloro-pyridin-2-yl)-allyl	H	F	Cl	H
I-528	3-pyridin-4-yl-allyl	H	F	Cl	H
I-529	3-(2-Chloro-pyridin-4-yl)-allyl	H	F	Cl	H
I-530	4-chlorobenzyl	H	Cl	F	H
I-531	Cinnamyl	H	Cl	F	H
I-532	4-chlorocinnamyl	H	Cl	F	H
I-533	4-fluorocinnamyl	H	Cl	F	H
I-534	4-bromocinnamyl	H	Cl	F	H
I-535	4-trifluoromethylcinnamyl	H	Cl	F	H
I-536	4-trifluoromethoxycinnamyl	H	Cl	F	H
I-537	4-pentafluoroethoxycinnamyl	H	Cl	F	H
I-538	4-methoxycinnamyl	H	Cl	F	H
I-539	4-ethoxycinnamyl	H	Cl	F	H
I-540	4-cyanocinnamyl	H	Cl	F	H
I-541	3-(6-chloro-pyridin-3-yl)-allyl	H	Cl	F	H
I-542	3-(4-chlorophenyl)-but-2-enyl	H	Cl	F	H
I-543	3-(4-chlorophenyl)-3-fluoro-allyl	H	Cl	F	H
I-544	3-chloro-4-fluoro-cinnamyl	H	Cl	F	H
I-545	3,5-dichloro-cinnamyl	H	Cl	F	H
I-546	5-phenyl-penta-2,4-dienyl	H	Cl	F	H
I-547	4-isopropyloxycarbonylamino-cinnamyl	H	Cl	F	H
I-548	3-naphthalen-2-yl-allyl	H	Cl	F	H
I-549	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	Cl	F	H
I-550	3-(5-chloro-pyridin-2-yl)-allyl	H	Cl	F	H
I-551	3-pyridin-4-yl-allyl	H	Cl	F	H
I-552	3-(2-Chloro-pyridin-4-yl)-allyl	H	Cl	F	H
I-553	4-chlorobenzyl	H	Cl	Cl	H
I-554	Cinnamyl	H	Cl	Cl	H
I-555	4-chlorocinnamyl	H	Cl	Cl	H

I-556	4-fluorocinnamyl	H	Cl	Cl	H
I-557	4-bromocinnamyl	H	Cl	Cl	H
I-558	4-trifluoromethylcinnamyl	H	Cl	Cl	H
I-559	4-trifluoromethoxycinnamyl	H	Cl	Cl	H
I-560	4-pentafluoroethoxycinnamyl	H	Cl	Cl	H
I-561	4-methoxycinnamyl	H	Cl	Cl	H
I-562	4-ethoxycinnamyl	H	Cl	Cl	H
I-563	4-cyanocinnamyl	H	Cl	Cl	H
I-564	3-(6-chloro-pyridin-3-yl)-allyl	H	Cl	Cl	H
I-565	3-(4-chlorophenyl)-but-2-enyl	H	Cl	Cl	H
I-566	3-(4-chlorophenyl)-3-fluoro-allyl	H	Cl	Cl	H
I-567	3-chloro-4-fluoro-cinnamyl	H	Cl	Cl	H
I-568	3,5-dichloro-cinnamyl	H	Cl	Cl	H
I-569	5-phenyl-penta-2,4-dienyl	H	Cl	Cl	H
I-570	4-isopropyloxycarbonylamino-cinnamyl	H	Cl	Cl	H
I-571	3-naphthalen-2-yl-allyl	H	Cl	Cl	H
I-572	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	Cl	Cl	H
I-573	3-(5-chloro-pyridin-2-yl)-allyl	H	Cl	Cl	H
I-574	3-pyridin-4-yl-allyl	H	Cl	Cl	H
I-575	3-(2-Chloro-pyridin-4-yl)-allyl	H	Cl	Cl	H
I-576	4-chlorobenzyl	H	$-OCF_2O-$		H
I-577	Cinnamyl	H	$-OCF_2O-$		H
I-578	4-chlorocinnamyl	H	$-OCF_2O-$		H
I-579	4-fluorocinnamyl	H	$-OCF_2O-$		H
I-580	4-bromocinnamyl	H	$-OCF_2O-$		H
I-581	4-trifluoromethylcinnamyl	H	$-OCF_2O-$		H
I-582	4-trifluoromethoxycinnamyl	H	$-OCF_2O-$		H
I-583	4-pentafluoroethoxycinnamyl	H	$-OCF_2O-$		H
I-584	4-methoxycinnamyl	H	$-OCF_2O-$		H
I-585	4-ethoxycinnamyl	H	$-OCF_2O-$		H
I-586	4-cyanocinnamyl	H	$-OCF_2O-$		H

I-587	3-(6-chloro-pyridin-3-yl)-allyl	H	$-OCF_2O-$	H
I-588	3-(4-chlorophenyl)-but-2-enyl	H	$-OCF_2O-$	H
I-589	3-(4-chlorophenyl)-3-fluoro-allyl	H	$-OCF_2O-$	H
I-590	3-chloro-4-fluoro-cinnamyl	H	$-OCF_2O-$	H
I-591	3,5-dichloro-cinnamyl	H	$-OCF_2O-$	H
I-592	5-phenyl-penta-2,4-dienyl	H	$-OCF_2O-$	H
I-593	4-isopropyloxycarbonylamino-cinnamyl	H	$-OCF_2O-$	H
I-594	3-naphthalen-2-yl-allyl	H	$-OCF_2O-$	H
I-595	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	$-OCF_2O-$	H
I-596	3-(5-chloro-pyridin-2-yl)-allyl	H	$-OCF_2O-$	H
I-597	3-pyridin-4-yl-allyl	H	$-OCF_2O-$	H
I-598	3-(2-Chloro-pyridin-4-yl)-allyl	H	$-OCF_2O-$	H
I-599	4-chlorobenzyl	H	$-C_4H_4-$	H
I-600	Cinnamyl	H	$-C_4H_4-$	H
I-601	4-chlorocinnamyl	H	$-C_4H_4-$	H
I-602	4-fluorocinnamyl	H	$-C_4H_4-$	H
I-603	4-bromocinnamyl	H	$-C_4H_4-$	H
I-604	4-trifluoromethylcinnamyl	H	$-C_4H_4-$	H
I-605	4-trifluoromethoxycinnamyl	H	$-C_4H_4-$	H
I-606	4-pentafluoroethoxycinnamyl	H	$-C_4H_4-$	H
I-607	4-methoxycinnamyl	H	$-C_4H_4-$	H
I-608	4-ethoxycinnamyl	H	$-C_4H_4-$	H
I-609	4-cyanocinnamyl	H	$-C_4H_4-$	H
I-610	3-(6-chloro-pyridin-3-yl)-allyl	H	$-C_4H_4-$	H
I-611	3-(4-chlorophenyl)-but-2-enyl	H	$-C_4H_4-$	H
I-612	3-(4-chlorophenyl)-3-fluoro-allyl	H	$-C_4H_4-$	H
I-613	3-chloro-4-fluoro-cinnamyl	H	$-C_4H_4-$	H
I-614	3,5-dichloro-cinnamyl	H	$-C_4H_4-$	H
I-615	5-phenyl-penta-2,4-dienyl	H	$-C_4H_4-$	H
I-616	4-isopropyloxycarbonylamino-cinnamyl	H	$-C_4H_4-$	H
I-617	3-naphthalen-2-yl-allyl	H	$-C_4H_4-$	H

I-618	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	-C_4H_4-		H
I-619	3-(5-chloro-pyridin-2-yl)-allyl	H	-C_4H_4-		H
I-620	3-pyridin-4-yl-allyl	H	-C_4H_4-		H
I-621	3-(2-Chloro-pyridin-4-yl)-allyl	H	-C_4H_4-		H
I-622	4-chlorobenzyl	Cl	H	Cl	H
I-623	Cinnamyl	Cl	H	Cl	H
I-624	4-chlorocinnamyl	Cl	H	Cl	H
I-625	4-fluorocinnamyl	Cl	H	Cl	H
I-226	4-bromocinnamyl	Cl	H	Cl	H
I-627	4-trifluoromethylcinnamyl	Cl	H	Cl	H
I-628	4-trifluoromethoxycinnamyl	Cl	H	Cl	H
I-629	4-pentafluoroethoxycinnamyl	Cl	H	Cl	H
I-630	4-methoxycinnamyl	Cl	H	Cl	H
I-631	4-ethoxycinnamyl	Cl	H	Cl	H
I-632	4-cyanocinnamyl	Cl	H	Cl	H
I-633	3-(6-chloro-pyridin-3-yl)-allyl	Cl	H	Cl	H
I-634	3-(4-chlorophenyl)-but-2-enyl	Cl	H	Cl	H
I-635	3-(4-chlorophenyl)-3-fluoro-allyl	Cl	H	Cl	H
I-636	3-chloro-4-fluoro-cinnamyl	Cl	H	Cl	H
I-637	3,5-dichloro-cinnamyl	Cl	H	Cl	H
I-638	5-phenyl-penta-2,4-dienyl	Cl	H	Cl	H
I-639	4-isopropyloxycarbonylamino-cinnamyl	Cl	H	Cl	H
I-640	3-naphthalen-2-yl-allyl	Cl	H	Cl	H
I-641	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	Cl	H	Cl	H
I-642	3-(5-chloro-pyridin-2-yl)-allyl	Cl	H	Cl	H
I-643	3-pyridin-4-yl-allyl	Cl	H	Cl	H
I-644	3-(2-Chloro-pyridin-4-yl)-allyl	Cl	H	Cl	H
I-645	4-chlorobenzyl	Cl	Cl	H	H
I-646	Cinnamyl	Cl	Cl	H	H
I-647	4-chlorocinnamyl	Cl	Cl	H	H
I-648	4-fluorocinnamyl	Cl	Cl	H	H

I-649	4-bromocinnamyl	Cl	Cl	H	H
I650	4-trifluoromethylcinnamyl	Cl	Cl	H	H
I-651	4-trifluoromethoxycinnamyl	Cl	Cl	H	H
I-652	4-pentafluoroethoxycinnamyl	Cl	Cl	H	H
I-653	4-methoxycinnamyl	Cl	Cl	H	H
I-654	4-ethoxycinnamyl	Cl	Cl	H	H
I-655	4-cyanocinnamyl	Cl	Cl	H	H
I-656	3-(6-chloro-pyridin-3-yl)-allyl	Cl	Cl	H	H
I-657	3-(4-chlorophenyl)-but-2-enyl	Cl	Cl	H	H
I-658	3-(4-chlorophenyl)-3-fluoro-allyl	Cl	Cl	H	H
I-659	3-chloro-4-fluoro-cinnamyl	Cl	Cl	H	H
I-660	3,5-dichloro-cinnamyl	Cl	Cl	H	H
I-661	5-phenyl-penta-2,4-dienyl	Cl	Cl	H	H
I-662	4-isopropyloxycarbonylamino-cinnamyl	Cl	Cl	H	H
I-663	3-naphthalen-2-yl-allyl	Cl	Cl	H	H
I-664	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	Cl	Cl	H	H
I-665	3-(5-chloro-pyridin-2-yl)-allyl	Cl	Cl	H	H
I-666	3-pyridin-4-yl-allyl	Cl	Cl	H	H
I-667	3-(2-Chloro-pyridin-4-yl)-allyl	Cl	Cl	H	H
I-668	4-chlorobenzyl	H	Cl	H	Cl
I-669	Cinnamyl	H	Cl	H	Cl
I-670	4-chlorocinnamyl	H	Cl	H	Cl
I-671	4-fluorocinnamyl	H	Cl	H	Cl
I-672	4-bromocinnamyl	H	Cl	H	Cl
I-673	4-trifluoromethylcinnamyl	H	Cl	H	Cl
I-674	4-trifluoromethoxycinnamyl	H	Cl	H	Cl
I-675	4-pentafluoroethoxycinnamyl	H	Cl	H	Cl
I-676	4-methoxycinnamyl	H	Cl	H	Cl
I-677	4-ethoxycinnamyl	H	Cl	H	Cl
I-678	4-cyanocinnamyl	H	Cl	H	Cl
I-679	3-(6-chloro-pyridin-3-yl)-allyl	H	Cl	H	Cl

I-680	3-(4-chlorophenyl)-but-2-enyl	H	Cl	H	Cl
I-681	3-(4-chlorophenyl)-3-fluoro-allyl	H	Cl	H	Cl
I-682	3-chloro-4-fluoro-cinnamyl	H	Cl	H	Cl
I-683	3,5-dichloro-cinnamyl	H	Cl	H	Cl
I-684	5-phenyl-penta-2,4-dienyl	H	Cl	H	Cl
I-685	4-isopropyloxycarbonylamino-cinnamyl	H	Cl	H	Cl
I-686	3-naphthalen-2-yl-allyl	H	Cl	H	Cl
I-687	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	Cl	H	Cl
I-688	3-(5-chloro-pyridin-2-yl)-allyl	H	Cl	H	Cl
I-689	3-pyridin-4-yl-allyl	H	Cl	H	Cl
I-690	3-(2-Chloro-pyridin-4-yl)-allyl	H	Cl	H	Cl
I-691	4-chlorobenzyl	H	F	H	F
I-692	Cinnamyl	H	F	H	F
I-693	4-chlorocinnamyl	H	F	H	F
I-694	4-fluorocinnamyl	H	F	H	F
I-695	4-bromocinnamyl	H	F	H	F
I-696	4-trifluoromethylcinnamyl	H	F	H	F
I-697	4-trifluoromethoxycinnamyl	H	F	H	F
I-698	4-pentafluoroethoxycinnamyl	H	F	H	F
I-699	4-methoxycinnamyl	H	F	H	F
I-700	4-ethoxycinnamyl	H	F	H	F
I-701	4-cyanocinnamyl	H	F	H	F
I-702	3-(6-chloro-pyridin-3-yl)-allyl	H	F	H	F
I-703	3-(4-chlorophenyl)-but-2-enyl	H	F	H	F
I-704	3-(4-chlorophenyl)-3-fluoro-allyl	H	F	H	F
I-705	3-chloro-4-fluoro-cinnamyl	H	F	H	F
I-706	3,5-dichloro-cinnamyl	H	F	H	F
I-707	5-phenyl-penta-2,4-dienyl	H	F	H	F
I-708	4-isopropyloxycarbonylamino-cinnamyl	H	F	H	F
I-709	3-naphthalen-2-yl-allyl	H	F	H	F
I-710	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	F	H	F

I-711	3-(5-chloro-pyridin-2-yl)-allyl	H	F	H	F
I-712	3-pyridin-4-yl-allyl	H	F	H	F
I-713	3-(2-Chloro-pyridin-4-yl)-allyl	H	F	H	F
I-714	4-chlorobenzyl	F	H	F	H
I-715	Cinnamyl	F	H	F	H
I-716	4-chlorocinnamyl	F	H	F	H
I-717	4-fluorocinnamyl	F	H	F	H
I-718	4-bromocinnamyl	F	H	F	H
I-719	4-trifluoromethylcinnamyl	F	H	F	H
I-720	4-trifluoromethoxycinnamyl	F	H	F	H
I-721	4-pentafluoroethoxycinnamyl	F	H	F	H
I-722	4-methoxycinnamyl	F	H	F	H
I-723	4-ethoxycinnamyl	F	H	F	H
I-724	4-cyanocinnamyl	F	H	F	H
I-725	3-(6-chloro-pyridin-3-yl)-allyl	F	H	F	H
I-726	3-(4-chlorophenyl)-but-2-enyl	F	H	F	H
I-727	3-(4-chlorophenyl)-3-fluoro-allyl	F	H	F	H
I-728	3-chloro-4-fluoro-cinnamyl	F	H	F	H
I-729	3,5-dichloro-cinnamyl	F	H	F	H
I-730	5-phenyl-penta-2,4-dienyl	F	H	F	H
I-731	4-isopropyloxycarbonylamino-cinnamyl	F	H	F	H
I-732	3-naphthalen-2-yl-allyl	F	H	F	H
I-733	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	F	H	F	H
I-734	3-(5-chloro-pyridin-2-yl)-allyl	F	H	F	H
I-735	3-pyridin-4-yl-allyl	F	H	F	H
I-736	3-(2-Chloro-pyridin-4-yl)-allyl	F	H	F	H
I-737	4-chlorobenzyl	F	F	H	H
I-738	Cinnamyl	F	F	H	H
I-739	4-chlorocinnamyl	F	F	H	H
I-740	4-fluorocinnamyl	F	F	H	H
I-741	4-bromocinnamyl	F	F	H	H

I-742	4-trifluoromethylcinnamyl	F	F	H	H
I-743	4-trifluoromethoxycinnamyl	F	F	H	H
I-744	4-pentafluoroethoxycinnamyl	F	F	H	H
I-745	4-methoxycinnamyl	F	F	H	H
I-746	4-ethoxycinnamyl	F	F	H	H
I-747	4-cyanocinnamyl	F	F	H	H
I-748	3-(6-chloro-pyridin-3-yl)-allyl	F	F	H	H
I-749	3-(4-chlorophenyl)-but-2-enyl	F	F	H	H
I-750	3-(4-chlorophenyl)-3-fluoro-allyl	F	F	H	H
I-751	3-chloro-4-fluoro-cinnamyl	F	F	H	H
I-752	3,5-dichloro-cinnamyl	F	F	H	H
I-753	5-phenyl-penta-2,4-dienyl	F	F	H	H
I-754	4-isopropyloxycarbonylamino-cinnamyl	F	F	H	H
I-755	3-naphthalen-2-yl-allyl	F	F	H	H
I-756	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	F	F	H	H
I-757	3-(5-chloro-pyridin-2-yl)-allyl	F	F	H	H
I-758	3-pyridin-4-yl-allyl	F	F	H	H
I-759	3-(2-Chloro-pyridin-4-yl)-allyl	F	F	H	H
I-760	4-chlorobenzyl	Cl	F	H	H
I-761	Cinnamyl	Cl	F	H	H
I-762	4-chlorocinnamyl	Cl	F	H	H
I-763	4-fluorocinnamyl	Cl	F	H	H
I-764	4-bromocinnamyl	Cl	F	H	H
I-765	4-trifluoromethylcinnamyl	Cl	F	H	H
I-766	4-trifluoromethoxycinnamyl	Cl	F	H	H
I-767	4-pentafluoroethoxycinnamyl	Cl	F	H	H
I-768	4-methoxycinnamyl	Cl	F	H	H
I-769	4-ethoxycinnamyl	Cl	F	H	H
I-770	4-cyanocinnamyl	Cl	F	H	H
I-771	3-(6-chloro-pyridin-3-yl)-allyl	Cl	F	H	H
I-772	3-(4-chlorophenyl)-but-2-enyl	Cl	F	H	H

I-773	3-(4-chlorophenyl)-3-fluoro-allyl	Cl	F	H	H
I-774	3-chloro-4-fluoro-cinnamyl	Cl	F	H	H
I-775	3,5-dichloro-cinnamyl	Cl	F	H	H
I-776	5-phenyl-penta-2,4-dienyl	Cl	F	H	H
I-777	4-isopropyloxycarbonylamino-cinnamyl	Cl	F	H	H
I-778	3-naphthalen-2-yl-allyl	Cl	F	H	H
I-779	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	Cl	F	H	H
I-780	3-(5-chloro-pyridin-2-yl)-allyl	Cl	F	H	H
I-781	3-pyridin-4-yl-allyl	Cl	F	H	H
I-782	3-(2-Chloro-pyridin-4-yl)-allyl	Cl	F	H	H

Table II provides 782 compounds of formula Ia wherein B_1 is OH, B_2, B_3 and B_4 are all hydrogen and the values of R^{4a}, R^{4b}, R^{4c}, R^{4d} and R^8 are given in Table 1.

Table III provides 782 compounds of formula Ia wherein B_1 is F, B_2, B_3 and B_4 are all hydrogen and the values of R^{4a}, R^{4b}, R^{4c}, R^{4d} and R^8 are given in Table 1.

Table IV provides 782 compounds of formula Ia wherein B_1 is F, B_2 is F and B_3 and B_4 are both hydrogen and the values of R^{4a}, R^{4b}, R^{4c}, R^{4d} and R^8 are given in Table 1.

Table V provides 782 compounds of formula Ia wherein B_1 and B_2 are both CH_3, and B_3 and B_4 are both hydrogen and the values of R^{4a}, R^{4b}, R^{4c}, R^{4d} and R^8 are given in Table 1.

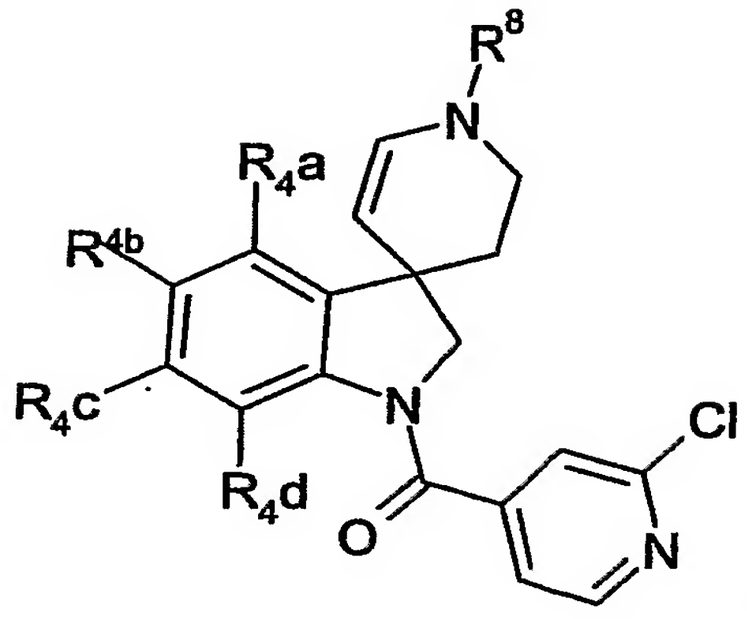
Table VI provides 782 compounds of formula Ia wherein B_1 and B_3 are both CH_3, and B_2 and B_4 are both hydrogen and the values of R^{4a}, R^{4b}, R^{4c}, R^{4d} and R^8 are given in Table 1.

Table VII provides 782 compounds of formula Ia wherein B_1 and B_4 are both CH_3, and B_2 and B_3 are both hydrogen and the values of R^{4a}, R^{4b}, R^{4c}, R^{4d} and R^8 are given in Table 1.

Table VIII provides 782 compounds of formula Ia wherein B_1, B_2, B_3 and B_4 are all CH_3 and the values of R^{4a}, R^{4b}, R^{4c}, R^{4d} and R^8 are given in Table 1.

Table IX provides 782 compounds of formula Ia wherein B_1 and B_2 are together =O and B_3 and B_4 are both hydrogen and the values of R^{4a}, R^{4b}, R^{4c}, R^{4d} and R^8 are given in Table 1.

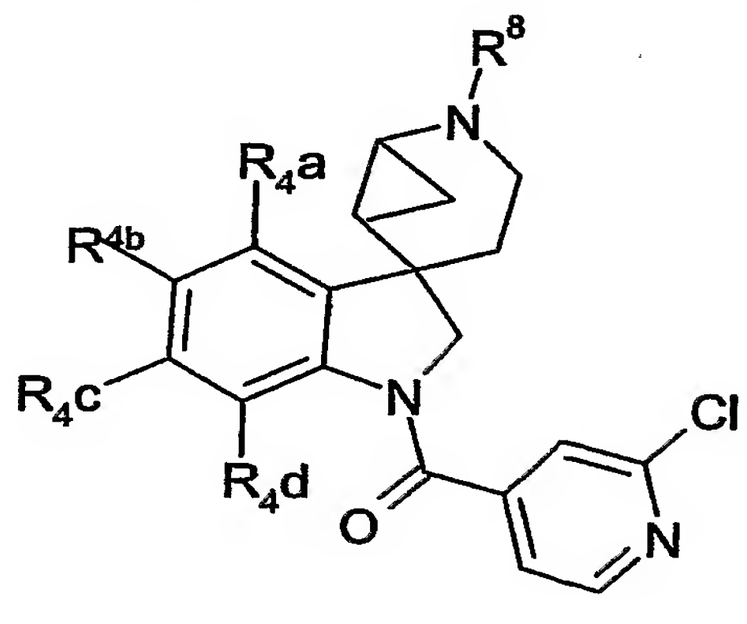
Table X provides 782 compounds of formula Ib



(Ib)

wherein the values of R^8, R^{4a}, R^{4b}, R^{4c} and R^{4d} are given in Table 1

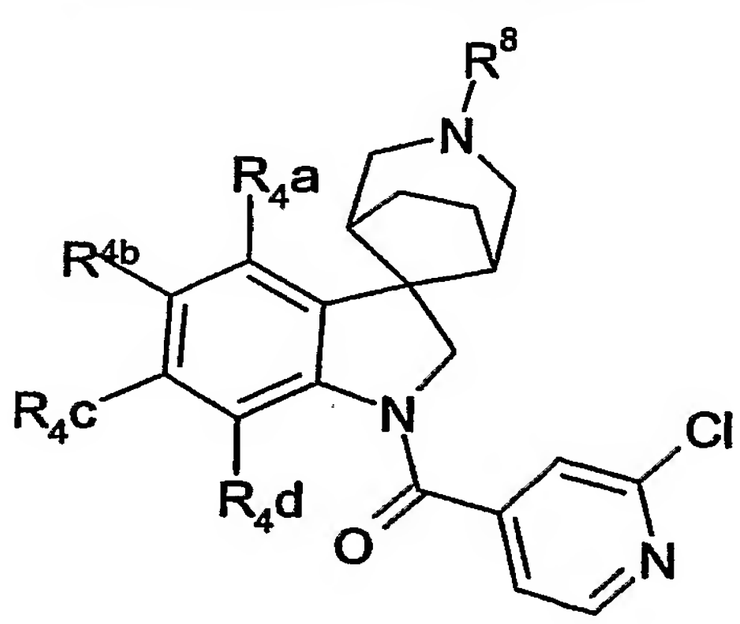
Table XI provides 782 compounds of formula Ic



(Ic)

wherein the values of R^8, R^{4a}, R^{4b}, R^{4c} and R^{4d} are given in Table 1

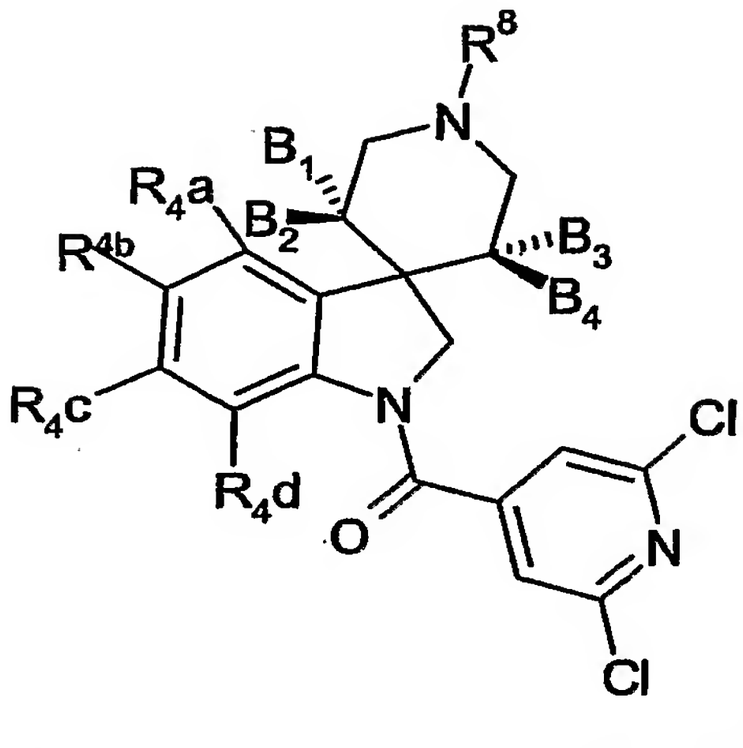
Table XII provides 782 compounds of formula Id



(Id)

wherein the values of R^8, R^{4a}, R^{4b}, R^{4c} and R^{4d} are given in Table 1

Table XIII provides 782 compounds of formula Ie



(Ie)

wherein B_1 is CH_3, B_2, B_3 and B_4 are all hydrogen and the values of R^{4a}, R^{4b}, R^{4c}, R^{4d} and R^8 are given in Table 1

Table XIV provides 782 compounds of formula Ie wherein B_1 is OH, B_2, B_3 and B_4 are all hydrogen and the values of R^{4a}, R^{4b}, R^{4c}, R^{4d} and R^8 are given in Table 1.

Table XV provides 782 compounds of formula Ie wherein B_1 is F, B_2, B_3 and B_4 are all hydrogen and the values of R^{4a}, R^{4b}, R^{4c}, R^{4d} and R^8 are given in Table 1.

Table XVI provides 782 compounds of formula Ie wherein B_1 is F, B_2 is F and B_3 and B_4 are both hydrogen and the values of R^{4a}, R^{4b}, R^{4c}, R^{4d} and R^8 are given in Table 1.

Table XVII provides 782 compounds of formula Ie wherein B_1 and B_2 are both CH_3, and B_3 and B_4 are both hydrogen and the values of R^{4a}, R^{4b}, R^{4c}, R^{4d} and R^8 are given in Table 1.

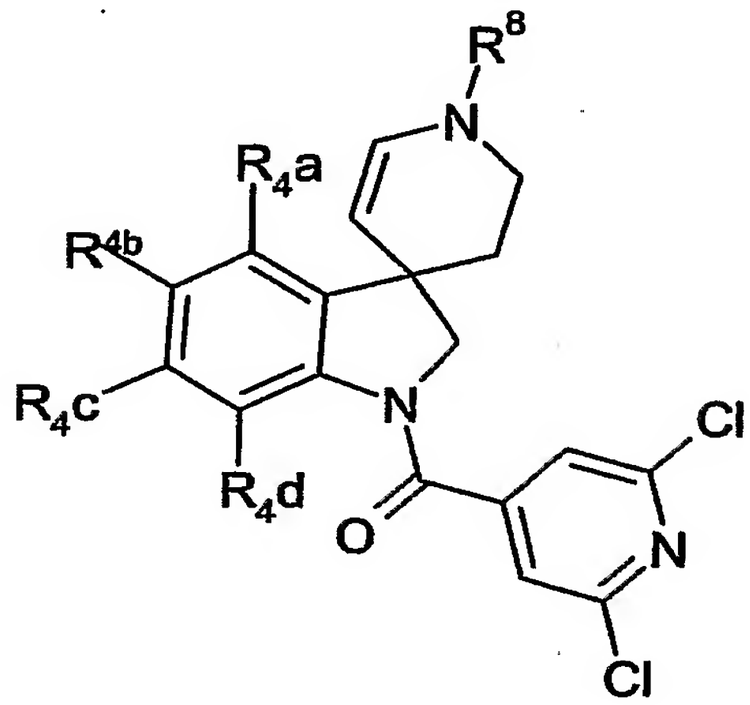
Table XVIII provides 782 compounds of formula Ie wherein B_1 and B_3 are both CH_3, and B_2 and B_4 are both hydrogen and the values of R^{4a}, R^{4b}, R^{4c}, R^{4d} and R^8 are given in Table 1.

Table XIX provides 782 compounds of formula Ie wherein B_1 and B_4 are both CH_3, and B_2 and B_3 are both hydrogen and the values of R^{4a}, R^{4b}, R^{4c}, R^{4d} and R^8 are given in Table 1.

Table XX provides 782 compounds of formula Ia wherein B_1, B_2, B_3 and B_4 are all CH_3 and the values of R^{4a}, R^{4b}, R^{4c}, R^{4d} and R^8 are given in Table 1.

Table XXI provides 782 compounds of formula Ie wherein B_1 and B_2 are together =O and B_3 and B_4 are both hydrogen and the values of R^{4a}, R^{4b}, R^{4c}, R^{4d} and R^8 are given in Table 1.

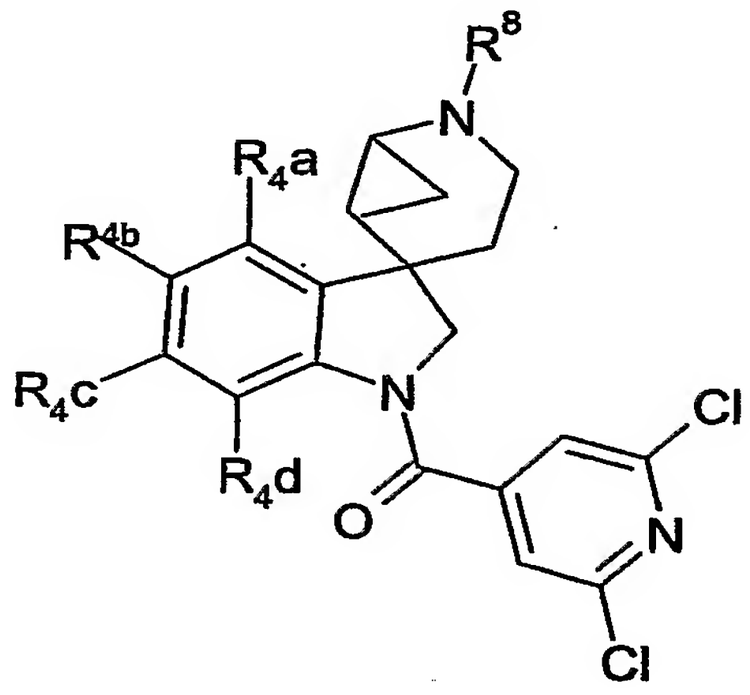
Table XXII provides 782 compounds of formula If



(If)

wherein the values of R^8, R^{4a}, R^{4b}, R^{4c} and R^{4d} are given in Table 1

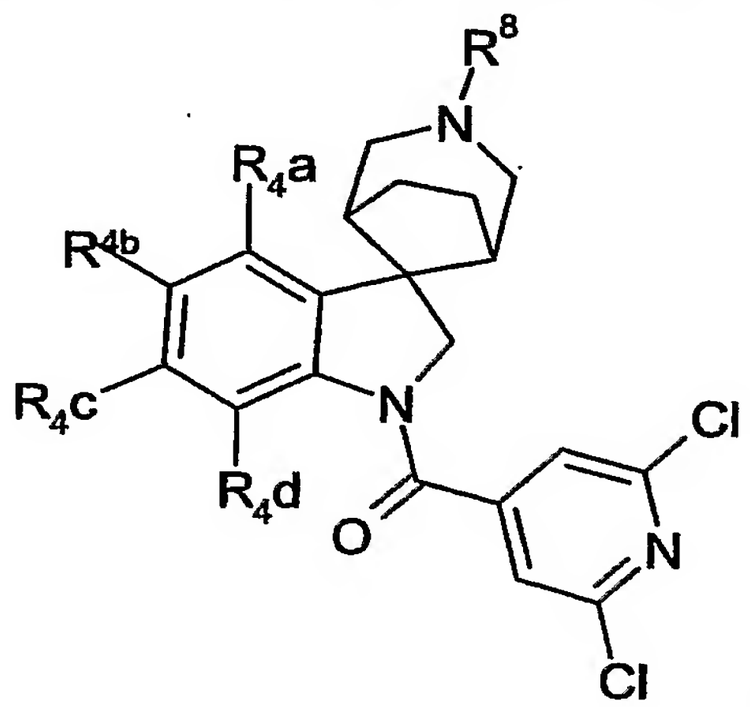
Table XXIII provides 782 compounds of formula Ig



(Ig)

wherein the values of R^8, R^{4a}, R^{4b}, R^{4c} and R^{4d} are given in Table 1

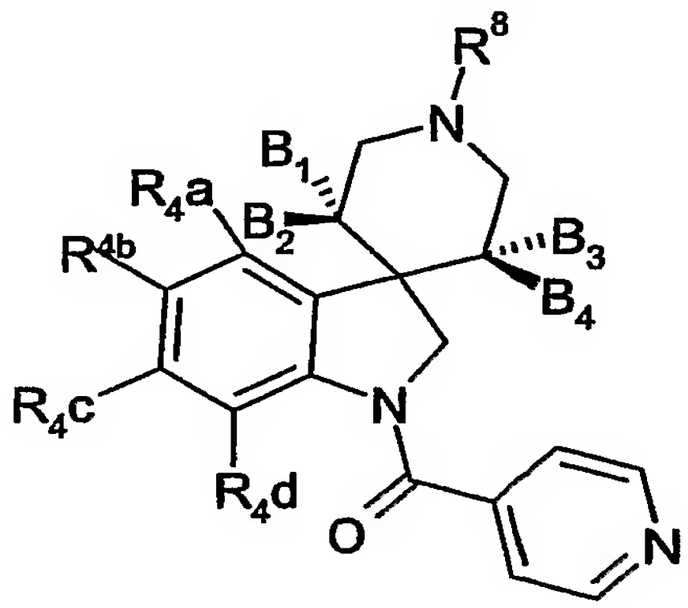
Table XXIV provides 782 compounds of formula Ih



(Ih)

wherein the values of R^8, R^{4a}, R^{4b}, R^{4c} and R^{4d} are given in Table 1

Table XXV provides 782 compounds of formula Ii



(Ii)

wherein the values of R^8, R^{4a}, R^{4b}, R^{4c} and R^{4d} are given in Table 1

Table XXVI provides 782 compounds of formula Ii wherein B_1 is OH, B_2, B_3 and B_4 are all hydrogen and the values of $R^{4a,}$ $R^{4b,}$ $R^{4c,}$ R^{4d} and R^8 are given in Table 1.

Table XXVII provides 782 compounds of formula Ii wherein B_1 is F, B_2, B_3 and B_4 are all hydrogen and the values of $R^{4a,}$ $R^{4b,}$ $R^{4c,}$ R^{4d} and R^8 are given in Table 1.

Table XXVIII provides 782 compounds of formula Ii wherein B_1 is F, B_2 is F and B_3 and B_4 are both hydrogen and the values of $R^{4a,}$ $R^{4b,}$ $R^{4c,}$ R^{4d} and R^8 are given in Table 1.

Table XXIX provides 782 compounds of formula Ii wherein B_1 and B_2 are both CH_3, and B_3 and B_4 are both hydrogen and the values of $R^{4a,}$ $R^{4b,}$ $R^{4c,}$ R^{4d} and R^8 are given in Table 1.

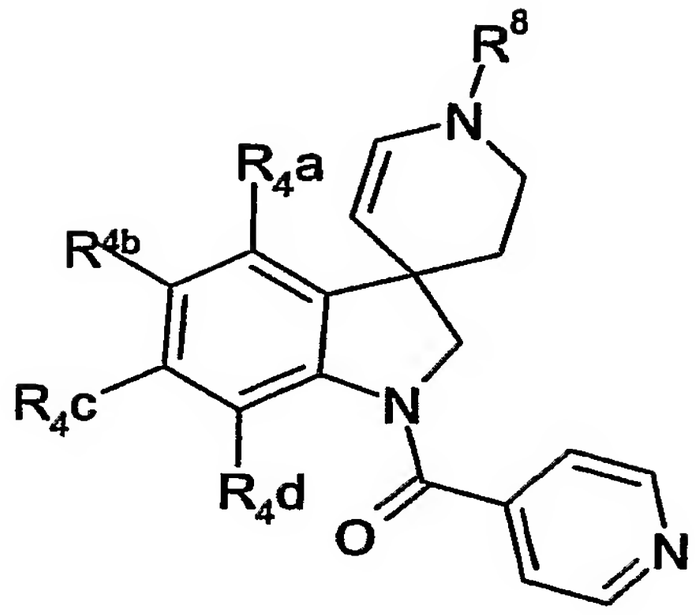
Table XXX provides 782 compounds of formula Ii wherein B_1 and B_3 are both CH_3, and B_2 and B_4 are both hydrogen and the values of $R^{4a,}$ $R^{4b,}$ $R^{4c,}$ R^{4d} and R^8 are given in Table 1.

Table XXXI provides 782 compounds of formula Ii wherein B_1 and B_4 are both CH_3, and B_2 and B_3 are both hydrogen and the values of $R^{4a,}$ $R^{4b,}$ $R^{4c,}$ R^{4d} and R^8 are given in Table 1.

Table XXXII provides 782 compounds of formula Ii wherein B_1, B_2, B_3 and B_4 are all CH_3 and the values of $R^{4a,}$ $R^{4b,}$ $R^{4c,}$ R^{4d} and R^8 are given in Table 1.

Table XXXIII provides 782 compounds of formula Ii wherein B_1 and B_2 are together =O and B_3 and B_4 are both hydrogen and the values of $R^{4a,}$ $R^{4b,}$ $R^{4c,}$ R^{4d} and R^8 are given in Table 1.

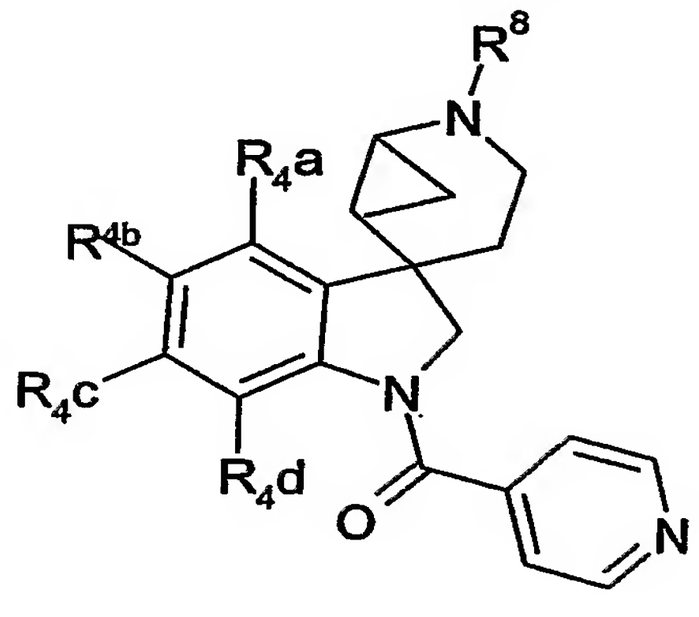
Table XXXIV provides 782 compounds of formula Ij



(Ij)

wherein the values of R^8, R^{4a}, R^{4b}, R^{4c} and R^{4d} are given in Table 1.

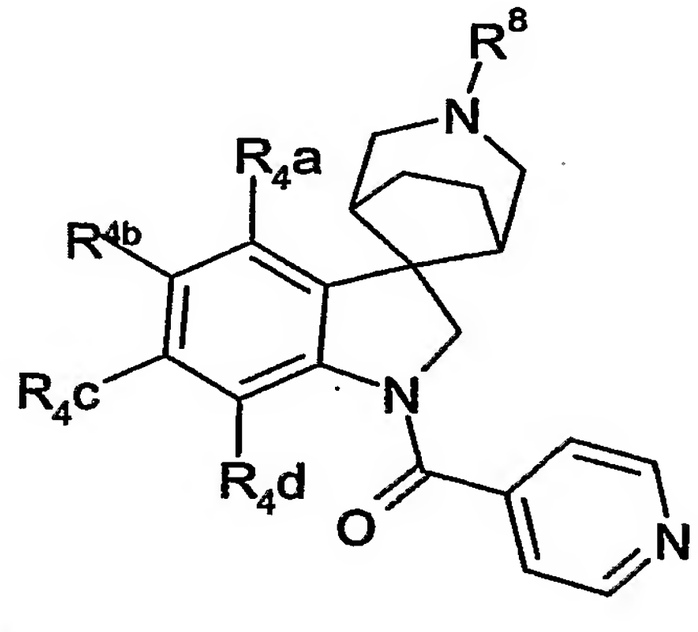
Table XXXV provides 782 compounds of formula Ik



(Ik)

wherein the values of R^8, R^{4a}, R^{4b}, R^{4c} and R^{4d} are given in Table 1.

Table XXXVI provides 782 compounds of formula Il



(Il)

wherein the values of R^8, R^{4a}, R^{4b}, R^{4c} and R^{4d} are given in Table 1.

Table XXXVII provides 1 compound (compound XXXVII.1) of formula Ib wherein the value of R^8 is t-butoxycarbonyl, R^{4a}, R^{4c} and R^{4d} are all hydrogen and R^{4b} is chloro.

Mass spectra data were obtained for selected compounds of Tables I to XXXVII using LCMS: LC5: 254nm - gradient 10% A to 100% B A=H2O+0.01%HCOOH B=CH3CN/CH3OH+0.01%HCOOH positive electrospray 150-1000 m/z.

The data are shown in Table 2.

Table 2

Compound No	M.p. (°C)	LCMS (Ret. Time, min)	MS data
I.49		2.37	526/528
II.49	110	2.26	528/530
III.49	96-97	3.22	530/532
IV.49	100-101	4.01	548
XXXVII.1		3.95	321/323

The compounds of the invention may be synthesised by various methods. For example the compounds of formula 1 may be synthesised as described in Scheme 1.

Thus a compound of formula 1 may be synthesised from a compound of formula 2 by reaction with an acid such as trifluoroacetic acid at ambient temperature in an organic solvent such as dichloromethane, chloroform or 1,2-dichloroethane followed by neutralisation of the reaction mixture with an aqueous solution of an inorganic base such as sodium carbonate, sodium bicarbonate or similar compound. The intermediate thus formed the reacts with an alkylating agent of the formula R8-L, where L is chloride, bromide, iodide or a sulfonate (e.g. mesylate or tosylate) or similar leaving group at a temperature of between ambient temperature and 100°C, typically ambient temperature, in an organic solvent such as acetonitrile, dimethylformamide, dichloromethane, chloroform or 1,2-dichloroethane in the presence of a tertiary amine base such as triethylamine or diisopropylethylamine and optionally catalysed by halide salts such as sodium iodide, potassium iodide or tetrabutylammonium iodide.

Alternatively a compound of formula 2, after removal of the t-butoxycarbonyl protecting group as described above, may be reacted with an aldehyde of the formula RCHO at a temperature between ambient temperature and 100°C in an organic solvent such as tetrahydrofuran or ethanol or mixtures of solvents in the presence of a reducing agent such as borane-pyridine complex, sodium borohydride, sodium (triacetoxy)borohydride, sodium cyanoborohydride or such like, to produce a compound of formula 1 where R8 is CH_2-R.

In an alternative method compounds of formula 1 may be obtained from compounds of formula 6 by reaction with a suitable electrophilic species. Compounds of formula 1 where Y is a carbonyl group may be formed by the reaction of compounds of formula 6 with a carboxylic acid derivative of formula R1-C(O)-Z where Z is chloride, hydroxy, alkoxy or acyloxy at a temperature between 0°C and 150°C optionally in an organic solvent such as dichloromethane, chloroform or 1,2-dichloroethane, optionally in the presence of a tertiary amine base such as triethylamine or diisopropylethylamine and optionally in the presence of a coupling agent such as dicyclohexylcarbodiimide. Compounds of formula 1 where Y is a carbonyl group and R1 is an amino substituent of formula R'-NH- may be formed by the reaction of compounds of formula 6 with an isocyanate of formula R'-N=C=O under similar conditions. Compounds of formula 1 where Y is a group of formula $S(O)_q$ may be formed from compounds of formula 6 by treatment with compounds of formula of R1-$S(O)_q$-Cl under similar conditions. Compounds of formula 1 where Y is a thiocarbonyl group and R1 is an amino substituent of formula R'-NH- may be formed by the reaction of compounds of formula 6 with an isothiocyanate of formula R'-N=C=S under similar conditions. Alternatively compounds of formula 1 where Y is a thiocarbonyl group and R1 is a carbon substituent may be formed by treatment of compounds of formula 1 where Y is a carbonyl group and R1 is a carbon substituent with a suitable thionating agent such as Lawesson's reagent.

In the above procedures, acid derivatives of the formula R1-C(O)-Z, isocyanates of formula R'-N=C=O, isothiocyanates of formula R'-N=C=S and sulfur electrophiles of formula R1-$S(O)_q$-Cl are either known compounds or may be formed from known compounds by known methods by a person skilled in the art.

A compound of formula 2 may be obtained from a compound of formula 3 by reaction with a suitable electrophilic species, as described above.

Compounds of formula 3 may be obtained by reacting compounds of formula 4 with compounds of formula 10 at a temperature of between 0°C and 100°C in an organic solvent such as dichloromethane, chloroform or 1,2-dichloroethane in the presence of an acid such as hydrochloric acid or trifluoroacetic acid and optionnally a co-solvent such as water, methanol or ethanol. The intermediates formed are subsequently treated with a reducing agent such as sodium borohydride, sodium (triacetoxy)borohydride, sodium cyanoborohydride,

triethylsilane or similar at ambient temperature in organic solvent such as ethanol or chloroform. The basic procedure is described in Tetrahedron (1997), 53, 10983-10992.

Similarly, compounds of formula 6 may be synthesised by reacting compounds of formula 7 with compounds of formula 10 using the conditions described above.

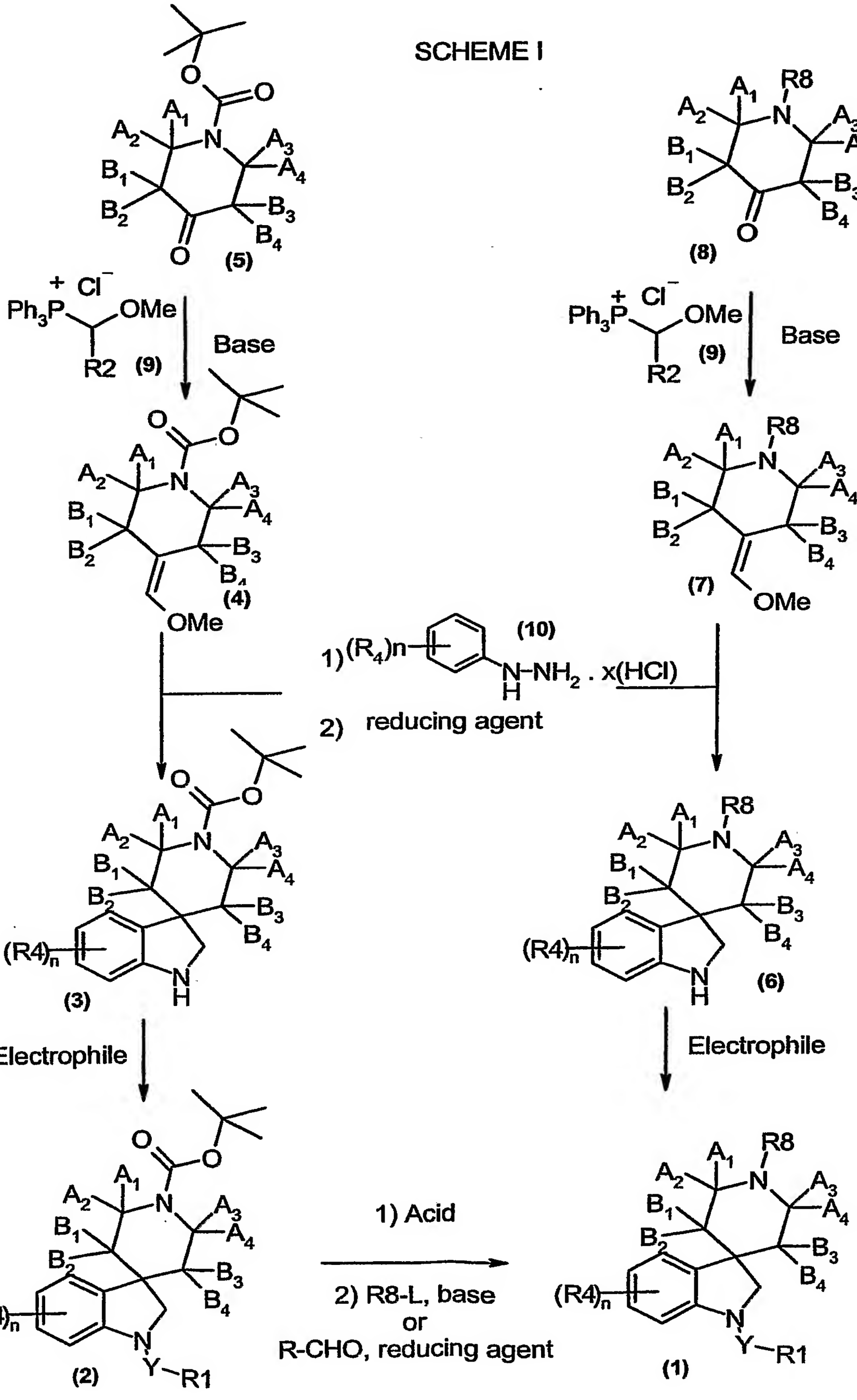
Compounds of formula 4 may be obtained from compounds of formula 5 by reaction with a 1-alkoxy substituted phosphonium salt of formula 9 such as methoxymethyl(triphenyl)phosphonium chloride and a base such as potassium *tert*-butoxide at a temperature of 0°C to 80°C in tetrahydrofuran.

Similarly, compounds of formula 7 may be synthesised from compounds of formula 8 using the conditions described above.

Compounds of formula 5, 8 and 10 are either known compounds or may be formed from known compounds by known methods by a person skilled in the art.

Certain compounds of formula 2 and formula 6 are novel and as such form a further aspect of the invention.

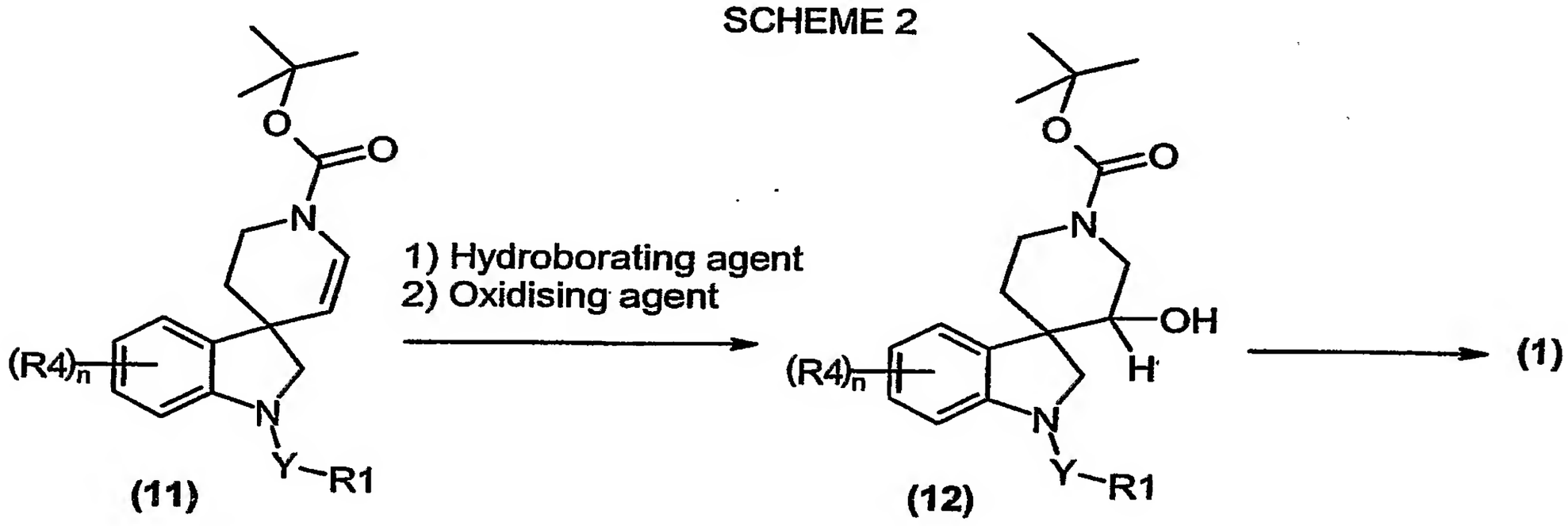
SCHEME I


(5)
(9)
Base
(4)
OMe
(8)
(9)
Base
(7)
OMe
(10)
1) reducing agent
2)
(3)
(6)
Electrophile
Electrophile
1) Acid
2) R8-L, base
or
R-CHO, reducing agent
(2)
(1)

In an alternative method a compound of formula 1 wherein A_1, A_2, A_3, A_4, B_2, B_3 and B_4 are H and B_1 is OH may be obtained from a compound of formula 12 by removal of the t-butoxycarbonyl protecting group and reaction with an alkylating agent R8-L as described previously. A Compound of formula 12 may be obtained from an intermediate of formula 11 by reaction with an hydroborating agent such as borane in an organic solvent such as dichloromethane or tetrahydrofuran at a temperature of between 0°C to 80°C, followed by treatment with an oxidising agent such as hydrogen peroxide in the presence of water and a base such as sodium hydroxide at a temperature of between 0°C to 100°C (Scheme 2).

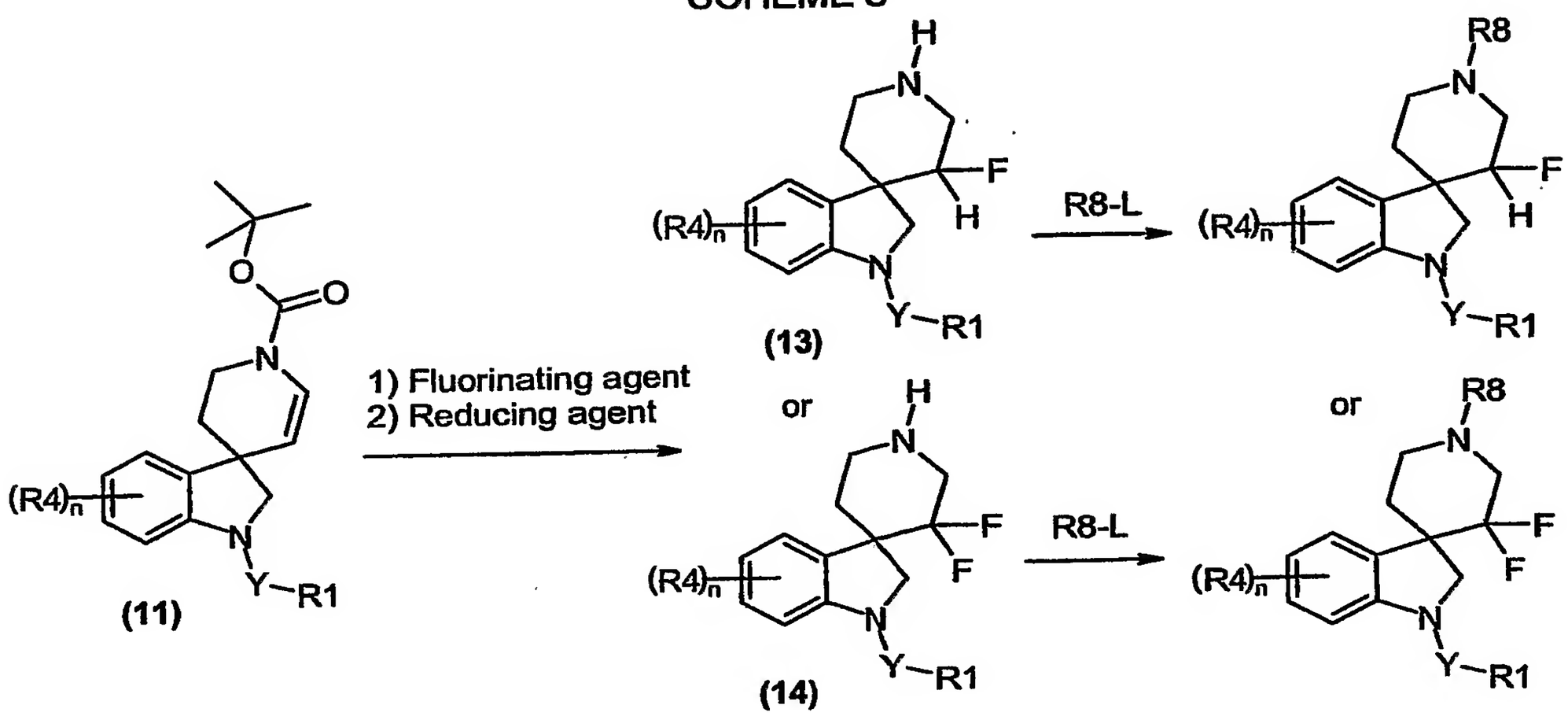
The skilled person will readily recognise that it is possible to convert one compound of formula 12 to other compounds of formula 1.

SCHEME 2



In another alternative method, a compound of formula 11 may be reacted with a suitable fluorinating species, such as Selectfluor®, followed by reaction with a reducing agent such as triethylsilane in the presence of an acid such as trifluoroacetic acid to provide a compound of formula 13 or a compound of formula 14. Compounds of formula 13 (or 14) may be converted into compounds of formula 1 wherein A_1, A_2, A_3, A_4, B_2, B_3 and B_4 are H and B_1 is F (i.e. A_1, A_2, A_3, A_4, B_3 and B_4 are H, B_1 and B_2 are F) by reaction with an alkylating agent of the formula R8-L as described previously (Scheme 3).

SCHEME 3



Compounds of formula 11 may be synthesised by the method outlined on Scheme 4.

Thus a compound of formula 11 may be synthesised from a compound of formula 15 by reacting with an electrophilic species as described previously.

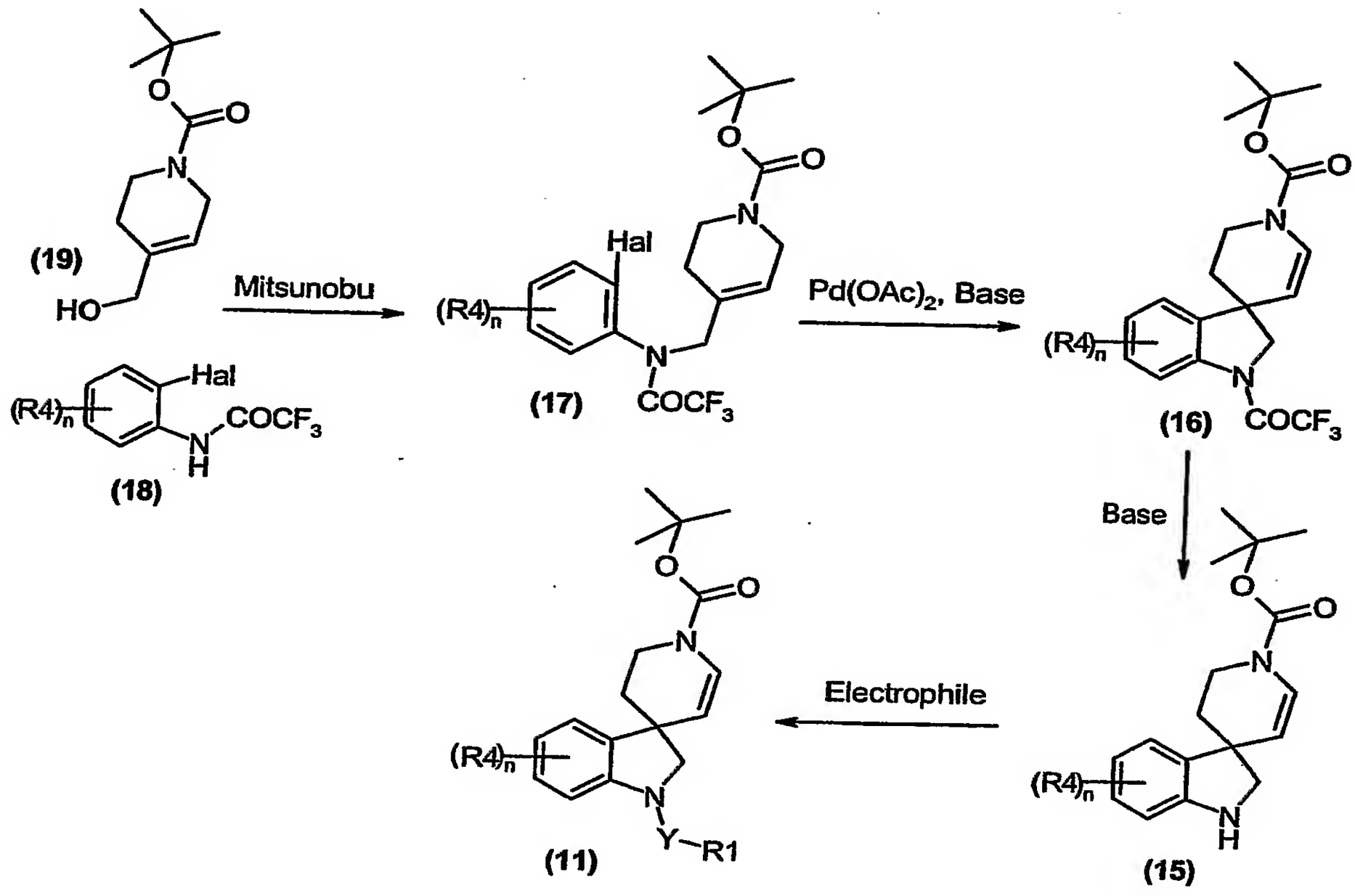
A compound of formula 15 may be prepared from a compound of formula 16 by treatment with a suitable base such as potassium carbonate at a temperature of between 0°C to 80°C in an organic solvent such as methanol or ethanol in combination with water.

A compound of formula 16 may be synthesised by cyclising a compound of formula 17 under Heck conditions, typically in the presence of a catalyst such as palladium(II) acetate, optionally a ligand such as triphenylphosphine or/and an additive such as tetrabutylammonium bromide and a base such as triethylamine in an organic solvent such as tetrahydrofuran, acetonitrile, dimethylformamide, N-methyl-pyrrolidinone or dimethylacetamide at a temperature of between 20°C to 140°C.

Compounds of formula 17 may be synthesised by coupling compounds of formula 18 with the known alcohol 19 (*J. Org. Chem.* **2001**, *66*, 5545-5551) under Mitsunobu conditions, typically using a phosphine such as triphenylphosphine and an azo compound such as diethylazodicarboxylate or diisopropylazodicarboxylate in an organic solvent such as tetrahydrofuran or toluene at a temperature of between 0°C to 80°C.

Compounds of formula 18 are either known compounds or may be formed from known compounds by known methods by a person skilled in the art.

SCHEME 4


(19)
HO
Mitsunobu
Hal
(R4)n
COCF3
N
H
(18)
(17)
Pd(OAc)2, Base
(16)
Base
(15)
Electrophile
(11)
Y
R1

The compounds of formula (I) can be used to combat and control infestations of insect pests such as Lepidoptera, Diptera, Hemiptera, Thysanoptera, Orthoptera, Dictyoptera, Coleoptera, Siphonaptera, Hymenoptera and Isoptera and also other invertebrate pests, for example, acarine, nematode and mollusc pests. Insects, acarines, nematodes and molluscs are hereinafter collectively referred to as pests. The pests which may be combated and controlled by the use of the invention compounds include those pests associated with agriculture (which term includes the growing of crops for food and fibre products), horticulture and animal husbandry, companion animals, forestry and the storage of products of vegetable origin (such as fruit, grain and timber); those pests associated with the damage of man-made structures and the transmission of diseases of man and animals; and also nuisance pests (such as flies).

Examples of pest species which may be controlled by the compounds of formula (I) include: *Myzus persicae* (aphid), *Aphis gossypii* (aphid), *Aphis fabae* (aphid), *Lygus* spp. (capsids), *Dysdercus* spp. (capsids), *Nilaparvata lugens* (planthopper), *Nephotettixc incticeps* (leafhopper), *Nezara* spp. (stinkbugs), *Euschistus* spp. (stinkbugs), *Leptocorisa* spp. (stinkbugs), *Frankliniella occidentalis* (thrip), Thrips spp. (thrips), *Leptinotarsa decemlineata* (Colorado potato beetle), *Anthonomus grandis* (boll weevil), *Aonidiella* spp. (scale insects), *Trialeurodes* spp. (white flies), *Bemisia tabaci* (white fly), *Ostrinia nubilalis* (European corn borer), *Spodoptera littoralis* (cotton leafworm), *Heliothis virescens* (tobacco budworm), *Helicoverpa armigera* (cotton bollworm), *Helicoverpa zea* (cotton bollworm), *Sylepta derogata* (cotton leaf roller), *Pieris brassicae* (white butterfly), *Plutella xylostella* (diamond back moth), *Agrotis* spp. (cutworms), *Chilo suppressalis* (rice stem borer), *Locusta migratoria* (locust), *Chortiocetes terminifera* (locust), *Diabrotica* spp. (rootworms), *Panonychus ulmi* (European red mite), *Panonychus citri* (citrus red mite), *Tetranychus urticae* (two-spotted spider mite), *Tetranychus cinnabarinus* (carmine spider mite), *Phyllocoptruta oleivora* (citrus rust mite), *Polyphagotarsonemus latus* (broad mite), *Brevipalpus* spp. (flat mites), *Boophilus microplus* (cattle tick), *Dermacentor variabilis* (American dog tick), *Ctenocephalides felis* (cat flea), *Liriomyza* spp. (leafminer), *Musca domestica* (housefly), *Aedes aegypti* (mosquito), *Anopheles* spp. (mosquitoes), *Culex* spp. (mosquitoes), *Lucillia* spp. (blowflies), *Blattella germanica* (cockroach), *Periplaneta americana* (cockroach), *Blatta orientalis* (cockroach), termites of the Mastotermitidae (for example *Mastotermes* spp.), the Kalotermitidae (for example *Neotermes* spp.), the

Rhinotermitidae (for example *Coptotermes formosanus*, *Reticulitermes flavipes*, *R. speratu*, *R. virginicus*, *R. hesperus*, and *R. santonensis*) and the Termitidae (for example *Globitermes sulphureus*), *Solenopsis geminata* (fire ant), *Monomorium pharaonis* (pharaoh's ant), *Damalinia* spp. and *Linognathus* spp. (biting and sucking lice), *Meloidogyne* spp. (root knot nematodes), *Globodera* spp. and *Heterodera* spp. (cyst nematodes), *Pratylenchus* spp. (lesion nematodes), *Rhodopholus* spp. (banana burrowing nematodes), *Tylenchulus* spp.(citrus nematodes), *Haemonchus contortus* (barber pole worm), *Caenorhabditis elegans* (vinegar eelworm), *Trichostrongylus* spp. (gastro intestinal nematodes) and *Deroceras reticulatum* (slug).

The invention therefore provides a method of combating and controlling insects, acarines, nematodes or molluscs which comprises applying an insecticidally, acaricidally, nematicidally or molluscicidally effective amount of a compound of formula (I), or a composition containing a compound of formula (I), to a pest, a locus of pest, or to a plant susceptible to attack by a pest, The compounds of formula (I) are preferably used against insects, acarines or nematodes.

The term "plant" as used herein includes seedlings, bushes and trees.

In order to apply a compound of formula (I) as an insecticide, acaricide, nematicide or molluscicide to a pest, a locus of pest, or to a plant susceptible to attack by a pest, a compound of formula (I) is usually formulated into a composition which includes, in addition to the compound of formula (I), a suitable inert diluent or carrier and, optionally, a surface active agent (SFA). SFAs are chemicals which are able to modify the properties of an interface (for example, liquid/solid, liquid/air or liquid/liquid interfaces) by lowering the interfacial tension and thereby leading to changes in other properties (for example dispersion, emulsification and wetting). It is preferred that all compositions (both solid and liquid formulations) comprise, by weight, 0.0001 to 95%, more preferably 1 to 85%, for example 5 to 60%, of a compound of formula (I). The composition is generally used for the control of pests such that a compound of formula (I) is applied at a rate of from 0.1g to10kg per hectare, preferably from 1g to 6kg per hectare, more preferably from 1g to 1kg per hectare.

When used in a seed dressing, a compound of formula (I) is used at a rate of 0.0001g to 10g (for example 0.001g or 0.05g), preferably 0.005g to 10g, more preferably 0.005g to 4g, per kilogram of seed.

In another aspect the present invention provides an insecticidal, acaricidal, nematicidal or molluscicidal composition comprising an insecticidally, acaricidally, nematicidally or molluscicidally effective amount of a compound of formula (I) and a suitable carrier or diluent therefor. The composition is preferably an insecticidal, acaricidal, nematicidal or molluscicidal composition.

In a still further aspect the invention provides a method of combating and controlling pests at a locus which comprises treating the pests or the locus of the pests with an insecticidally, acaricidally, nematicidally or molluscicidally effective amount of a composition comprising a compound of formula (I). The compounds of formula (I) are preferably used against insects, acarines or nematodes.

The compositions can be chosen from a number of formulation types, including dustable powders (DP), soluble powders (SP), water soluble granules (SG), water dispersible granules (WG), wettable powders (WP), granules (GR) (slow or fast release), soluble concentrates (SL), oil miscible liquids (OL), ultra low volume liquids (UL), emulsifiable concentrates (EC), dispersible concentrates (DC), emulsions (both oil in water (EW) and water in oil (EO)), micro-emulsions (ME), suspension concentrates (SC), aerosols, fogging/smoke formulations, capsule suspensions (CS) and seed treatment formulations. The formulation type chosen in any instance will depend upon the particular purpose envisaged and the physical, chemical and biological properties of the compound of formula (I).

Dustable powders (DP) may be prepared by mixing a compound of formula (I) with one or more solid diluents (for example natural clays, kaolin, pyrophyllite, bentonite, alumina, montmorillonite, kieselguhr, chalk, diatomaceous earths, calcium phosphates, calcium and magnesium carbonates, sulphur, lime, flours, talc and other organic and inorganic solid carriers) and mechanically grinding the mixture to a fine powder.

Soluble powders (SP) may be prepared by mixing a compound of formula (I) with one or more water-soluble inorganic salts (such as sodium bicarbonate, sodium carbonate or magnesium sulphate) or one or more water-soluble organic solids (such as a polysaccharide) and, optionally, one or more wetting agents, one or more dispersing agents or a mixture of said agents to improve water dispersibility/solubility. The mixture is then ground to a fine powder. Similar compositions may also be granulated to form water soluble granules (SG).

Wettable powders (WP) may be prepared by mixing a compound of formula (I) with one or more solid diluents or carriers, one or more wetting agents and, preferably, one or

more dispersing agents and, optionally, one or more suspending agents to facilitate the dispersion in liquids. The mixture is then ground to a fine powder. Similar compositions may also be granulated to form water dispersible granules (WG).

Granules (GR) may be formed either by granulating a mixture of a compound of formula (I) and one or more powdered solid diluents or carriers, or from pre-formed blank granules by absorbing a compound of formula (I) (or a solution thereof, in a suitable agent) in a porous granular material (such as pumice, attapulgite clays, fuller's earth, kieselguhr, diatomaceous earths or ground corn cobs) or by adsorbing a compound of formula (I) (or a solution thereof, in a suitable agent) on to a hard core material (such as sands, silicates, mineral carbonates, sulphates or phosphates) and drying if necessary. Agents which are commonly used to aid absorption or adsorption include solvents (such as aliphatic and aromatic petroleum solvents, alcohols, ethers, ketones and esters) and sticking agents (such as polyvinyl acetates, polyvinyl alcohols, dextrins, sugars and vegetable oils). One or more other additives may also be included in granules (for example an emulsifying agent, wetting agent or dispersing agent).

Dispersible Concentrates (DC) may be prepared by dissolving a compound of formula (I) in water or an organic solvent, such as a ketone, alcohol or glycol ether. These solutions may contain a surface active agent (for example to improve water dilution or prevent crystallisation in a spray tank).

Emulsifiable concentrates (EC) or oil-in-water emulsions (EW) may be prepared by dissolving a compound of formula (I) in an organic solvent (optionally containing one or more wetting agents, one or more emulsifying agents or a mixture of said agents). Suitable organic solvents for use in ECs include aromatic hydrocarbons (such as alkylbenzenes or alkylnaphthalenes, exemplified by SOLVESSO 100, SOLVESSO 150 and SOLVESSO 200; SOLVESSO is a Registered Trade Mark), ketones (such as cyclohexanone or methylcyclohexanone) and alcohols (such as benzyl alcohol, furfuryl alcohol or butanol), N-alkylpyrrolidones (such as N-methylpyrrolidone or N-octylpyrrolidone), dimethyl amides of fatty acids (such as C_8-C_{10} fatty acid dimethylamide) and chlorinated hydrocarbons. An EC product may spontaneously emulsify on addition to water, to produce an emulsion with sufficient stability to allow spray application through appropriate equipment. Preparation of an EW involves obtaining a compound of formula (I) either as a liquid (if it is not a liquid at room temperature, it may be melted at a reasonable temperature, typically below 70°C) or in

solution (by dissolving it in an appropriate solvent) and then emulsifiying the resultant liquid or solution into water containing one or more SFAs, under high shear, to produce an emulsion. Suitable solvents for use in EWs include vegetable oils, chlorinated hydrocarbons (such as chlorobenzenes), aromatic solvents (such as alkylbenzenes or alkylnaphthalenes) and other appropriate organic solvents which have a low solubility in water.

Microemulsions (ME) may be prepared by mixing water with a blend of one or more solvents with one or more SFAs, to produce spontaneously a thermodynamically stable isotropic liquid formulation. A compound of formula (I) is present initially in either the water or the solvent/SFA blend. Suitable solvents for use in MEs include those hereinbefore described for use in in ECs or in EWs. An ME may be either an oil-in-water or a water-in-oil system (which system is present may be determined by conductivity measurements) and may be suitable for mixing water-soluble and oil-soluble pesticides in the same formulation. An ME is suitable for dilution into water, either remaining as a microemulsion or forming a conventional oil-in-water emulsion.

Suspension concentrates (SC) may comprise aqueous or non-aqueous suspensions of finely divided insoluble solid particles of a compound of formula (I). SCs may be prepared by ball or bead milling the solid compound of formula (I) in a suitable medium, optionally with one or more dispersing agents, to produce a fine particle suspension of the compound. One or more wetting agents may be included in the composition and a suspending agent may be included to reduce the rate at which the particles settle. Alternatively, a compound of formula (I) may be dry milled and added to water, containing agents hereinbefore described, to produce the desired end product.

Aerosol formulations comprise a compound of formula (I) and a suitable propellant (for example *n*-butane). A compound of formula (I) may also be dissolved or dispersed in a suitable medium (for example water or a water miscible liquid, such as *n*-propanol) to provide compositions for use in non-pressurised, hand-actuated spray pumps.

A compound of formula (I) may be mixed in the dry state with a pyrotechnic mixture to form a composition suitable for generating, in an enclosed space, a smoke containing the compound.

Capsule suspensions (CS) may be prepared in a manner similar to the preparation of EW formulations but with an additional polymerisation stage such that an aqueous dispersion of oil droplets is obtained, in which each oil droplet is encapsulated by a polymeric shell and

contains a compound of formula (I) and, optionally, a carrier or diluent therefor. The polymeric shell may be produced by either an interfacial polycondensation reaction or by a coacervation procedure. The compositions may provide for controlled release of the compound of formula (I) and they may be used for seed treatment. A compound of formula (I) may also be formulated in a biodegradable polymeric matrix to provide a slow, controlled release of the compound.

A composition may include one or more additives to improve the biological performance of the composition (for example by improving wetting, retention or distribution on surfaces; resistance to rain on treated surfaces; or uptake or mobility of a compound of formula (I)). Such additives include surface active agents, spray additives based on oils, for example certain mineral oils or natural plant oils (such as soy bean and rape seed oil), and blends of these with other bio-enhancing adjuvants (ingredients which may aid or modify the action of a compound of formula (I)).

A compound of formula (I) may also be formulated for use as a seed treatment, for example as a powder composition, including a powder for dry seed treatment (DS), a water soluble powder (SS) or a water dispersible powder for slurry treatment (WS), or as a liquid composition, including a flowable concentrate (FS), a solution (LS) or a capsule suspension (CS). The preparations of DS, SS, WS, FS and LS compositions are very similar to those of, respectively, DP, SP, WP, SC and DC compositions described above. Compositions for treating seed may include an agent for assisting the adhesion of the composition to the seed (for example a mineral oil or a film-forming barrier).

Wetting agents, dispersing agents and emulsifying agents may be surface SFAs of the cationic, anionic, amphoteric or non-ionic type.

Suitable SFAs of the cationic type include quaternary ammonium compounds (for example cetyltrimethyl ammonium bromide), imidazolines and amine salts.

Suitable anionic SFAs include alkali metals salts of fatty acids, salts of aliphatic monoesters of sulphuric acid (for example sodium lauryl sulphate), salts of sulphonated aromatic compounds (for example sodium dodecylbenzenesulphonate, calcium dodecylbenzenesulphonate, butylnaphthalene sulphonate and mixtures of sodium di-*iso*propyl- and tri-*iso*propyl-naphthalene sulphonates), ether sulphates, alcohol ether sulphates (for example sodium laureth-3-sulphate), ether carboxylates (for example sodium laureth-3-carboxylate), phosphate esters (products from the reaction between one or more

fatty alcohols and phosphoric acid (predominately mono-esters) or phosphorus pentoxide (predominately di-esters), for example the reaction between lauryl alcohol and tetraphosphoric acid; additionally these products may be ethoxylated), sulphosuccinamates, paraffin or olefine sulphonates, taurates and lignosulphonates.

Suitable SFAs of the amphoteric type include betaines, propionates and glycinates.

Suitable SFAs of the non-ionic type include condensation products of alkylene oxides, such as ethylene oxide, propylene oxide, butylene oxide or mixtures thereof, with fatty alcohols (such as oleyl alcohol or cetyl alcohol) or with alkylphenols (such as octylphenol, nonylphenol or octylcresol); partial esters derived from long chain fatty acids or hexitol anhydrides; condensation products of said partial esters with ethylene oxide; block polymers (comprising ethylene oxide and propylene oxide); alkanolamides; simple esters (for example fatty acid polyethylene glycol esters); amine oxides (for example lauryl dimethyl amine oxide); and lecithins.

Suitable suspending agents include hydrophilic colloids (such as polysaccharides, polyvinylpyrrolidone or sodium carboxymethylcellulose) and swelling clays (such as bentonite or attapulgite).

A compound of formula (I) may be applied by any of the known means of applying pesticidal compounds. For example, it may be applied, formulated or unformulated, to the pests or to a locus of the pests (such as a habitat of the pests, or a growing plant liable to infestation by the pests) or to any part of the plant, including the foliage, stems, branches or roots, to the seed before it is planted or to other media in which plants are growing or are to be planted (such as soil surrounding the roots, the soil generally, paddy water or hydroponic culture systems), directly or it may be sprayed on, dusted on, applied by dipping, applied as a cream or paste formulation, applied as a vapour or applied through distribution or incorporation of a composition (such as a granular composition or a composition packed in a water-soluble bag) in soil or an aqueous environment.

A compound of formula (I) may also be injected into plants or sprayed onto vegetation using electrodynamic spraying techniques or other low volume methods, or applied by land or aerial irrigation systems.

Compositions for use as aqueous preparations (aqueous solutions or dispersions) are generally supplied in the form of a concentrate containing a high proportion of the active ingredient, the concentrate being added to water before use. These concentrates, which may

include DCs, SCs, ECs, EWs, MEs SGs, SPs, WPs, WGs and CSs, are often required to withstand storage for prolonged periods and, after such storage, to be capable of addition to water to form aqueous preparations which remain homogeneous for a sufficient time to enable them to be applied by conventional spray equipment. Such aqueous preparations may contain varying amounts of a compound of formula (I) (for example 0.0001 to 10%, by weight) depending upon the purpose for which they are to be used.

A compound of formula (I) may be used in mixtures with fertilisers (for example nitrogen-, potassium- or phosphorus-containing fertilisers). Suitable formulation types include granules of fertiliser. The mixtures suitably contain up to 25% by weight of the compound of formula (I).

The invention therefore also provides a fertiliser composition comprising a fertiliser and a compound of formula (I).

The compositions of this invention may contain other compounds having biological activity, for example micronutrients or compounds having fungicidal activity or which possess plant growth regulating, herbicidal, insecticidal, nematicidal or acaricidal activity.

The compound of formula (I) may be the sole active ingredient of the composition or it may be admixed with one or more additional active ingredients such as a pesticide, fungicide, synergist, herbicide or plant growth regulator where appropriate. An additional active ingredient may: provide a composition having a broader spectrum of activity or increased persistence at a locus; synergise the activity or complement the activity (for example by increasing the speed of effect or overcoming repellency) of the compound of formula (I); or help to overcome or prevent the development of resistance to individual components. The particular additional active ingredient will depend upon the intended utility of the composition. Examples of suitable pesticides include the following:

a) Pyrethroids, such as permethrin, cypermethrin, fenvalerate, esfenvalerate, deltamethrin, cyhalothrin (in particular lambda-cyhalothrin), bifenthrin, fenpropathrin, cyfluthrin, tefluthrin, fish safe pyrethroids (for example ethofenprox), natural pyrethrin, tetramethrin, s-bioallethrin, fenfluthrin, prallethrin or 5-benzyl-3-furylmethyl-(E)-(1R,3S)-2,2-dimethyl-3-(2-oxothiolan-3-ylidenemethyl)cyclopropane carboxylate;

b) Organophosphates, such as, profenofos, sulprofos, acephate, methyl parathion, azinphos-methyl, demeton-s-methyl, heptenophos, thiometon, fenamiphos, monocrotophos, profenofos, triazophos, methamidophos, dimethoate, phosphamidon, malathion, chlorpyrifos,

phosalone, terbufos, fensulfothion, fonofos, phorate, phoxim, pirimiphos-methyl, pirimiphos-ethyl, fenitrothion, fosthiazate or diazinon;

c) Carbamates (including aryl carbamates), such as pirimicarb, triazamate, cloethocarb, carbofuran, furathiocarb, ethiofencarb, aldicarb, thiofurox, carbosulfan, bendiocarb, fenobucarb, propoxur, methomyl or oxamyl;

d) Benzoyl ureas, such as diflubenzuron, triflumuron, hexaflumuron, flufenoxuron or chlorfluazuron;

e) Organic tin compounds, such as cyhexatin, fenbutatin oxide or azocyclotin;

f) Pyrazoles, such as tebufenpyrad and fenpyroximate;

g) Macrolides, such as avermectins or milbemycins, for example abamectin, emamectin benzoate, ivermectin, milbemycin, spinosad or azadirachtin;

h) Hormones or pheromones;

i) Organochlorine compounds such as endosulfan, benzene hexachloride, DDT, chlordane or dieldrin;

j) Amidines, such as chlordimeform or amitraz;

k) Fumigant agents, such as chloropicrin, dichloropropane, methyl bromide or metam;

l) Chloronicotinyl compounds such as imidacloprid, thiacloprid, acetamiprid, nitenpyram or thiamethoxam;

m) Diacylhydrazines, such as tebufenozide, chromafenozide or methoxyfenozide;

n) Diphenyl ethers, such as diofenolan or pyriproxifen;

o) Indoxacarb;

p) Chlorfenapyr; or

q) Pymetrozine.

In addition to the major chemical classes of pesticide listed above, other pesticides having particular targets may be employed in the composition, if appropriate for the intended utility of the composition. For instance, selective insecticides for particular crops, for example stemborer specific insecticides (such as cartap) or hopper specific insecticides (such as buprofezin) for use in rice may be employed. Alternatively insecticides or acaricides specific for particular insect species/stages may also be included in the compositions (for example acaricidal ovo-larvicides, such as clofentezine, flubenzimine, hexythiazox or tetradifon; acaricidal motilicides, such as dicofol or propargite; acaricides, such as

bromopropylate or chlorobenzilate; or growth regulators, such as hydramethylnon, cyromazine, methoprene, chlorfluazuron or diflubenzuron).

Examples of fungicidal compounds which may be included in the composition of the invention are (*E*)-*N*-methyl-2-[2-(2,5-dimethylphenoxymethyl)phenyl]-2-methoxy-iminoacetamide (SSF-129), 4-bromo-2-cyano-*N*,*N*-dimethyl-6-trifluoromethylbenzimidazole-1-sulphonamide, α-[*N*-(3-chloro-2,6-xylyl)-2-methoxyacetamido]-γ-butyrolactone, 4-chloro-2-cyano-*N*,*N*-dimethyl-5-*p*-tolylimidazole-1-sulfonamide (IKF-916, cyamidazosulfamid), 3-5-dichloro-*N*-(3-chloro-1-ethyl-1-methyl-2-oxopropyl)-4-methylbenzamide (RH-7281, zoxamide), *N*-allyl-4,5,-dimethyl-2-trimethylsilylthiophene-3-carboxamide (MON65500), *N*-(1-cyano-1,2-dimethylpropyl)-2-(2,4-dichlorophenoxy)propionamide (AC382042), *N*-(2-methoxy-5-pyridyl)-cyclopropane carboxamide, acibenzolar (CGA245704), alanycarb, aldimorph, anilazine, azaconazole, azoxystrobin, benalaxyl, benomyl, biloxazol, bitertanol, blasticidin S, bromuconazole, bupirimate, captafol, captan, carbendazim, carbendazim chlorhydrate, carboxin, carpropamid, carvone, CGA41396, CGA41397, chinomethionate, chlorothalonil, chlorozolinate, clozylacon, copper containing compounds such as copper oxychloride, copper oxyquinolate, copper sulphate, copper tallate and Bordeaux mixture, cymoxanil, cyproconazole, cyprodinil, debacarb, di-2-pyridyl disulphide 1,1'-dioxide, dichlofluanid, diclomezine, dicloran, diethofencarb, difenoconazole, difenzoquat, diflumetorim, *O*,*O*-di-*iso*-propyl-*S*-benzyl thiophosphate, dimefluazole, dimetconazole, dimethomorph, dimethirimol, diniconazole, dinocap, dithianon, dodecyl dimethyl ammonium chloride, dodemorph, dodine, doguadine, edifenphos, epoxiconazole, ethirimol, ethyl(*Z*)-*N*-benzyl-*N*([methyl(methyl-thioethylideneaminooxycarbonyl)amino]thio)-β -alaninate, etridiazole, famoxadone, fenamidone (RPA407213), fenarimol, fenbuconazole, fenfuram, fenhexamid (KBR2738), fenpiclonil, fenpropidin, fenpropimorph, fentin acetate, fentin hydroxide, ferbam, ferimzone, fluazinam, fludioxonil, flumetover, fluoroimide, fluquinconazole, flusilazole, flutolanil, flutriafol, folpet, fuberidazole, furalaxyl, furametpyr, guazatine, hexaconazole, hydroxyisoxazole, hymexazole, imazalil, imibenconazole, iminoctadine, iminoctadine triacetate, ipconazole, iprobenfos, iprodione, iprovalicarb (SZX0722), isopropanyl butyl carbamate, isoprothiolane, kasugamycin, kresoxim-methyl, LY186054, LY211795, LY248908, mancozeb, maneb, mefenoxam, mepanipyrim, mepronil, metalaxyl, metconazole, metiram, metiram-zinc, metominostrobin, myclobutanil, neoasozin, nickel dimethyldithiocarbamate, nitrothal-*iso*propyl, nuarimol, ofurace, organomercury

compounds, oxadixyl, oxasulfuron, oxolinic acid, oxpoconazole, oxycarboxin, pefurazoate, penconazole, pencycuron, phenazin oxide, phosetyl-Al, phosphorus acids, phthalide, picoxystrobin (ZA1963), polyoxin D, polyram, probenazole, prochloraz, procymidone, propamocarb, propiconazole, propineb, propionic acid, pyrazophos, pyrifenox, pyrimethanil, pyroquilon, pyroxyfur, pyrrolnitrin, quaternary ammonium compounds, quinomethionate, quinoxyfen, quintozene, sipconazole (F-155), sodium pentachlorophenate, spiroxamine, streptomycin, sulphur, tebuconazole, tecloftalam, tecnazene, tetraconazole, thiabendazole, thifluzamid, 2-(thiocyanomethylthio)benzothiazole, thiophanate-methyl, thiram, timibenconazole, tolclofos-methyl, tolylfluanid, triadimefon, triadimenol, triazbutil, triazoxide, tricyclazole, tridemorph, trifloxystrobin (CGA279202), triforine, triflumizole, triticonazole, validamycin A, vapam, vinclozolin, zineb and ziram.

The compounds of formula (I) may be mixed with soil, peat or other rooting media for the protection of plants against seed-borne, soil-borne or foliar fungal diseases.

Examples of suitable synergists for use in the compositions include piperonyl butoxide, sesamex, safroxan and dodecyl imidazole.

Suitable herbicides and plant-growth regulators for inclusion in the compositions will depend upon the intended target and the effect required.

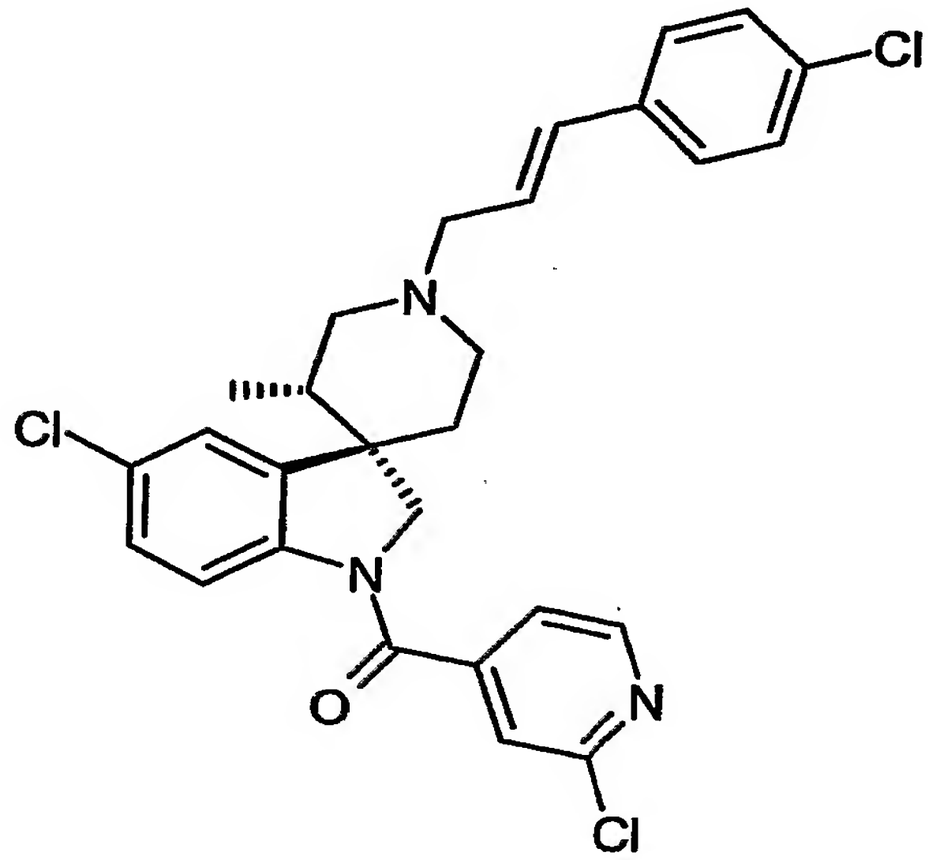
An example of a rice selective herbicide which may be included is propanil. An example of a plant growth regulator for use in cotton is PIX™.

Some mixtures may comprise active ingredients which have significantly different physical, chemical or biological properties such that they do not easily lend themselves to the same conventional formulation type. In these circumstances other formulation types may be prepared. For example, where one active ingredient is a water insoluble solid and the other a water insoluble liquid, it may nevertheless be possible to disperse each active ingredient in the same continuous aqueous phase by dispersing the solid active ingredient as a suspension (using a preparation analogous to that of an SC) but dispersing the liquid active ingredient as an emulsion (using a preparation analogous to that of an EW). The resultant composition is a suspoemulsion (SE) formulation.

The invention is illustrated by the following Examples:

EXAMPLE 1

This Example illustrates the preparation of compound I.49; 5-chloro-1-(2-chloropyridin-4-yl)carbonyl-1'-[*trans*-3-(4-chlorophenyl)allyl]-3'-methyl-spiro[indoline-3,4'-piperidine]



Step A: Potassium t-butoxide (1.56 g) was added portionwise to a stirred suspension of methoxymethyltriphenylphosphonium chloride (4.76 g) in tetrahydrofuran (30 ml) at 0°C under argon. The resulting orange mixture was stirred at 0°C for 30 min., then 1-(4-chlorocinnamyl)-3-methyl-piperidin-4-one (1.83 g, prepared by alkylation of 3-methyl-piperidin-4-one [CAS No 5773-58-0] with 4-chloro-cinnamyl chloride) dissolved in a minimum volume of tetrahydrofuran was added dropwise and the resulting solution was stirred at room temperature for 1 hour, poured into water and extracted twice with ether. The combined organic layers were dried over sodium sulphate and concentrated *in vacuo*. The residue was purified by silica gel chromatography (cyclohexane:ethyl acetate 75:25) to afford 1-(4-chlorocinnamyl)-4-[1-methoxy-methylidene]-3-methyl-piperidine as a mixture of diastereoisomers. MS (ES+) 292/294 ($M+H^+$).

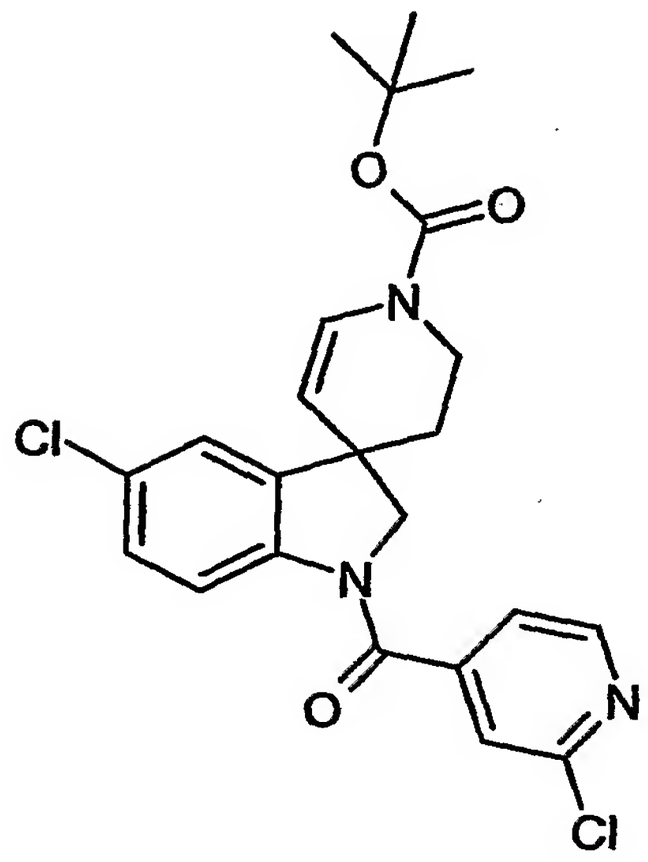
Step B: A mixture of 1-(4-chlorocinnamyl)-4-[1-methoxy-methylidene]-3-methyl-piperidine (1.25 g) and 4-chlorophenylhydrazine hydrochloride (0.85 g) in chloroform (43 ml) was treated with trifluoroacetic acid (4.3 ml) and heated at reflux under argon for 12 hours. The reaction mixture was cooled to room temperature, triethylsilane (3.1 ml) was

added and the solution refluxed for 2 hours. The reaction mixture was cooled to room temperature, diluted with dichloromethane, neutralised with aqueous ammonium hydroxide, washed with brine, dried (sodium sulphate) and concentrated. The dark residue was purified by silica gel chromatography (cyclohexane:ethyl acetate 1:1 + 0.5% triethylamine) to afford 5-chloro-1'-[*trans*-3-(4-chlorophenyl)allyl]-3'-methyl-spiro[indoline-3,4'-piperidine] (1.63 g). ^{1}H NMR (600 MHz, $CDCl_3$) 0.69 (d, J = 9 Hz, 3H), 1.89 (m, 2H), 2.0 (m, 3H), 2.86 (dd, 1H), 2.95 (m, 1H), 3.17 (d, J = 7.2 Hz, 2H), 3.31 (d, J = 12 Hz, 1H), 3.58 (d, J = 12 Hz, 1H), 3.65 (m, 1H), 6.30 (dt, J = 7.2, 18.0 Hz, 1H), 6.49 (d, J = 8.0 Hz, 1H), 6.50 (d, J = 7.0 Hz, 1H), 2H), 6.94 (d, J = 0.9 Hz, 1H), 6.97 (dd, J = 7.0, 0.9 Hz, 1H), 7.25-7.33 (m, 4H); MS (ES+) 387/389 ($M+H^+$).

Step C: To a solution of 5-chloro-1'-[*trans*-3-(4-chlorophenyl)allyl]-3'-methyl-spiro[indoline-3,4'-piperidine] obtained in Step B (210 mg) and triethylamine (0.23 ml) in dichloromethane (10 ml) at 0°C was added 2-chloro-isonicotinoyl chloride (176 mg) and the resulting solution was kept at 0°C for 30 min., diluted with dichloromethane, washed with diluted aqueous sodium bicarbonate, dried (sodium sulphate) and concentrated. Silica gel chromatography of the residue (cyclohexane:ethyl acetate 1:1) afforded the title compound as a slight yellow solid (200 mg); M.p. 91-93°C; MS (ES+) 526/528 ($M+H^+$)

EXAMPLE 2

This Example illustrates the preparation of 5-chloro-1-(2-chloropyridin-4-yl)carbonyl-spiro[indoline-3,4'-(1',2',3',4'-tetrahydropyridine)]-1' carboxylic acid tert-butyl ester



Step A: Triphenylphosphine (5.16 g) was dissolved in tetrahydrofuran (130 ml) and the solution was cooled to 0°C under argon. Diisopropylazodicarboxylate (3.82 ml) was added dropwise over 10 min and the resulting mixture was stirred at 0°C for 20 min (formation of a white precipitate). N-(4-Chloro-2-iodo-phenyl)-2,2,2-trifluoro-acetamide (5.5 g) was added as a solid, followed by 4-hydroxymethyl-3,6-dihydro-2H-pyridine-1-carboxylic acid tert-butyl ester (*J. Org. Chem.* **2001**, *66*, 5545-5551, 3.4 g) dissolved in a minimum volume of tetrahydrofuran. The reaction mixture was allowed to warm to room temperature and stirred for 12 hours. The solution was then concentrated *in vacuo* and the residue subjected to silica gel chromatography (cyclohexane:ethyl acetate 9:1) to afford 4-{[(4-chloro-2-iodo-phenyl)-(2,2,2-trifluoro-acetyl)-amino]-methyl}-3,6-dihydro-2H-pyridine-1-carboxylic acid tert-butyl ester (4.7 g). ^{1}H NMR (600 MHz, $CDCl_3$) 1.5 (s, 9H), 2.20 (m, 2H), 3.49 (m, 1H), 3.50 (d, J = 17 Hz, 1H), 3.55 (m, 1H), 3.8-3.9 (m, 2H), 5.02 (d, J = 17 Hz, 1H), 5.40 (s, 1H), 7.0 (m, 1H), 7.38 (dd, 1H), 7.92 (d, 1H); MS (ES+) 445/447 ($M+H^+$-CO_2-isobutene), 486/488 ($M+H^+$-isobutene).

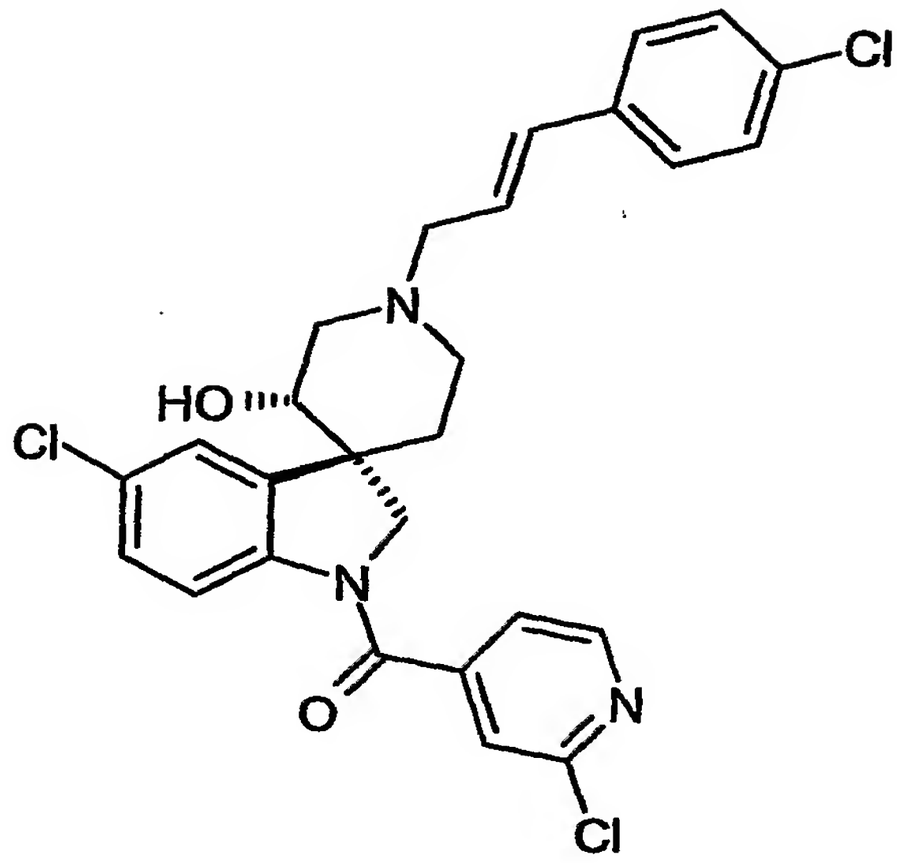
Step B: In a dried, argon purged flask, 4-{[(4-chloro-2-iodo-phenyl)-(2,2,2-trifluoro-acetyl)-amino]-methyl}-3,6-dihydro-2H-pyridine-1-carboxylic acid tert-butyl ester obtained in Step A (3.55 g) was dissolved in dimethylformamide (55 ml); triethylamine (2.3 ml), tetrabutylammonium bromide (2.5 g) and palladium(II) acetate (0.22 g) were successively added and the solution was heated at 80°C for 3 hours. After cooling to room temperature, the reaction mixture was diluted with ethyl acetate, washed with brine, dried over sodium sulphate and concentrated *in vacuo*. The crude residue was dissolved in methanol (140 ml)

and water (30 ml), placed under argon and potassium carbonate (6.8 g) was added. The reaction mixture was stirred for 1 hour at room temperature, the mixture was filtered and the filtrate concentrated *in vacuo*. The residue was diluted with ethyl acetate, washed with brine, dried (sodium sulphate) and concentrated in vacuo. Silica gel chromatography of the residue (cyclohexane:ethyl acetate 8:2) afforded 5-chloro-spiro[indoline-3,4'-(1',2',3',4'-tetrahydropyridine)]-1' carboxylic acid tert-butyl ester (1.3 g) as a a pale yellow powder. M.p. 50-51°C; ^{1}H NMR (600 MHz, $CDCl_2CDCl_2$, 80°C) 1.54 (s, 9H), 1.83 (m, 1H), 1.99 (m, 1H), 3.36 (d, J = 11.4 Hz, 1H), 3.50 (d, J = 11.4 Hz, 1H), 3.51 (m, 1H), 3.75 (brs, 1H, NH), 3.78 (m, 1H), 4.81 (d, J = 8.6 Hz, 1H), 6.57 (d, J = 10.2 Hz, 1H), 6.98 (d, J = 2.4 Hz,1H), 7.02 (m, 1H), 7.03 (dd, J = 10.2, 2.4 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_2CDCl_2$, 80°C) selected data 28.2, 33.2, 39.1, 60.6, 108.5, 110.2, 123.5, 126.4, 127.5; MS (ES+) 221/223 ($M+H^+-CO_2$-isobutene), 265/267 ($M+H^+$-isobutene); 321/323 ($M+H^+$).

Step C: 5-Chloro-spiro[indoline-3,4'-(1',2',3',4'-tetrahydropyridine)]-1' carboxylic acid tert-butyl ester (274 mg) was acylated in dichloromethane (10 ml) with 2-chloroisonicotinoyl chloride (250 mg) in the presence of triethylamine (0.48 ml) using the method described in Example 1 Step C to give the title compound (360 mg). MS (ES+) 360/362 ($M+H^+-CO_2$-isobutene), 404/406 ($M+H^+$-isobutene).

EXAMPLE 3

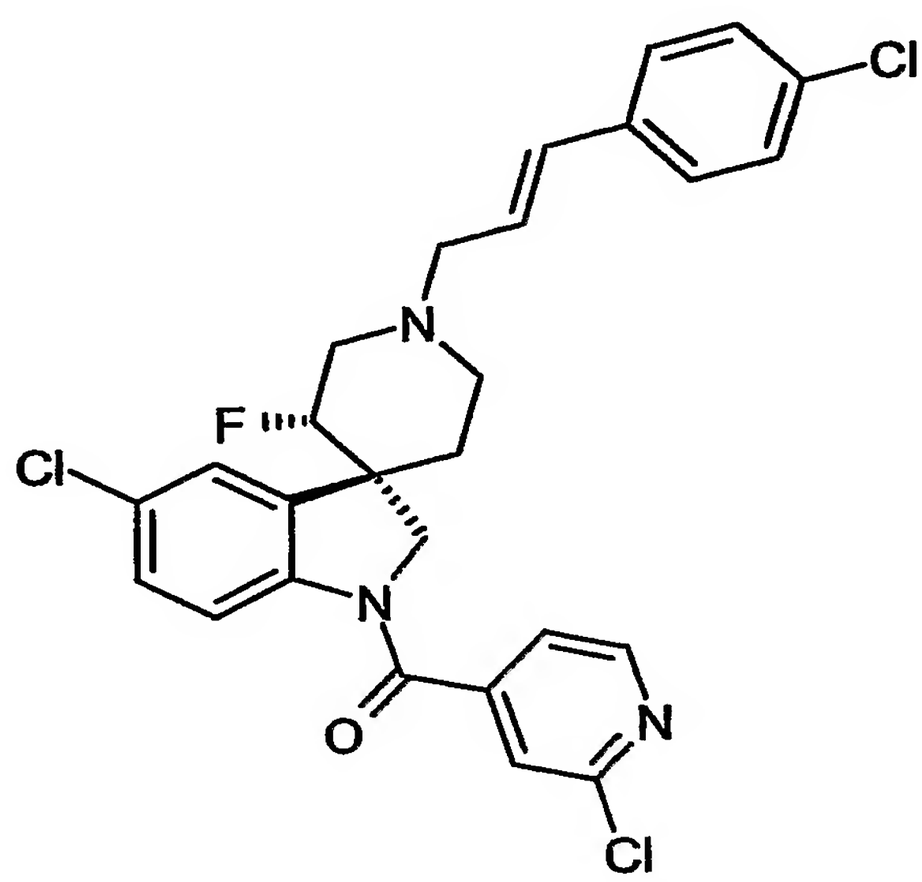
This Example illustrates the preparation of compound II.49, 5-chloro-1-(2-chloropyridin-4-yl)carbonyl-1'-[*trans*-3-(4-chlorophenyl)allyl]-3'-hydroxy-spiro[indoline-3,4'-piperidine]



Borane-methylsulfide complex (1M in dichloromethane, 1.05 ml) was added dropwise under argon to a solution of 5-chloro-1-(2-chloropyridin-4-yl)carbonyl-spiro[indoline-3,4'-(1',2',3',4'-tetrahydropyridine)]-1' carboxylic acid tert-butyl ester (Example 2, 350 mg) in tetrahydrofuran (15 ml) at room temperature and the resulting solution was stirred at room temperature for 18 hours. 3N NaOH (0.9 ml) was added, followed by 30% aqueous hydrogen peroxide (0.9 ml) and the resulting mixture was stirred at room temperature for 1 hour, poured into water, extracted twice with ethyl acetate, dried (sodium sulphate) and concentrated *in vacuo*. The major product was isolated by silica gel chromatography (cyclohexane:ethyl acetate 7:3) to give 125 mg of a solid. The latter was dissolved in dichloromethane (10 ml) and treated with trifluoroacetic acid (1 ml) at room temperature for 2 hours. The solution was partitioned between water and dichloromethane, the organic layer was neutralised with saturated aqueous sodium bicarbonate, dried (sodium sulphate) and concentrated *in vacuo*. The residue was dissolved in acetonitrile (5 ml) and treated with diisopropylethylamine (0.065 ml) and 4-chlorocinnamyl bromide (58 mg) for 12 hours at room temperature under argon. Standard aqueous work-up afforded a residue which was purified by flash chromatography (silica gel, ethyl acetate:methanol 98:2) to give the title product (51 mg) as a colorless solid. M.p. 110°C; MS (ES+) 528/530/532/533 ($M+H^+$).

EXAMPLE 4

This Example illustrates the preparation of compound III.49, 5-chloro-1-(2-chloropyridin-4-yl)carbonyl-1'-[*trans*-3-(4-chlorophenyl)allyl]-3'-fluoro-spiro[indoline-3,4'-piperidine]

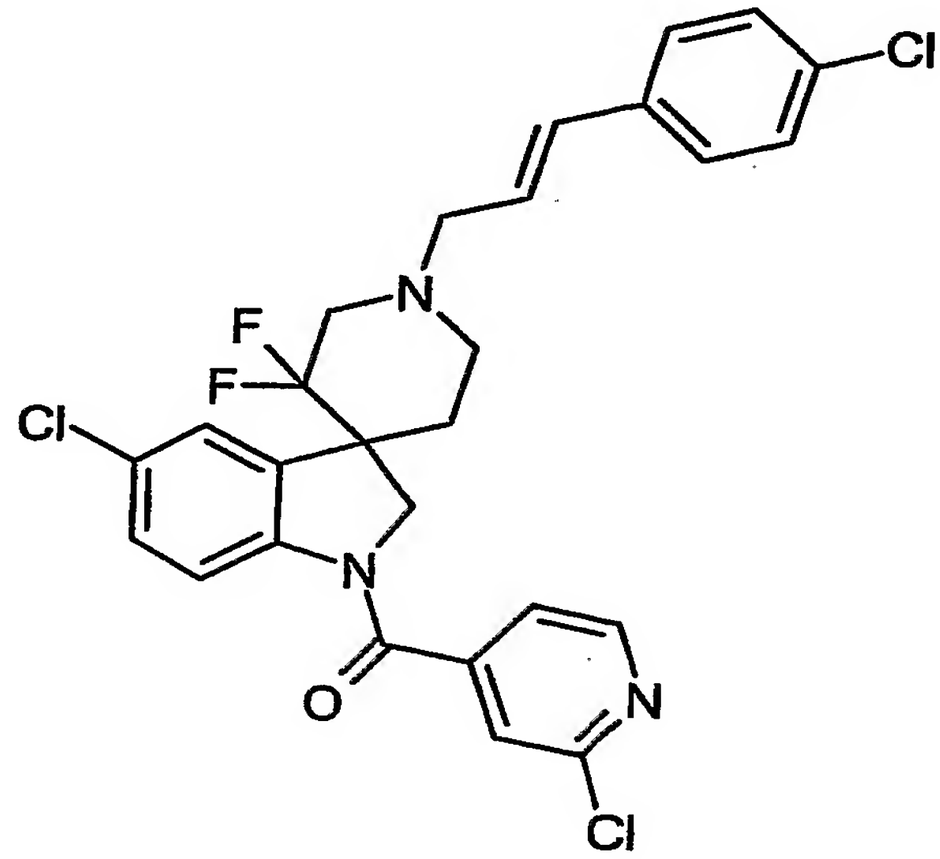


[1-(Chloromethyl)-4-fluoro-1,4-diazoniabicyclo[2.2.2]octane bis(tetrafluoroborate)] (Selectfluor™, 266 mg) was added to a solution of 5-chloro-1-(2-chloropyridin-4-yl)carbonyl-spiro[indoline-3,4'-(1',2',3',4'-tetrahydropyridine)]-1' carboxylic acid tert-butyl ester (Example 2, 345 mg) in dimethylformamide (20 ml) at room temperature and the resulting solution was stirred at 70°C for 1 hour, cooled to room temperature, poured into water (50 ml) and extracted twice with ethyl acetate; the combined organic layers were washed with brine, dried (sodium sulphate), concentrated *in vacuo* and the residue purified by silica gel chromatography (cyclohexane:ethyl acetate 8.2) to afford 5-chloro-1-(2-chloropyridin-4-yl)carbonyl-3'-fluoro-2'-hydroxy-spiro[indoline-3,4'-piperidine] 1' carboxylic acid tert-butyl ester (200 mg) as a colorless solid; MS (ES+) 496/498 ($M+H^+$). This product was dissolved in dichloromethane (10 ml) and treated successively with triethylsilane (0.3 ml) and trifluoroacetic acid (0.57 ml); the solution was stirred under argon for 12 hrs, diluted with dichloromethane, neutralised with aqueous sodium bicarbonate, dried (sodium sulphate) and concentrated *in vacuo*. The residue was dissolved in acetonitrile (10 ml) and treated with diisopropylethylamine (0.1 ml) and 4-chlorocinnamyl chloride (71 mg) for 12 hours at reflux under argon. Standard aqueous work-up afforded a residue which was

purified by flash chromatography (silica gel, cyclohexane:ethyl acetate 7:3) to give the title product (111 mg) as a colorless solid. M.p. 96-97°C; MS (ES+) 530/532/534 ($M+H^+$).

EXAMPLE 5

This Example illustrates the preparation of compound IV.49, 5-chloro-1-(2-chloropyridin-4-yl)carbonyl-1'-[*trans*-3-(4-chlorophenyl)allyl]-3',3'-difluoro-spiro[indoline-3,4'-piperidine]



[1-(Chloromethyl)-4-fluoro-1,4-diazoniabicyclo[2.2.2]octane bis(tetrafluoroborate)] (Selectfluor™, 1.05 g) was added to a solution of 5-chloro-1-(2-chloropyridin-4-yl)carbonyl-spiro[indoline-3,4'-(1',2',3',4'-tetrahydropyridine)]-1' carboxylic acid tert-butyl ester (Example 2, 345 mg) in dimethylformamide (15 ml) at room temperature and the resulting solution was stirred at 80°C for 2 hours, cooled to room temperature, poured into water and extracted twice with ethyl acetate; the combined organic layers were washed with brine, dried (sodium sulphate), concentrated *in vacuo* and the residue purified by silica gel chromatography (cyclohexane:ethyl acetate 65.35) to afford 5-chloro-1-(2-chloropyridin-4-yl)carbonyl-3',3'-difluoro-2'-hydroxy-spiro[indoline-3,4'-piperidine] 1' carboxylic acid tert-butyl ester (217 mg) as a colorless solid; MS (ES+) 514/516 ($M+H^+$). This product was dissolved in dichloromethane (14 ml) and treated successively with triethylsilane (0.34 ml) and trifluoroacetic acid (0.64 ml); the solution was stirred under argon for 12 hrs, diluted with dichloromethane, neutralised with aqueous sodium bicarbonate, dried (sodium sulphate)

and concentrated *in vacuo*. The residue was dissolved in acetonitrile (10 ml) and treated with diisopropylethylamine (0.1 ml) and 4-chlorocinnamyl chloride (71 mg) for 10 hours at reflux under argon. Standard aqueous work-up afforded a residue which was purified by flash chromatography (silica gel, cyclohexane:ethyl acetate 7:3) to give the title product I.4 (118 mg) as a colorless solid. M.p. 100-101°C; ^{1}H NMR (600 MHz, $CDCl_2CDCl_2$, 80°C) 1.86 (m, 1H), 2.20 (m, 1H), 2.41 (m, 1H), 2.45 (m, 1H), 3.02 (d, J = 12 Hz, 1H), 3.24 (m, 3H), 3.85 (d, J = 12 Hz, 1H), 4.24 (m, 1H), 6.24 (dd, J = 12 Hz, 7.2 Hz, 1H), 6.6 (d, J = 12 Hz,1H), 7.27-7.47 (m, 9H), 8.57 (d, J = 6 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_2CDCl_2$, 80°C) selected data 34.5, 48.4, 55.5, 56.8, 59.3, 119.4, 120.3, 121.5, 125.9, 126.1, 127.5, 128.6, 128.9, 129.9, 132.2, 150.6; MS (ES+) 548 ($M+H^+$).

EXAMPLE 6

This Example illustrates the pesticidal/insecticidal properties of compounds of formula (I).

Test against were performed as follows:

Spodoptera littoralis (Egyptian cotton leafworm)

Cotton leaf discs were placed on agar in a 24-well microtiter plate and sprayed with test solutions at an application rate of 200 ppm. After drying, the leaf discs were infested with 5 L_1 larvae. The samples were checked for mortality, repellent effect, feeding behaviour, and growth regulation 3 days after treatment (DAT). The following compounds gave at least 80% control of *Spodoptera littoralis:*

II-49.

Heliothis virescens (Tobacco budworm):

Eggs (0-24 h old) were placed in 24-well microtiter plate on artificial diet and treated with test solutions at an application rate of 200 ppm by pipetting. After an incubation period of 4 days, samples were checked for egg mortality, larval mortality, and growth regulation. The following compounds gave at least 80% control of *Heliothis virescen:*

I-49, II-49, III-49, IV-49.

Plutella xylostella (Diamond back moth):

24-well microtiter plate (MTP) with artificial diet was treated with test solutions at an application rate of 18.2 ppm by pipetting. After drying, the MTP's were infested with larvae (L2)(10-15 per well). After an incubation period of 5 days, samples were checked for larval mortality, antifeedant and growth regulation. The following compounds gave at least 80% control of *Plutella xylostella:*

II-49, III-49, IV-49.

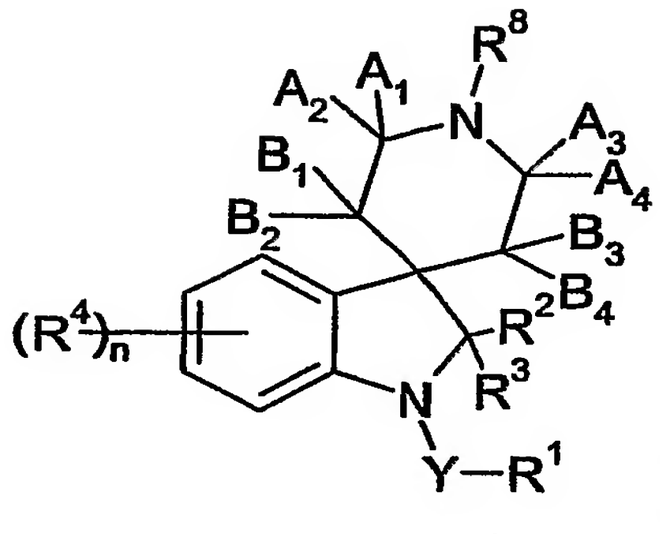
Aedes aegypti (Yellow fever mosquito):

10-15 Aedes larvae (L2) together with a nutrition mixture are placed in 96-well microtiter plates. Test solutions at an application rate of 2ppm are pipetted into the wells. 2 days later, insects were checked for mortality and growth inhibition. The following compounds gave at least 80% control of *Aedes aegypti*

I-49, II-49, III-49.

CLAIMS

1. A compound of formula I



(I)

wherein Y is a single bond, C=O, C=S or $S(O)_m$ where m is 0, 1 or 2; R^1 is hydrogen, optionally substituted alkyl, optionally substituted alkoxycarbonyl, optionally substituted alkylcarbonyl, aminocarbonyl, optionally substituted alkylaminocarbonyl, optionally substituted dialkylaminocarbonyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkoxy, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted heterocyclyloxy, cyano, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, optionally substituted cycloalkenyl, formyl, optionally substituted heterocyclyl, optionally substituted alkylthio, NO or $NR^{13}R^{14}$ where R^{13} and R^{14} are independently hydrogen, COR^{15}, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocyclyl or R^{13} and R^{14} together with the N atom to which they are attached form a group $-N=C(R^{16})-NR^{17}R^{18}$; R^{15} is H, optionally substituted alkyl, optionally substituted alkoxy, optionally substituted aryl, optionally substituted aryloxy optionally substituted heteroaryl, optionally substituted heteroaryloxy or $NR^{19}R^{20}$; R^{16}, R^{17} and R^{18} are each independently H or lower alkyl; R^{19} and R^{20} are independently optionally substituted alkyl, optionally substituted aryl or optionally substituted heteroaryl; R^2 and R^3 are independently hydrogen, halogen, cyano, optionally substituted alkyl, optionally substituted alkoxy or optionally substituted aryl;

each R^4 is independently halogen, nitro, cyano, optionally substituted C_{1-8} alkyl, optionally substituted C_{2-6} alkenyl, optionally substituted C_{2-6} alkynyl, optionally substituted alkoxycarbonyl, optionally substituted alkylcarbonyl, optionally substituted alkylaminocarbonyl, optionally substituted dialkylaminocarbonyl, optionally substituted C_{3-7} cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocyclyl, optionally substituted alkoxy, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted alkylthio or $R^{21}R^{22}N$ where R^{21} and R^{22} are, independently, hydrogen, C_{1-8} alkyl, C_{3-7} cycloalkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, C_{3-7} cycloalkyl(C_{1-4})alkyl, C_{2-6} haloalkyl, C_{1-6} alkoxy(C_{1-6})alkyl, C_{1-6} alkoxycarbonyl or R^{21} and R^{22} together with the N atom to which they are attached form a five, six or seven-membered heterocyclic ring which may contain one or two further heteroatoms selected from O, N or S and which may be optionally substituted by one or two C_{1-6} alkyl groups, or 2 adjacent groups R^4 together with the carbon atoms to which they are attached form a 4, 5, 6,or 7 membered carbocyclic or heterocyclic ring which may be optionally substituted by halogen; n is 0, 1, 2, 3 or 4;

R^8 is optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, optionally substituted aryl, optionally substituted alkoxy, optionally substituted aryloxy, optionally substituted alkoxycarbonyl, optionally substituted alkylcarbonyl or optionally substituted alkenylcarbonyl;

A_1, A_2, A_3, A_4, B_1, B_2, B_3 and B_4 are independently hydrogen, halogen, hydroxy, cyano, optionally substituted C_{1-8} alkyl, optionally substituted C_{2-6} alkenyl, optionally substituted C_{2-6} alkynyl, optionally substituted alkoxycarbonyl, optionally substituted alkylcarbonyl, optionally substituted alkylaminocarbonyl, optionally substituted dialkylaminocarbonyl, optionally substituted C_{3-7} cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocyclyl, optionally substituted alkoxy, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted alkylthio, optionally substituted arylthio or $R^{23}R^{24}N$ where R^{23} and R^{24} are, independently, hydrogen, C_{1-8} alkyl, C_{3-7} cycloalkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, C_{3-7} cycloalkyl(C_{1-4})alkyl, C_{2-6} haloalkyl, C_{1-6} alkoxy(C_{1-6})alkyl, C_{1-6} alkoxycarbonyl or R^{23} and R^{24} together with the N atom to which they are

attached form a five, six or seven-membered heterocyclic ring which may contain one or two further heteroatoms selected from O, N or S and which may be optionally substituted by one or two C_{1-6} alkyl groups,

or A_1 and A_2 together are =O,

or A_3 and A_4 together are =O,

or B_1 and B_2 together are =O,

or B_3 and B_4 together are =O,

or A_1 together with B_1 is a bond,

or A_3 together with B_3 is a bond,

or A_1 together with A_2 form with the carbon to which they are bound a three- to seven-membered ring, and may be saturated or unsaturated, and that may contain one or two hetero atoms selected from the group consisting of N, O and S, and which may be optionally substituted by one or two C_{1-6} alkyl groups;

or A_1 together with B_1 form with the carbon to which they are bound a three- to seven-membered ring, and may be saturated or unsaturated, and that may contain one or two hetero atoms selected from the group consisting of N, O and S, and which may be optionally substituted by one or two C_{1-6} alkyl groups;

or B_1 together with B_2 form with the carbon to which they are bound a three- to seven-membered ring, and may be saturated or unsaturated, and that may contain one or two hetero atoms selected from the group consisting of N, O and S, and which may be optionally substituted by one or two C_{1-6} alkyl groups;

or A_1 together with A_3 form a group $-CH_2-$, $-CH=CH-$ or $-CH_2CH_2$;

or B_1 together with B_3 form a group $-CH_2-$, $-CH=CH-$ or $-CH_2CH_2$;

or salts or N-oxides thereof provided that when B_1, B_2, B_3 and B_4 are all H, either both A_1 and A_2 are different from H or both A_3 and A_4 are different from H.

2. An insecticidal acaricidal and nematicidal composition comprising an insecticidally, acaricidally or nematicidally effective amount of a compound of formula I as defined in claim 1.

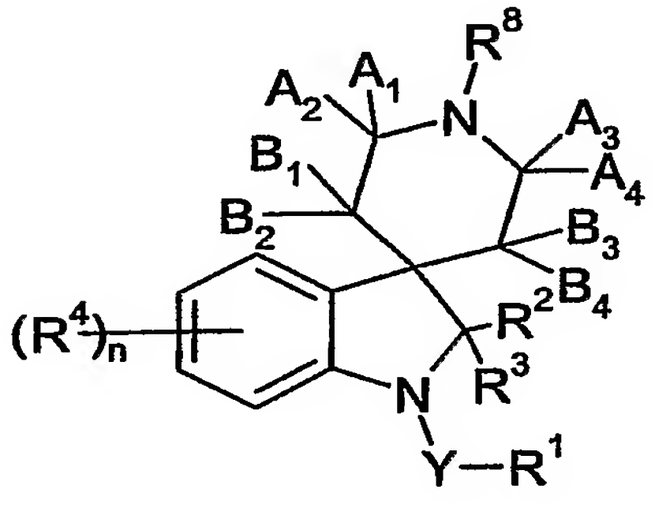
3. A a method of combating and controlling insects, acarines, nematodes or molluscs which comprises applying to a pest, to a locus of a pest, or to a plant susceptible to

attack by a pest an insecticidally, acaricidally, nematicidally or molluscicidally effective amount of a compound of formula I.

ABSTRACT

CHEMICAL COMPOUNDS

An insecticidal compound of formula I



(I)

wherein Y is a single bond, C=O, C=S or $S(O)_m$ where m is 0, 1 or 2; R^1, R^2, R^3, R^4, R^8 A_1, A_2, A_3, A_4, B_1, B_2, B_3 and B_4 are specified organic groups or salts or N-oxides thereof; compositions containing them and their using in controlling insects, acarines, nematodes or molluscs.